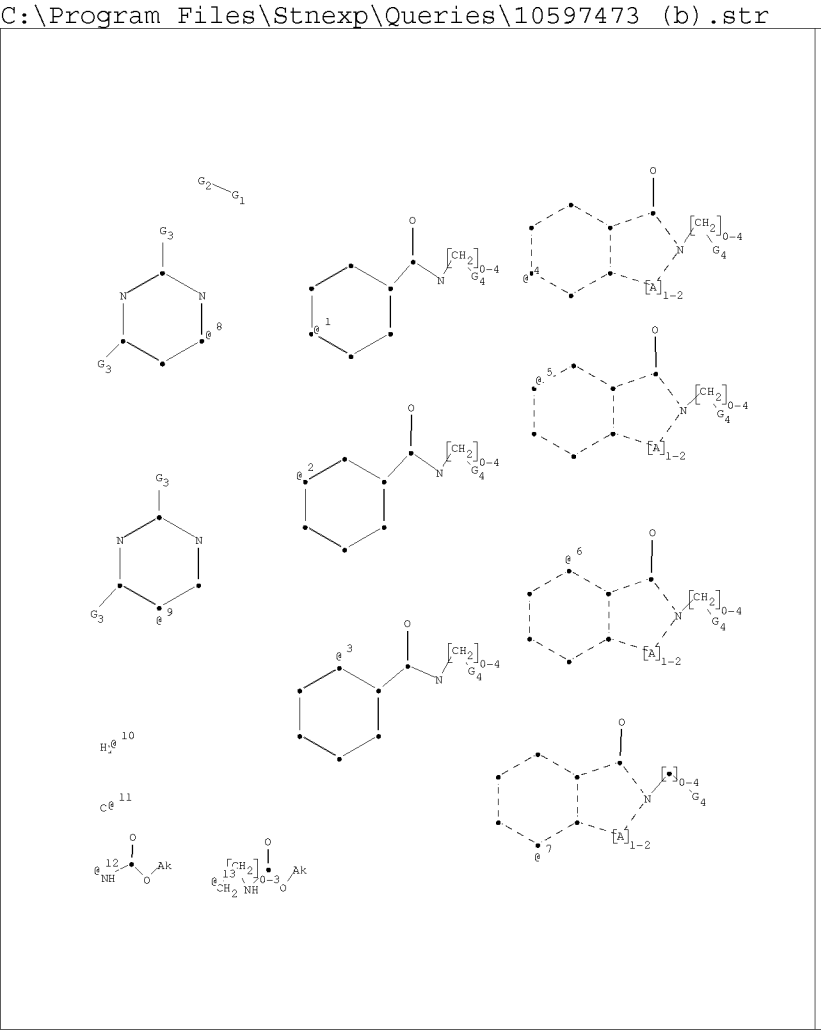
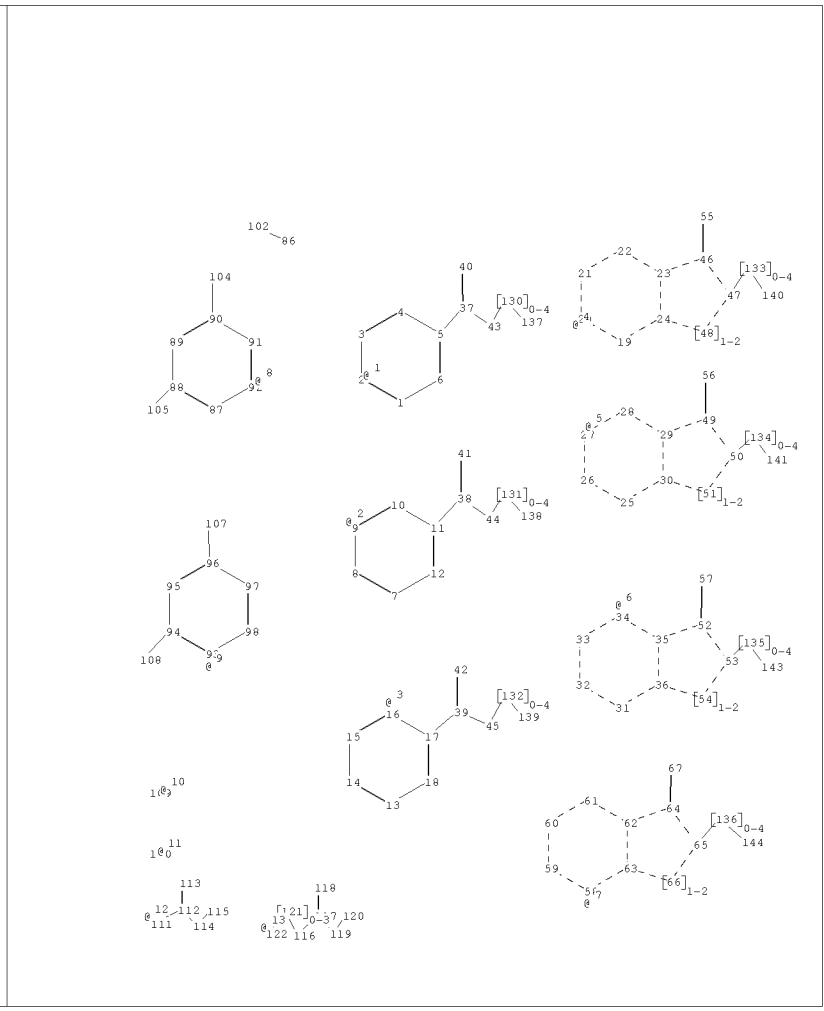
C:\Program Files\Stnexp\Queries\10597473 (b).str





chain nodes :
37 38 39 40 41 42 55 56 57 67 86 102 104 105 107 108 109 110 111 112 113
114 115 116 117 118 119 120 121 122 130 131 132 133 134 135 136 137 138 139
140 141 143 144
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25 26
27 28 29 30 31 32 33 34 35 36 46 47 48 49 50 51 52 53 54 58 59 60 61 62
63 64 65 66 87 88 89 90 91 92 93 94 95 96 97 98
ring/chain nodes :
43 44 45
chain bonds :
5-37 11-38 17-39 37-40 37-43 38-41 38-44 39-42 39-45 43-130 44-131 45-132 46-55
47-133 49-56 50-134 52-57 53-135 64-67 65-136 86-102 88-105 90-104 94-108 96-107
111-112 112-113 112-114 114-115 116-117 116-121 117-118 117-119 119-120 121-122
130-137 131-138 132-139 133-140 134-141 135-143 136-144
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18 14-15 15-16
16-17 17-18 19-20 19-24 20-21 21-22 22-23 23-24 23-46 24-48 25-26 25-30 26-27
27-28 28-29 29-30 29-49 30-51 31-32 31-36 32-33 33-34 34-35 35-36 35-52 36-54
46-47 47-48 49-50 50-51 52-53 53-54 58-59 58-63 59-60 60-61 61-62 62-63 62-64
63-66 64-65 65-66 87-88 87-92 88-89 89-90 90-91 91-92 93-94 93-98 94-95 95-96
96-97 97-98
exact/norm bonds :
19-20 19-24 20-21 21-22 22-23 23-24 23-46 24-48 25-26 25-30 26-27 27-28 28-29
29-30 29-49 30-51 31-32 31-36 32-33 33-34 34-35 35-36 35-52 36-54 37-40 37-43
38-41 38-44 39-42 39-45 46-47 46-55 47-48 49-50 49-56 50-51 52-53 52-57 53-54
58-59 58-63 59-60 60-61 61-62 62-63 62-64 63-66 64-65 64-67 65-66 65-136 86-102
88-105 90-104 94-108 96-107 111-112 112-113 112-114 114-115 116-117 117-118 117-119
119-120 130-137 131-138 132-139 133-140 134-141 135-143 136-144
exact bonds :
5-37 11-38 17-39 43-130 44-131 45-132 47-133 50-134 53-135 116-121 121-122
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18 14-15 15-16
16-17 17-18 87-88 87-92 88-89 89-90 90-91 91-92 93-94 93-98 94-95 95-96 96-97
97-98
isolated ring systems :

containing 1 : 7 : 13 : 19 : 25 : 31 : 58 : 87 : 93 :

G1:[*1],[*2],[*3],[*4],[*5],[*6],[*7]

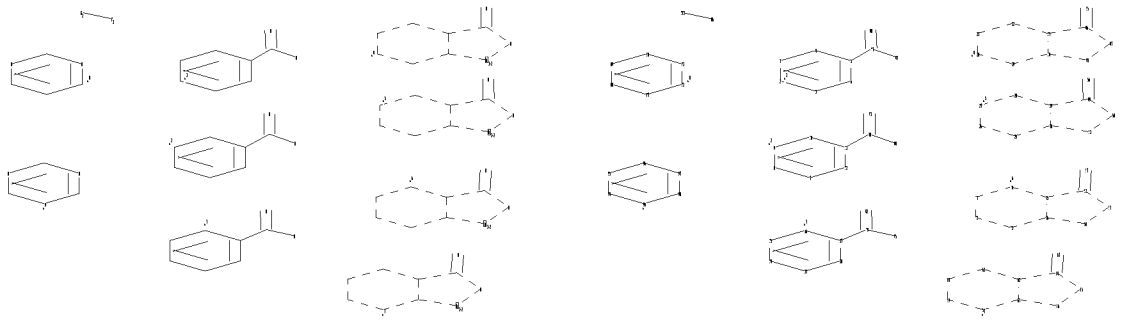
G2:[*8],[*9]

G3:H,N,Cl,Br,F,I

G4:[*10],[*11],[*12],[*13]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom
32:Atom 33:Atom 34:Atom 35:Atom 36:Atom 37:CLASS 38:CLASS 39:CLASS 40:CLASS 41:CLASS
42:CLASS 43:CLASS 44:CLASS 45:CLASS 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:Atom
52:Atom 53:Atom 54:Atom 55:CLASS 56:CLASS 57:CLASS 58:Atom 59:Atom 60:Atom 61:Atom
62:Atom 63:Atom 64:Atom 65:Atom 66:Atom 67:CLASS 86:CLASS 87:Atom 88:Atom 89:Atom
90:Atom 91:Atom 92:Atom 93:Atom 94:Atom 95:Atom 96:Atom 97:Atom 98:Atom 102:CLASS
104:CLASS 105:CLASS 107:CLASS 108:CLASS 109:Atom 110:Atom 111:CLASS 112:CLASS 113:CLASS
114:CLASS 115:CLASS 116:CLASS 117:CLASS 118:CLASS 119:CLASS 120:CLASS 121:CLASS
122:CLASS 130:CLASS 131:CLASS 132:CLASS 133:CLASS 134:CLASS 135:CLASS 136:CLASS
137:CLASS 138:CLASS 139:CLASS 140:CLASS 141:CLASS 143:CLASS 144:CLASS
Generic attributes :
109:
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic
110:
Saturation : Unsaturated

=>
Uploading C:\Program Files\Stnexp\Queries\10597473.str



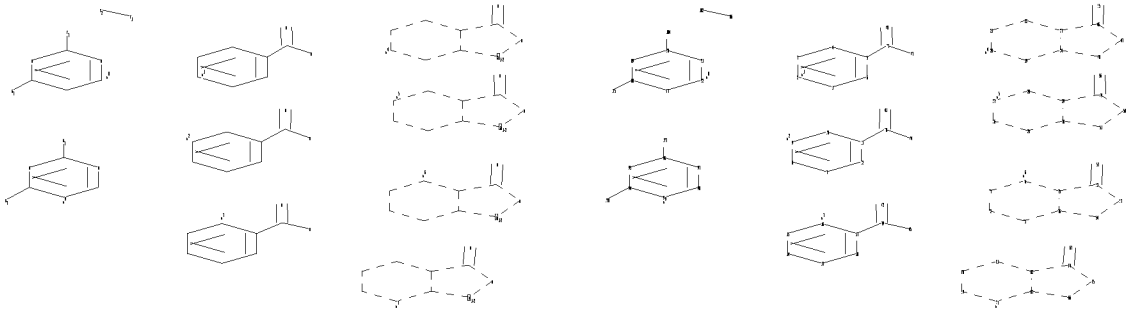
chain nodes :
37 38 39 40 41 42 55 56 57 67 86 102

```
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12  13  14  15  16  17  18  19  20  21  22  23
24  25  26  27  28  29  30  31  32  33  34  35  36  46  47  48  49  50  51  52  53
54  58  59  60  61  62  63  64  65  66  87  88  89  90  91  92  93  94  95  96  97
98
ring/chain nodes :
43  44  45
chain bonds :
5-37  11-38  17-39  37-40  37-43  38-41  38-44  39-42  39-45  46-55  49-56  52-57
64-67  86-102
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12  13-14  13-18
14-15  15-16  16-17  17-18  19-20  19-24  20-21  21-22  22-23  23-24  23-46  24-48
25-26  25-30  26-27  27-28  28-29  29-30  29-49  30-51  31-32  31-36  32-33  33-34
34-35  35-36  35-52  36-54  46-47  47-48  49-50  50-51  52-53  53-54  58-59  58-63
59-60  60-61  61-62  62-63  62-64  63-66  64-65  65-66  87-88  87-92  88-89  89-90
90-91  91-92  93-94  93-98  94-95  95-96  96-97  97-98
exact/norm bonds :
19-20  19-24  20-21  21-22  22-23  23-24  23-46  24-48  25-26  25-30  26-27  27-28
28-29  29-30  29-49  30-51  31-32  31-36  32-33  33-34  34-35  35-36  35-52  36-54
37-40  37-43  38-41  38-44  39-42  39-45  46-47  46-55  47-48  49-50  49-56  50-51
52-53  52-57  53-54  58-59  58-63  59-60  60-61  61-62  62-63  62-64  63-66  64-65
64-67  65-66  86-102
exact bonds :
5-37  11-38  17-39
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12  13-14  13-18
14-15  15-16  16-17  17-18  87-88  87-92  88-89  89-90  90-91  91-92  93-94  93-98
94-95  95-96  96-97  97-98
isolated ring systems :
containing 1 : 7 : 13 : 19 : 25 : 31 : 58 : 87 : 93 :


G1:[*1],[*2],[*3],[*4],[*5],[*6],[*7]

G2:[*8],[*9]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  19:Atom
20:Atom  21:Atom  22:Atom  23:Atom  24:Atom  25:Atom  26:Atom  27:Atom  28:Atom
29:Atom  30:Atom  31:Atom  32:Atom  33:Atom  34:Atom  35:Atom  36:Atom  37:CLASS
38:CLASS  39:CLASS  40:CLASS  41:CLASS  42:CLASS  43:CLASS  44:CLASS  45:CLASS
46:Atom  47:Atom  48:Atom  49:Atom  50:Atom  51:Atom  52:Atom  53:Atom  54:Atom
55:CLASS  56:CLASS  57:CLASS  58:Atom  59:Atom  60:Atom  61:Atom  62:Atom  63:Atom
64:Atom  65:Atom  66:Atom  67:CLASS  86:CLASS  87:Atom  88:Atom  89:Atom  90:Atom
91:Atom  92:Atom  93:Atom  94:Atom  95:Atom  96:Atom  97:Atom  98:Atom  102:CLASS




L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
```

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1 sss sam
SAMPLE SEARCH INITIATED 18:49:32 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    29175 TO ITERATE

  6.9% PROCESSED     2000 ITERATIONS                                 5 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       573279 TO   593721
PROJECTED ANSWERS:             946 TO     1970

L2            5 SEA SSS SAM L1


Uploading C:\Program Files\Stnexp\Queries\10597473 (a).str
```



chain nodes :
37 38 39 40 41 42 55 56 57 67 86 102 104 105 107 108
ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
24 25 26 27 28 29 30 31 32 33 34 35 36 46 47 48 49 50 51 52 53
54 58 59 60 61 62 63 64 65 66 87 88 89 90 91 92 93 94 95 96 97
98
ring/chain nodes :
43 44 45
chain bonds :
5-37 11-38 17-39 37-40 37-43 38-41 38-44 39-42 39-45 46-55 49-56 52-57
64-67 86-102 88-105 90-104 94-108 96-107
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18
14-15 15-16 16-17 17-18 19-20 19-24 20-21 21-22 22-23 23-24 23-46 24-48
25-26 25-30 26-27 27-28 28-29 29-30 29-49 30-51 31-32 31-36 32-33 33-34
34-35 35-36 35-52 36-54 46-47 47-48 49-50 50-51 52-53 53-54 58-59 58-63
59-60 60-61 61-62 62-63 62-64 63-66 64-65 65-66 87-88 87-92 88-89 89-90
90-91 91-92 93-94 93-98 94-95 95-96 96-97 97-98
exact/norm bonds :
19-20 19-24 20-21 21-22 22-23 23-24 23-46 24-48 25-26 25-30 26-27 27-28
28-29 29-30 29-49 30-51 31-32 31-36 32-33 33-34 34-35 35-36 35-52 36-54
37-40 37-43 38-41 38-44 39-42 39-45 46-47 46-55 47-48 49-50 49-56 50-51
52-53 52-57 53-54 58-59 58-63 59-60 60-61 61-62 62-63 62-64 63-66 64-65
64-67 65-66 86-102 88-105 90-104 94-108 96-107
exact bonds :
5-37 11-38 17-39
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18
14-15 15-16 16-17 17-18 87-88 87-92 88-89 89-90 90-91 91-92 93-94 93-98
94-95 95-96 96-97 97-98
isolated ring systems :
containing 1 : 7 : 13 : 19 : 25 : 31 : 58 : 87 : 93 :

G1:[*1],[*2],[*3],[*4],[*5],[*6],[*7]

G2:[*8],[*9]

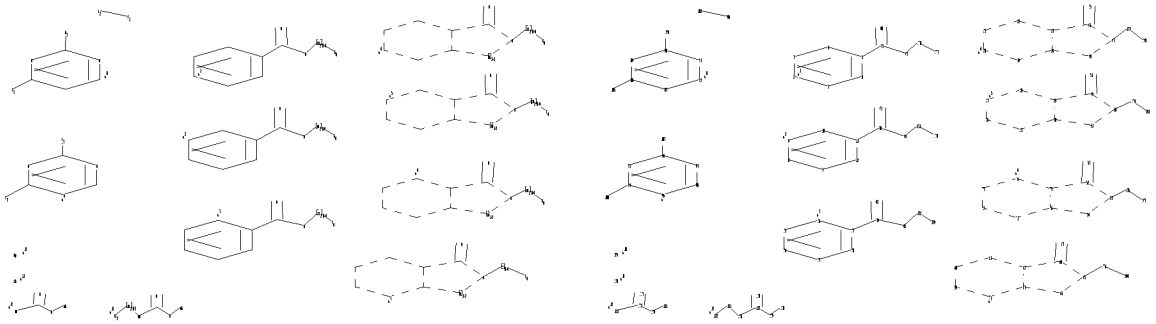
G3:H,N,Cl,Br,F,I

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom
29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:Atom 37:CLASS
38:CLASS 39:CLASS 40:CLASS 41:CLASS 42:CLASS 43:CLASS 44:CLASS 45:CLASS
46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:Atom 52:Atom 53:Atom 54:Atom
55:CLASS 56:CLASS 57:CLASS 58:Atom 59:Atom 60:Atom 61:Atom 62:Atom 63:Atom
64:Atom 65:Atom 66:Atom 67:CLASS 86:CLASS 87:Atom 88:Atom 89:Atom 90:Atom
91:Atom 92:Atom 93:Atom 94:Atom 95:Atom 96:Atom 97:Atom 98:Atom 102:CLASS
104:CLASS 105:CLASS 107:CLASS 108:CLASS

L3 STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS

```
L3              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l3 sss sam
SAMPLE SEARCH INITIATED 18:52:44 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    29175 TO ITERATE

  6.9% PROCESSED     2000 ITERATIONS                                 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       573279 TO   593721
PROJECTED ANSWERS:             259 TO      907

L4            2 SEA SSS SAM L3

=> =>
Uploading C:\Program Files\Stnexp\Queries\10597473 (b).str
```



chain nodes :
37 38 39 40 41 42 55 56 57 67 86 102 104 105 107 108 109 110 111
112 113 114 115 116 117 118 119 120 121 122 130 131 132 133 134 135
136 137 138 139 140 141 143 144

ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
24 25 26 27 28 29 30 31 32 33 34 35 36 46 47 48 49 50 51 52 53
54 58 59 60 61 62 63 64 65 66 87 88 89 90 91 92 93 94 95 96 97
98
ring/chain nodes :
43 44 45
chain bonds :
5-37 11-38 17-39 37-40 37-43 38-41 38-44 39-42 39-45 43-130 44-131
45-132 46-55 47-133 49-56 50-134 52-57 53-135 64-67 65-136 86-102 88-105
90-104 94-108 96-107 111-112 112-113 112-114 114-115 116-117 116-121
117-118 117-119 119-120 121-122 130-137 131-138 132-139 133-140 134-141
135-143 136-144
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18
14-15 15-16 16-17 17-18 19-20 19-24 20-21 21-22 22-23 23-24 23-46 24-48
25-26 25-30 26-27 27-28 28-29 29-30 29-49 30-51 31-32 31-36 32-33 33-34
34-35 35-36 35-52 36-54 46-47 47-48 49-50 50-51 52-53 53-54 58-59 58-63
59-60 60-61 61-62 62-63 62-64 63-66 64-65 65-66 87-88 87-92 88-89 89-90
90-91 91-92 93-94 93-98 94-95 95-96 96-97 97-98
exact/norm bonds :
19-20 19-24 20-21 21-22 22-23 23-24 23-46 24-48 25-26 25-30 26-27 27-28
28-29 29-30 29-49 30-51 31-32 31-36 32-33 33-34 34-35 35-36 35-52 36-54
37-40 37-43 38-41 38-44 39-42 39-45 46-47 46-55 47-48 49-50 49-56 50-51
52-53 52-57 53-54 58-59 58-63 59-60 60-61 61-62 62-63 62-64 63-66 64-65
64-67 65-66 65-136 86-102 88-105 90-104 94-108 96-107 111-112 112-113
112-114 114-115 116-117 117-118 117-119 119-120 130-137 131-138 132-139
133-140 134-141 135-143 136-144
exact bonds :
5-37 11-38 17-39 43-130 44-131 45-132 47-133 50-134 53-135 116-121
121-122
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18
14-15 15-16 16-17 17-18 87-88 87-92 88-89 89-90 90-91 91-92 93-94 93-98
94-95 95-96 96-97 97-98
isolated ring systems :
containing 1 : 7 : 13 : 19 : 25 : 31 : 58 : 87 : 93 :

G1:[*1],[*2],[*3],[*4],[*5],[*6],[*7]

G2:[*8],[*9]

G3:H,N,Cl,Br,F,I

G4:[*10],[*11],[*12],[*13]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom
29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:Atom 37:CLASS
38:CLASS 39:CLASS 40:CLASS 41:CLASS 42:CLASS 43:CLASS 44:CLASS 45:CLASS
46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:Atom 52:Atom 53:Atom 54:Atom
55:CLASS 56:CLASS 57:CLASS 58:Atom 59:Atom 60:Atom 61:Atom 62:Atom 63:Atom
64:Atom 65:Atom 66:Atom 67:CLASS 86:CLASS 87:Atom 88:Atom 89:Atom 90:Atom
91:Atom 92:Atom 93:Atom 94:Atom 95:Atom 96:Atom 97:Atom 98:Atom 102:CLASS
104:CLASS 105:CLASS 107:CLASS 108:CLASS 109:Atom 110:Atom 111:CLASS
112:CLASS 113:CLASS 114:CLASS 115:CLASS 116:CLASS 117:CLASS 118:CLASS
119:CLASS 120:CLASS 121:CLASS 122:CLASS 130:CLASS 131:CLASS 132:CLASS
133:CLASS 134:CLASS 135:CLASS 136:CLASS 137:CLASS 138:CLASS 139:CLASS
140:CLASS 141:CLASS 143:CLASS 144:CLASS

```
Generic attributes :
109:
Saturation             : Unsaturated
Number of Carbon Atoms : less than 7
Type of Ring System    : Monocyclic
110:
Saturation             : Unsaturated




L5      STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l5 sss sam
SAMPLE SEARCH INITIATED 19:00:11 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    52502 TO ITERATE

  3.8% PROCESSED     2000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      1036359 TO  1063721
PROJECTED ANSWERS:               0 TO        0

L6            0 SEA SSS SAM L5

=> s l5 sss ful
FULL SEARCH INITIATED 19:00:26 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  1052558 TO ITERATE

 90.7% PROCESSED   954386 ITERATIONS                             272 ANSWERS

100.0% PROCESSED  1052558 ITERATIONS                             272 ANSWERS
SEARCH TIME: 00.00.33

L7          272 SEA SSS FUL L5

=> => s l7
L8          31 L7

=> d l8 1-31 bib,ab,hitstr
```

L8 ANSWER 1 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2009:487071 CAPLUS
DN 150:472420
TI Biphenylalkylbenzamide derivatives as CCR10 antagonists and their preparation, pharmaceutical compositions and use in the treatment of diseases
IN Dey, Kaka; Gao, Donghong Amy; Goldberg, Daniel R.; Heim-Riether, Alexander; Mangette, John E.; Mugge, Ingo Andreas; Snow, Roger; Swinamer, Alan David; Wu, Jiang-Ping; Xiong, Zhaoming; Yang, Yu
PA Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma Gmbh & Co. KG
SO PCT Int. Appl., 124pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

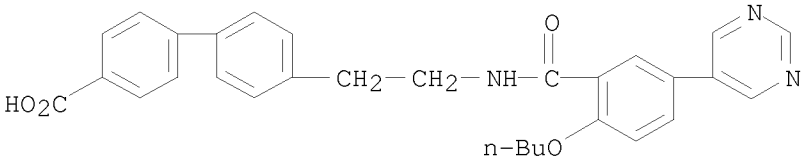
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2009052078	A1	20090423	WO 2008-US79781	20081014

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2007-981214P P 20071019
AB The invention relates to compds. of formula I and their tautomers and pharmaceutically acceptable salts. The invention also relates to methods of using the compds. of formula I and compns. thereof to treat various diseases and disorders in a patient. The invention also relates to processes for preparing the compds. of formula I and intermediates useful in these processes. Compds. of formula I wherein W, X and Z are independently C and N; Y is O, NH and S; A is (CR4R5)0-1; R1 is H, (un)substituted (un)branched C1-8 (halo)alkyl, -(CH2)0-1-C3-8 cycloalkyl, -CH2-aryl and -(CH2)2OCH2-aryl; when X is C and Y is O, R1 may form an (un)substituted fused dihydropyran ring with X and Y; R2 is H, C1-6 (hydroxy)alkyl, halo, CN, -CO2-C1-6 alkyl, -SO0-2-C1-6 alkyl, NO2, OH, CF3, NH2 and derivs., etc.; R3 is H, CO2H, -(CH2)1-4CO2H, -(CH2)0-1-C(C1-6 alkyl)2CO2H, -O(CH2)1-4CO2H, -O(CH2)0-1-C(C1-6 alkyl)2CO2H, -(CH2)0-1-tetrazol-5-yl, etc.; R4-R7 are independently H and C1-6 alkyl; R4R6 may taken together with the carbon attached to form cyclopropyl ring; R8-R11 are independently H, halo, C1-6 alkyl, C1-6 alkoxy, CN, -CO2-C1-6 alkyl, CONH2, SO2NH2, NO2, OH, NH2, CF3 and CH2OH; and their tautomers and pharmaceutically acceptable salts therefor, are claimed. Example compound II was prepared by a multi-step procedure (procedure given). All the invention compds. were evaluated for their CCR10 antagonistic activity. From the assay, it was determined that some of the preferred compds. exhibited the IC50 values of ≤ 500 nM.
IT 1146545-91-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

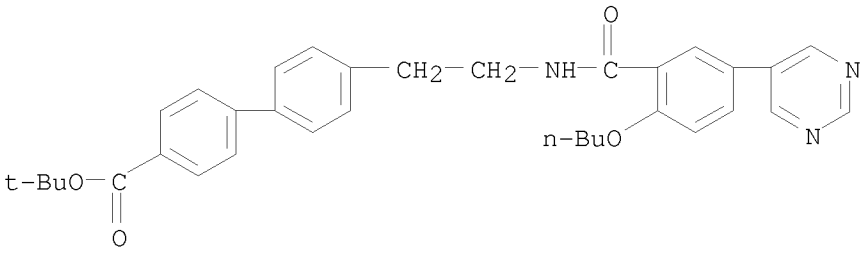
(Uses)
(drug candidate; preparation of (biphenylalkyl)benzamide derivs. as CCR10 antagonists useful in the treatment of diseases)

RN 1146545-91-6 CAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[2-[[2-butoxy-5-(5-pyrimidinyl)benzoyl]amino]ethyl]- (CA INDEX NAME)



IT 1146547-06-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of (biphenylalkyl)benzamide derivs. as CCR10 antagonists useful in the treatment of diseases)

RN 1146547-06-9 CAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-[2-[[2-butoxy-5-(5-pyrimidinyl)benzoyl]amino]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



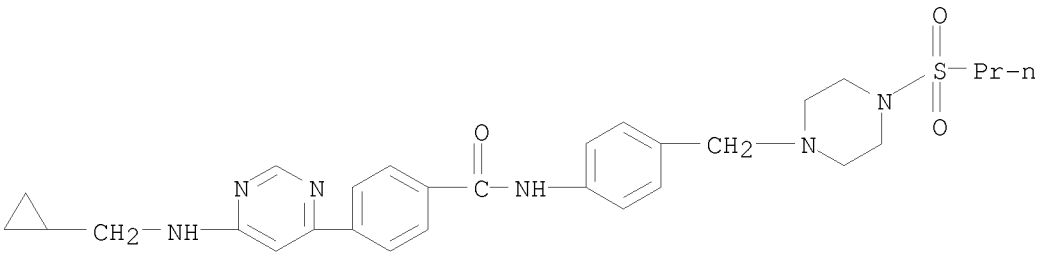
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2009:333775 CAPLUS
DN 150:329846
TI Preparation of heterocyclic derivatives for the treatment of HCV infection
IN Carter, Malcolm Clive; Cockerill, Stuart; Flack, Stephen Sean; Wheelhouse, Christopher James
PA Arrow Therapeutics Limited, UK
SO PCT Int. Appl., 62pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

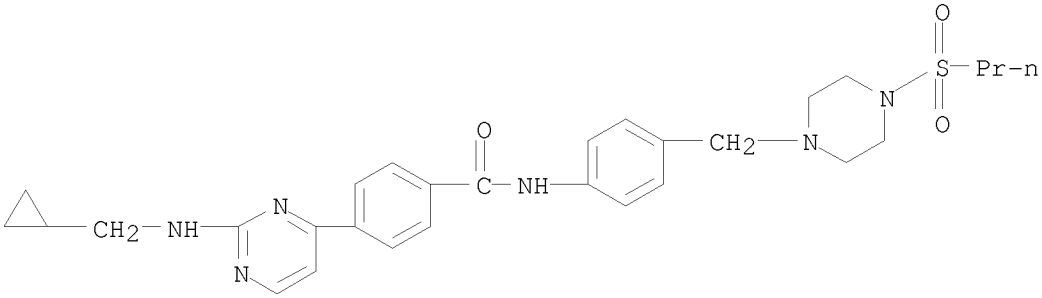
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009034390	A1	20090319	WO 2008-GB50817	20080912

PI
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2007-972272P P 20070914
OS MARPAT 150:329846
AB Title compds. I [R1 = -A1, -L1-A1, -A1-A11, etc.; A, B = -CONR11-, -NR11-CO-, -NR11-, etc.; R11 =H or alkyl; R2 = H, alkyl, alkoxy, etc.; R4 = -A4, -L4-A4, -A4-A41, etc.; W = ethynyl, Ph , heteroaryl, etc.; Y1-Y3 = CH or N; provided that when W is Ph, at least one of Y1-Y3 represents N; A1, A4, A11, A41 = Ph, heteroaryl, heterocyclyl, etc.; L1, L4 = alkylene or hydroxyalkyl] or their pharmaceutically acceptable salts were prepared For example, esterification of 5-bromothiophene-2-carboxylic acid with ethanol followed by Pd(PPh3)4-catalyzed coupling reaction with 4-methyl-N-(4-morpholin-4-ylphenyl)-3-(4,4,5,5-tetramethyl-[1,3,2]dioxaborolan-2-yl)benzamide, hydrolysis and HBTU-mediated amidation with 3-bromoaniline afforded compound II [R = H; R' = Br]. Compound II [R = 1,1-dioxo-thiomorpholin-4-ylmethyl; R' = H] inhibited HCV replication with IC50 of 0.2 μM.
IT 1132825-27-4P 1132825-29-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic derivs. for the treatment of HCV infection)
RN 1132825-27-4 CAPLUS
CN Benzamide, 4-[6-[(cyclopropylmethyl)amino]-4-pyrimidinyl]-N-[4-[[4-(propylsulfonyl)-1-piperazinyl]methyl]phenyl]- (CA INDEX NAME)



RN 1132825-29-6 CAPLUS
CN Benzamide, 4-[2-[(cyclopropylmethyl)amino]-4-pyrimidinyl]-N-[4-[[4-(propylsulfonyl)-1-piperazinyl]methyl]phenyl]- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2009:27862 CAPLUS
DN 150:121653
TI Preparation of substituted benzoimidazole compounds as transcription factor modulators
IN Garrity-Ryan, Lynne; Grier, Mark; Kim, Oak K.; Levy, Stuart B.
PA Paratek Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 210pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

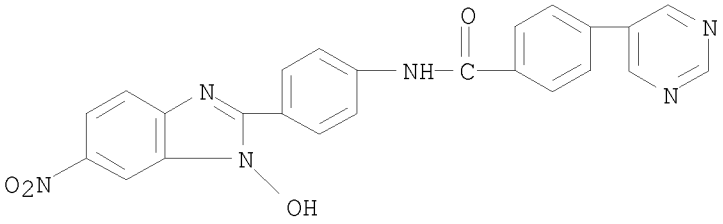
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2009005551	A2	20090108	WO 2008-US4090	20080327
	WO 2009005551	A3	20090409		
	W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	US 20090131481	A1	20090521	US 2008-57357	20080327
PRAI	US 2007-920316P	P	20070327		
	US 2007-931040P	P	20070521		
	US 2007-934684P	P	20070615		
	US 2007-973371P	P	20070918		
	US 2007-16267P	P	20071221		
	US 2008-21136P	P	20080115		

OS MARPAT 150:121653
AB The invention relates to substituted benzoimidazole compds. with the formula I useful as anti-infectives that decrease resistance, virulence, or growth of microbes are provided. Methods of using substituted benzimidazole compds., in, e.g., reducing virulence and infectivity, inhibiting biofilms and treating bacterial infections, comprising contacting the cell with an effective amount of a transcription factor modulating compound of formula I are provided. Compds. of formula I [R1 = H, OH, OCH2-aryl, CH2CH2CO2H, OCH2CO2CH2CH3, etc.; R2 = H or NR2aR2b, wherein R2a and R2b independently = H, alkyl or aminoalkyl; X = CR3, N or NO; R3 = absent when X = N, or NO, NO2, H, acyl, halogen, alkoxy, CO2H, etc.; R4 = H, alkoxy, alkyl, halo, CO2H, etc.; Z = CH, N or NO; Ar = (un)substituted pyrazinyl, Ph, furanyl, thiophenyl, etc.; L = absent, H, unsubstituted Ph when R16 = absent, or L = O, SO, SO2, OCH2, CH2, etc.; R16 = H, alkoxy, OH, MH2, alkyl, NO2, halo, etc.], and their pharmaceutically acceptable salts thereof are prepared E.g., general procedure was given to prepare II. II exhibited in vitro activity aganist LcrF(VirF) from Y.pseudotuberculosis with EC50 value of < 10 μM for inhibition of LcrF(VirF)-DNA binding.
IT 1073519-16-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of substituted benzoimidazole compds. as transcription factor modulators useful in treatment and prophylaxis of infections)

RN 1073519-16-0 CAPLUS

CN Benzamide, N-[4-(1-hydroxy-6-nitro-1H-benzimidazol-2-yl)phenyl]-4-(5-pyrimidinyl)- (CA INDEX NAME)



L8 ANSWER 4 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:1536758 CAPLUS
DN 150:77511
TI Imine-(hetero)aryl-amide derivatives as kinase inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases
IN Shoemaker, Robert; Cardellina, John; Currens, Michael; Kondapaka, Sudhir; Pommier, Yves; Jobson, Andy; Scudiero, Dominic; Waugh, David; Lountos, George; Cook, Charles M.; Zhang, Guangtao; Colasanti, Andrew; Self, Christopher R.
PA Provid Pharmaceuticals, Inc., USA; United States Dept. of Health and Human Services, NIH
SO PCT Int. Appl., 152pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008156573	A1	20081224	WO 2008-US7181	20080609
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20090018141	A1	20090115	US 2008-135575	20080609
PRAI US 2007-934375P	P	20070612		
US 2008-66696P	P	20080221		

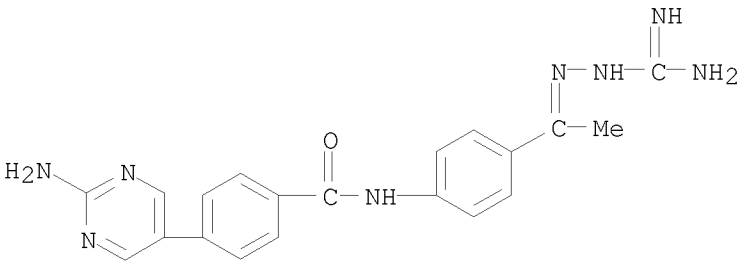
OS MARPAT 150:77511
AB Provided herein are compds. of formula I, compns. comprising an effective amount of a compound of formula I and methods for treating or preventing cancer, hypoxia, diabetes, stroke, autoimmune disease or a condition treatable or preventable by inhibition of Chk2, the ATM-Chk2 pathway or RSK2 comprising administering an effective amount of a compound of formula I to a patient in need thereof. Compds. of formula I wherein n is 0-1; R1 is H; R2 is -CHO, -CO-C1-6 alkyl, -C1-6 alkoxy, (un)substituted hydrazidoacyl, substituted aminoethyl, etc.; R1R2 may taken together with the atoms attached to form (un)substituted 5- to 6-membered cycloalkenyl; X is -NHCONH- and derivs., -CONH- and derivs., -NHCO- and derivs., -NHNHCO- and derivs., -CONHNH- and derivs., -CO-, -NHSO2NH-, -NHSO2- and -SO2NH-; L is a bond and C1-6 alkylene; A is (un)substituted aryl, (un)substituted C3-10 heteroaryl, (un)substituted C3-10 (hetero)cycloalkyl and (un)substituted C1-6 alkyl; each of R3 is independently H, -OH, -C1-6 alkoxy, -NH2 and derivs., -SH, and -S-C1-6 alkyl; and their pharmaceutically acceptable salts, solvates and stereoisomers thereof, are claimed. Example compound II was prepared via addition of 1-(4-aminophenyl)-1-butanone to 4-acetylphenyl isocyanate; the resulting urea underwent condensation with aminoguanidine to give II. All the invention compds. were evaluated for their kinase inhibitory activity. From the assay, it was determined that II exhibited the EC50 value of < 100 nM

against Chk2.

IT 1093793-33-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of imine-(hetero)aryl-amide derivs. as kinase inhibitors useful in the treatment of diseases)

RN 1093793-33-9 CAPLUS

CN Benzamide, N-[4-[1-[2-(aminoiminomethyl)hydrazinylidene]ethyl]phenyl]-4-(2-amino-5-pyrimidinyl)- (CA INDEX NAME)



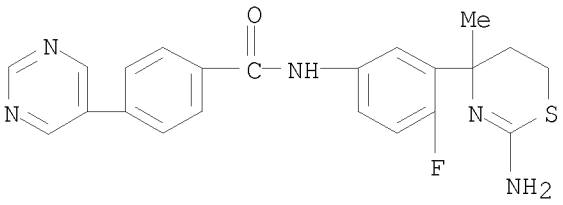
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:1339223 CAPLUS
DN 149:534228
TI Preparation of aminodihydrothiazine derivatives as BACE1 inhibitors
IN Tamura, Yuusuke; Suzuki, Shinji; Tada, Yukio; Yonezawa, Shuji; Fujikoshi, Chiaki; Matsumoto, Sae; Kooriyama, Yuuji; Ueno, Tatsuhiko
PA Shionogi & Co., Ltd., Japan
SO PCT Int. Appl., 255pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

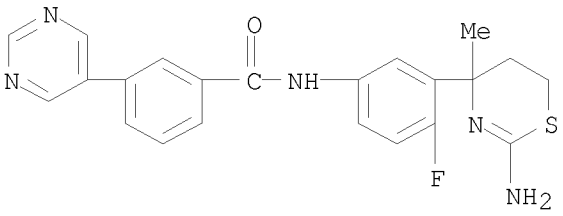
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008133274	A1	20081106	WO 2008-JP57847	20080423

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI JP 2007-114288 A 20070424
JP 2007-290589 A 20071108
OS MARPAT 149:534228
AB The title compds. I [ring A is an optionally substituted carbocyclic group or an optionally substituted heterocyclic group; R1 is optionally substituted lower alkyl, optionally substituted lower alkenyl, or optionally substituted lower alkynyl, etc.; R20 and R21 are each independently hydrogen, optionally substituted lower alkyl, or optionally substituted acyl; and R3, R4, R5, and R6 are each independently hydrogen, halogeno, hydroxy, optionally substituted lower alkyl, etc.] are prepared The title compound II was prepared in a multistep process starting from 2'-fluoroacetophenone. Compds. of this invention showed IC50 values of 0.02 μM to 9.25 μM against β-secretase. Pharmaceutical formulations are given.
IT 1075226-48-0P
RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminodihydrothiazine derivs. as BACE1 inhibitors)
RN 1075226-48-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



IT 1075226-40-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminodihydrothiazine derivs. as BACE1 inhibitors)
RN 1075226-40-2 CAPLUS
CN Benzamide, N-[3-(2-amino-5,6-dihydro-4-methyl-4H-1,3-thiazin-4-yl)-4-fluorophenyl]-3-(5-pyrimidinyl)- (CA INDEX NAME)



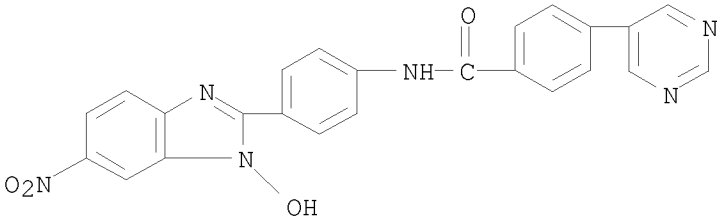
RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:1300312 CAPLUS
DN 149:513823
TI Preparation of benzoimidazole compounds as transcription factor modulating compounds to treat infections
IN Kim, Oak K.
PA Paratek Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 141pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008130368	A2	20081030	WO 2007-US14758	20070625
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007351886	A1	20081030	AU 2007-351886	20070625
CA 2656157	A1	20081030	CA 2007-2656157	20070625
EP 2038274	A2	20090325	EP 2007-873415	20070625
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
PRAI US 2006-815984P	P	20060623		
WO 2007-US14758	W	20070625		

OS MARPAT 149:513823
AB The instant invention identifies microbial transcription factors, e.g., transcription factors of the AraC-XylS family, as virulence factors in microbes and shows that inhibition of these factors reduces the virulence of microbial cells. Because these transcription factors control virulence, rather than essential cellular processes, the development of resistance is much less likely. Accordingly, in one aspect, the invention is directed to a method for preventing infection of a subject by a microbe comprising: administering a compound that modulates the expression or activity of a microbial transcription factor to a subject at risk of developing an infection such that infection of the subject is prevented. In one embodiment, the invention pertains, at least in part, to a method for reducing antibiotic resistance of a microbial cell. The method includes contacting the cell with a transcription factor modulating compound of the formula I (wherein R1 is OH, OCOCO2H, C1-C5 alkyloxy, etc.; A, B, D, E, W, X, Y and Z are independently C or N; R1-R13 are independently H, alkyl, alkenyl, alkynyl, etc.). Synthetic procedures for preparing I are exemplified. Example compound II, prepared by reacting the appropriate 6-nitro-2-(4-aminophenyl)-1-hydroxybenzimidazole derivative with the acid chloride or mixed anhydrides of the appropriate triazolylphenyl acrylamide derivative, had an EC50 of <10μM against Pseudomonas aeruginosa ExsA DNA binding in vitro.

IT 1073519-16-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzoimidazole compds. as transcription factor modulating compds. to treat infections)
RN 1073519-16-0 CAPLUS
CN Benzamide, N-[4-(1-hydroxy-6-nitro-1H-benzimidazol-2-yl)phenyl]-4-(5-pyrimidinyl)- (CA INDEX NAME)



L8 ANSWER 7 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:1127907 CAPLUS
DN 149:402373
TI (Phenylamino)pyrimidine derivatives as protein kinases inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases
IN Burns, Christopher John; Donohue, Andrew Craig; Feutrill, John Thomas; Ngygen, Thao Lien Thi; Wilks, Andrew Frederick; Zeng, Jun
PA Cytopia Research Pty Ltd, Australia
SO PCT Int. Appl., 104pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008109943	A1	20080918	WO 2008-AU339	20080312

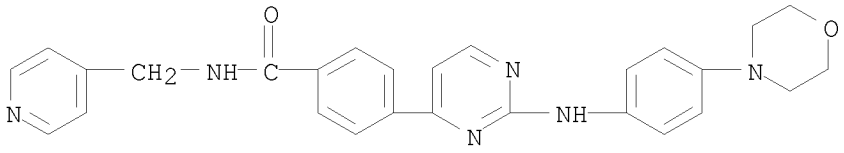
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2007-894264P	P	20070312	
US 2007-16252P	P	20071221	

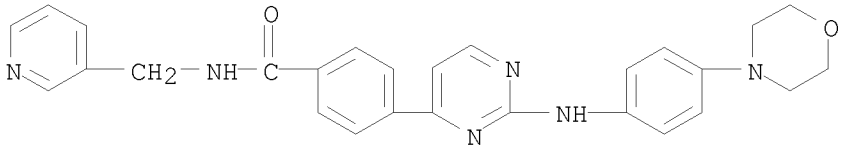
OS MARPAT 149:402373
AB The invention relates to (phenylamino)pyrimidine derivs. of formula I, which are inhibitors of protein kinases including JAK kinases. In particular, the compds. are selective for JAK2 kinases. The kinase inhibitors can be used in the treatment of kinase associated diseases such as immunol. and inflammatory diseases including organ transplants; hyperproliferative diseases including cancer and myeloproliferative diseases; viral diseases; metabolic diseases; and vascular diseases. Compds. of formula I wherein Q and Z are independently N and CR1; R1 is H, halo, R2, OR2, OH, R4, OR4, CN, CF3, (CH2)1-3-N(R2)2, NO2, etc.; R2 is (un)substituted C1-4 alkyl and (un)substituted C1-4 alkylene where up to two carbon atoms can be optionally replaced with CO, NH and derivs., CONH and derivs., S, SO2 and O; R4 is NH2 and derivs., (un)substituted (thio)morpholino, (un)substituted thiomorpholino-1-oxide, etc.; R6-R10 are independently H, RxCN, halo, (un)substituted C1-4 alkyl, OR1, CO2R1, N(R1)2, NO2, CON(R1)2, etc.; Rx is absent, (un)substituted C1-6 alkylene where up to two carbon atoms can be optionally replaced with CO, NSO2R1, CONH and derivs., S, SO2 and O; R11 is H, halo, (un)substituted C1-4 alkyl, OR2, CO2R2, CN, CON(R1)2 and CF3; and their enantiomers, prodrugs and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepd.via Suzuki coupling of 4-(ethoxycarbonyl)phenylboronic acid with 2,4-dichloropyrimidine followed by amination with 4-morpholinoaniline, hydrolysis and amidation with aminoacetonitrile. All the invention compds. were evaluated for their protein kinases inhibitory activity. From the assay, it was determined that II exhibited an IC50 value of < 5 μM against JAK2.

IT 1056635-10-9P 1056635-11-0P 1056635-17-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of (phenylamino)pyrimidine derivs. as protein kinase inhibitors useful in treatment of diseases)

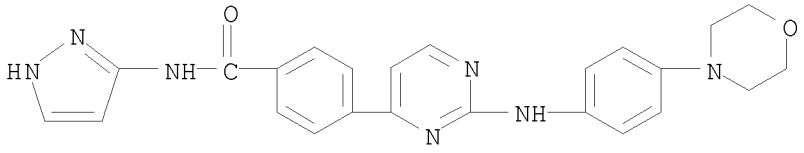
RN 1056635-10-9 CAPLUS
CN Benzamide, 4-[2-[[4-(4-morpholinyl)phenyl]amino]-4-pyrimidinyl]-N-(4-pyridinylmethyl)- (CA INDEX NAME)



RN 1056635-11-0 CAPLUS
CN Benzamide, 4-[2-[[4-(4-morpholinyl)phenyl]amino]-4-pyrimidinyl]-N-(3-pyridinylmethyl)- (CA INDEX NAME)

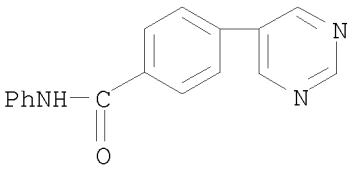


RN 1056635-17-6 CAPLUS
CN Benzamide, 4-[2-[[4-(4-morpholinyl)phenyl]amino]-4-pyrimidinyl]-N-1H-pyrazol-3-yl- (CA INDEX NAME)

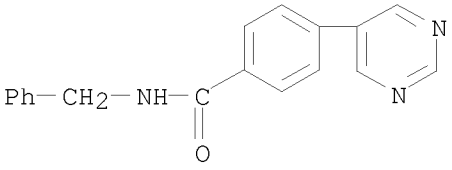


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:1064318 CAPLUS
DN 149:471305
TI Structural modifications of salicylates: inhibitors of human CD81-receptor HCV-E2 interaction
AU Holzer, Marcel; Ziegler, Sigrid; Neugebauer, Alexander; Kronenberger, Bernd; Klein, Christian D.; Hartmann, Rolf W.
CS Pharmaceutical and Medicinal Chemistry, Saarland University, Saarbruecken, Germany
SO Archiv der Pharmazie (Weinheim, Germany) (2008), 341(8), 478-484
CODEN: ARPMAS; ISSN: 0365-6233
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
AB The starting point of the present paper was the result of a virtual screening using the open conformation of the large extracellular loop (LEL) of the CD81-receptor (crystal structure: PDB-ID: 1G8Q). After benzyl salicylate had been exptl. validated to be a moderate inhibitor of the CD81-LEL-HCV-E2 interaction, further optimization was performed and heterocyclic-substituted benzyl salicylate derivs. were synthesized. The compds. were tested for their ability to inhibit the interaction of a fluorescence-labeled antibody to CD81-LEL using HUH7.5 cells. No compound showed an increase concerning the inhibition of the protein-protein interaction compared to benzyl salicylate.
IT 1071925-60-4P 1071925-63-7P 1071925-68-2P
1071925-70-6P 1071925-75-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of heterocyclic salicylate derivs. via Suzuki coupling of phenylboronic acid carboxylates with heteroaryl bromides followed by amide/ester formation and attempted inhibition of human CD81-receptor HCV-E2 interaction)
RN 1071925-60-4 CAPLUS
CN Benzamide, N-phenyl-4-(5-pyrimidinyl)- (CA INDEX NAME)

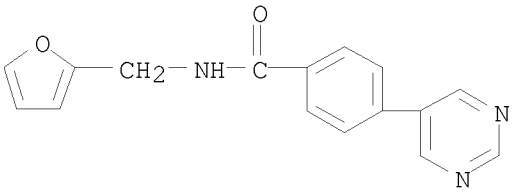


RN 1071925-63-7 CAPLUS
CN Benzamide, N-(phenylmethyl)-4-(5-pyrimidinyl)- (CA INDEX NAME)

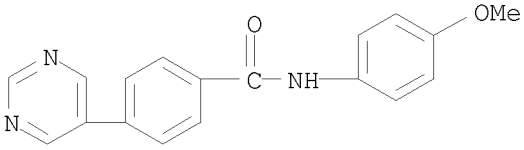


RN 1071925-68-2 CAPLUS

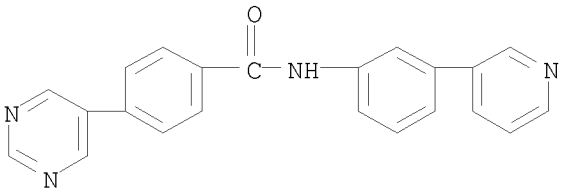
CN Benzamide, N-(2-furanylmethyl)-4-(5-pyrimidinyl)- (CA INDEX NAME)



RN 1071925-70-6 CAPLUS
CN Benzamide, N-(4-methoxyphenyl)-4-(5-pyrimidinyl)- (CA INDEX NAME)



RN 1071925-75-1 CAPLUS
CN Benzamide, N-[3-(3-pyridinyl)phenyl]-4-(5-pyrimidinyl)- (CA INDEX NAME)



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

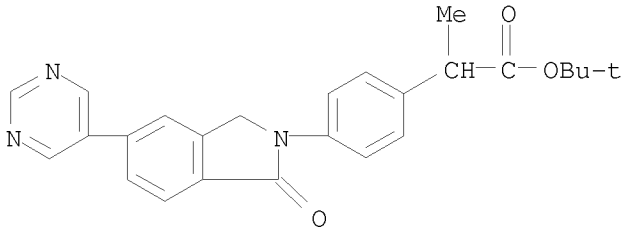
L8 ANSWER 9 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:1092624 CAPLUS
DN 147:385820
TI Preparation of oxoisoindolinylphenylpropanoates and its analogs for the treatment of spinal muscular atrophy and other uses
IN Heemskerk, Jill; Barnes, Keith D.; McCall, John M.; Johnson, Graham; Fairfax, David; Johnson, Matthew Robert
PA United States Dept. of Health and Human Services, USA; Albany Molecular Research, Inc.; Science Applications International Corporation (SAIC)
SO PCT Int. Appl., 280pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007109211	A2	20070927	WO 2007-US6772	20070313
	WO 2007109211	A3	20071213		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	AU 2007227398	A1	20070927	AU 2007-227398	20070313
	CA 2645426	A1	20070927	CA 2007-2645426	20070316
	EP 2027088	A2	20090225	EP 2007-753404	20070316
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
	IN 2008CN04932	A	20090313	IN 2008-CN4932	20080916
PRAI	US 2006-783292P	P	20060317		
	WO 2007-US6772	W	20070313		

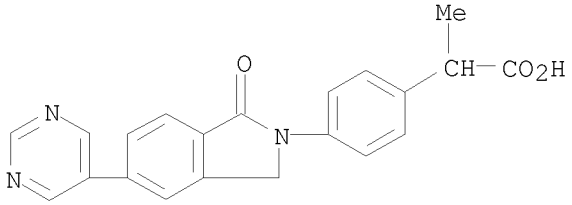
OS MARPAT 147:385820
AB The title compds. I or II [W = C(O), C(S), CH2; B = CH2, CH(CnH2n+1) (wherein n = 1-8); C = fused thiophene, fused pyridine, cyclohexane (any of which can be saturated or contain one or two non-conjugated double bonds); R1, R2 = H, alkyl; or R1 and R2 may be taken together with the carbon atom to which they are attached to form a cycloalkyl ring or carbonyl group; R3 = H, halo, alkyl, etc.; R4-R7 = H, OH, halo, etc.; with the proviso], useful for the treatment of spinal muscular atrophy or other uses, were prepared and claimed. E.g., a multi-step synthesis of I [B = CH2; W = C(O); R1 = H; R2 = Me; X = CO2H; R6 = Cl; R3-R5, R7 = H], starting from 2-(4-nitrophenyl)propanoic acid, was given. Compds. I and II were tested for their ability to increase SMN expression in cervical carcinoma cell lines (data given for representative compds. I). This invention also relates to methods of using compds. I or II to increase SMN expression, increase EAAT2 expression, or increase the expression of a nucleic acid that encodes a translational stop codon introduced by mutation or frameshift.
IT 950735-69-0P 950735-75-8P 950737-49-2P

950737-58-3P 950738-16-6P 950738-32-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxoisoindolinylphenylpropanoates and its analogs for the treatment of spinal muscular atrophy and other uses)

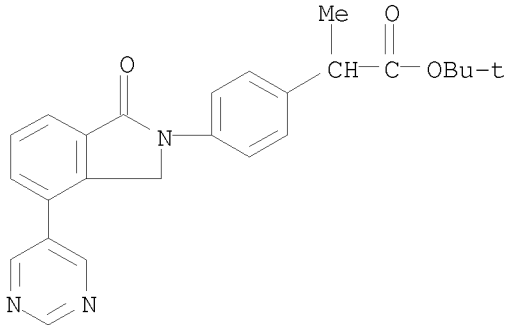
RN 950735-69-0 CAPLUS
CN Benzeneacetic acid, 4-[1,3-dihydro-1-oxo-5-(5-pyrimidinyl)-2H-isoindol-2-yl]-α-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



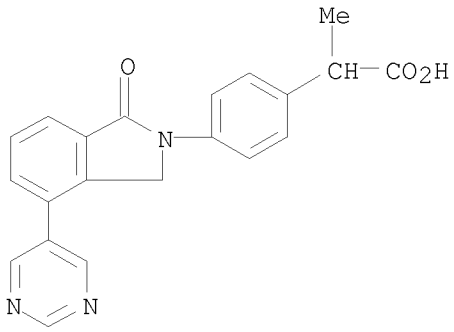
RN 950735-75-8 CAPLUS
CN Benzeneacetic acid, 4-[1,3-dihydro-1-oxo-5-(5-pyrimidinyl)-2H-isoindol-2-yl]-α-methyl- (CA INDEX NAME)



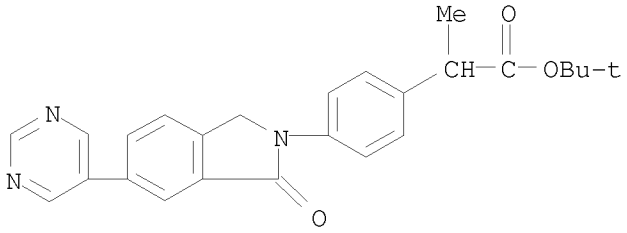
RN 950737-49-2 CAPLUS
CN Benzeneacetic acid, 4-[1,3-dihydro-1-oxo-4-(5-pyrimidinyl)-2H-isoindol-2-yl]-α-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



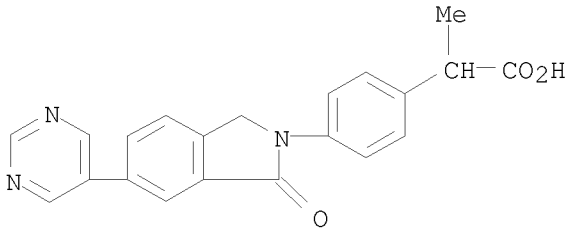
RN 950737-58-3 CAPLUS
CN Benzeneacetic acid, 4-[1,3-dihydro-1-oxo-4-(5-pyrimidinyl)-2H-isoindol-2-yl]-α-methyl- (CA INDEX NAME)



RN 950738-16-6 CAPLUS
CN Benzeneacetic acid, 4-[1,3-dihydro-1-oxo-6-(5-pyrimidinyl)-2H-isoindol-2-yl]-α-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 950738-32-6 CAPLUS
CN Benzeneacetic acid, 4-[1,3-dihydro-1-oxo-6-(5-pyrimidinyl)-2H-isoindol-2-yl]-α-methyl- (CA INDEX NAME)

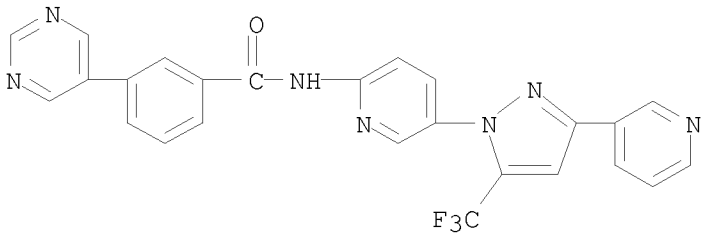


L8 ANSWER 10 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:644048 CAPLUS
DN 147:72748
TI Substituted pyrazole compounds useful as soluble epoxide hydrolase inhibitors and their preparation and pharmaceutical compositions
IN Fleck, Roman Wolfgang; Guo, Xin; Lo, Ho Yin; Man, Chuk Chui
PA Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
SO PCT Int. Appl., 317pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

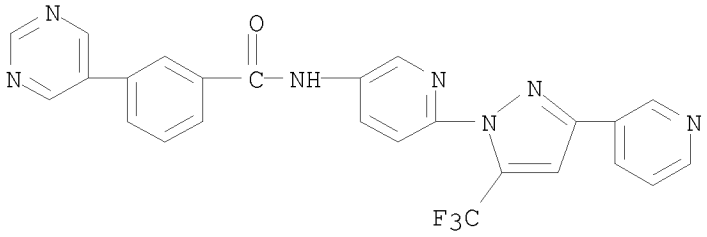
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007067836	A2	20070614	WO 2006-US60863	20061114
	WO 2007067836	A3	20071115		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	CA 2630233	A1	20070614	CA 2006-2630233	20061114
	EP 1960367	A2	20080827	EP 2006-839868	20061114
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	JP 2009518442	T	20090507	JP 2008-544601	20061114
PRAI	US 2005-742350P	P	20051205		
	WO 2006-US60863	W	20061114		

OS MARPAT 147:72748
AB Disclosed are compds. of formula I and II that are active against soluble epoxide hydrolase (sEH), compns. thereof and methods of using and making same. Compds. of formula I and II where G is acylamino; X1-X2 s CH=CH, N=CH, C=N, and N=N; R2 is (un)substituted heteroaryl and (un)substituted carbocycles; n is 0 - 5; and their pharmaceutically acceptable salts thereof, are claimed. Example compound III was prepared by acylation of 3-acetylpyridine with Et trifluoroacetate; the resulting 4,4,4-trifluoro-1-(pyridin-3-yl)butane-1,3-dione underwent cyclization with 2-fluoro-5-hydrazinopyridine to give 2-(6-fluoropyridin-3-yl)-5-(pyridin-3-yl)-3-trifluoromethyl-3,4-dihydro-2H-pyrazol-3-ol, which underwent amination and elimination to give 5-(3-(pyridin-3-yl)-5-trifluoromethylpyrazol-1-yl)pyridin-2-ylamine, which underwent amidation with 3-cyano-5-fluorobenzoic acid to give compound III. All the invention compds. were evaluated for their sEH inhibitory activity.
IT 940954-59-6P 940956-22-9P 940957-19-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted pyrazole compds. useful as soluble

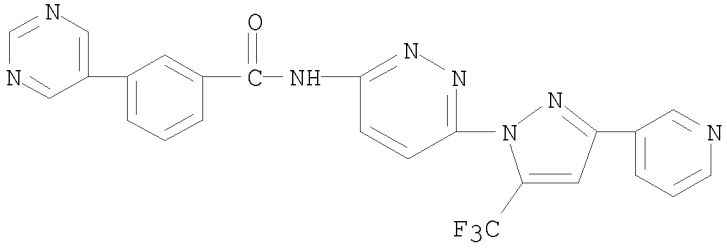
epoxide hydrolase inhibitors)
RN 940954-59-6 CAPLUS
CN Benzamide, N-[5-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]-2-pyridinyl]-3-(5-pyrimidinyl)- (CA INDEX NAME)



RN 940956-22-9 CAPLUS
CN Benzamide, N-[6-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]-3-pyridinyl]-3-(5-pyrimidinyl)- (CA INDEX NAME)



RN 940957-19-7 CAPLUS
CN Benzamide, N-[6-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]-3-pyridazinyl]-3-(5-pyrimidinyl)- (CA INDEX NAME)



L8 ANSWER 11 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:538609 CAPLUS
DN 146:521688
TI Preparation of benzene derivatives as activated blood coagulation factor X inhibitors
IN Hirayama, Fukushi; Fujiyasu, Jiro; Kaga, Daisuke; Negoro, Kenji; Sasuga, Daisuke; Seki, Norio; Suzuki, Ken-Ichi
PA Astellas Pharma Inc., Japan
SO PCT Int. Appl., 96pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

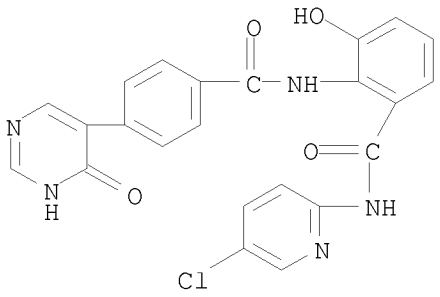
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007055183	A1	20070518	WO 2006-JP322133	20061107
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	AU 2006313136	A1	20070518	AU 2006-313136	20061107
	CA 2628963	A1	20070518	CA 2006-2628963	20061107
	EP 1947086	A1	20080723	EP 2006-823046	20061107
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	KR 2008046711	A	20080527	KR 2008-708720	20080411
	US 20090054352	A1	20090226	US 2008-91099	20080422
	IN 2008CN02246	A	20090306	IN 2008-CN2246	20080506
	MX 2008006087	A	20080523	MX 2008-6087	20080508
	CN 101304969	A	20081112	CN 2006-80041744	20080508
PRAI	JP 2005-323491	A	20051108		
	WO 2006-JP322133	W	20061107		

OS MARPAT 146:521688
AB Title compds. I [X1 = -NR12-CO-, -CO-NR12-; X2 = -NR13-CO-, -CO-NR13-; ring A = 5- or 6-membered ring which may have double bonds and heteroatoms selected from N, O and S; ring B = benzene ring, heteroaryl ring containing heteroatoms selected from N, O and S; R = H, sugar moiety; R1-R8 = H, halo, (un)substituted alkyl, etc.; R9-R11 = H, halo, (un)substituted alkyl, etc.; R12, R13 = H, alkyl] and their salts were prepared For example, EDCI mediated amidation of 4-(1-methyl-4-oxo-1,4-dihydropyridin-3-yl)benzoic acid, e.g., prepared from 3-bromo-1-methylpyridin-4(1H)-one in 2 steps, with 2-amino-N-(5-chloro-2-pyridinyl)-3-hydroxybenzamide followed by treatment with HCl afforded compound II hydrochloride. In human factor Xa inhibition assays, the IC50 value of compound II hydrochloride was 6.7 nM. Compds. I are claimed useful for the treatment of thrombus and embolism.
IT 936634-40-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of benzene derivs. as activated blood coagulation factor X inhibitors)

RN 936634-40-1 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[4-(3,4-dihydro-4-oxo-5-pyrimidinyl)benzoyl]amino]-3-hydroxy- (CA INDEX NAME)



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:384275 CAPLUS
DN 146:401997
TI Preparation of diarylamine-containing compounds and compositions, and their use as modulators of c-kit receptors
IN Molteni, Valentina; Li, Xiaolin; Chianelli, Donatella; Loren, Jon; Liu, Yi; Karanewsky, Donald S.; Furet, Pascal; Guagnano, Vito; You, Shuli; Nabakka, Juliet; Liu, Xiaodong; Pan, Shifeng
PA Irm LLC, Japan; Novartis A.-G.
SO PCT Int. Appl., 241pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

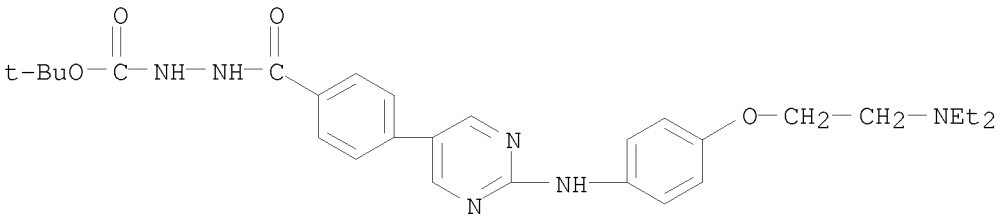
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007038669	A2	20070405	WO 2006-US37820	20060926
	WO 2007038669	A3	20071122		
	WO 2007038669	A9	20080529		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	AU 2006297089	A1	20070405	AU 2006-297089	20060926
	CA 2622494	A1	20070405	CA 2006-2622494	20060926
	US 20070149538	A1	20070628	US 2006-535455	20060926
	US 7514447	B2	20090407		
	EP 1928236	A2	20080611	EP 2006-815653	20060926
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
	JP 2009510086	T	20090312	JP 2008-533595	20060926
	US 20080139597	A1	20080612	US 2007-932986	20071031
	US 20080139559	A1	20080612	US 2007-933056	20071031
	US 20080167308	A1	20080710	US 2007-932945	20071031
	US 20090012094	A1	20090108	US 2007-933030	20071031
	IN 2008DN02474	A	20080627	IN 2008-DN2474	20080324
	MX 2008003975	A	20080414	MX 2008-3975	20080325
	KR 2008048041	A	20080530	KR 2008-707357	20080326
	CN 101272685	A	20080924	CN 2006-80035547	20080326
	NO 2008001975	A	20080602	NO 2008-1975	20080424
PRAI	US 2005-721015P	P	20050927		
	US 2006-535455	A1	20060926		
	WO 2006-US37820	W	20060926		

OS MARPAT 146:401997
AB Title compds. I and II [Ar = (un)substituted 5 or 6-membered aryl heterocycle or carbocycle; Q = non-aromatic tertiary amine or secondary amine with provisions; R1 independently = H, halo, alkyl, etc.; R5 = H or alkyl], and their pharmaceutically acceptable salts, are prepared and

disclosed as modulators of c-kit receptors. Thus, e.g., III was prepared by coupling of N-(5-bromopyrimidin-2-yl)-4-(2-diethylaminoethoxy)phenylamine (preparation given) with 4-methoxyphenylboronic acid. In certain embodiments, compds. of the invention have IC50 values greater than 10 μM (no specific data given). Also described herein are methods for making such compds., methods for using such compds. to modulate the activity of c-kit receptors, and pharmaceutical compns. and medicaments comprising such compds. Also described herein are methods of using such compds., pharmaceutical compns. and medicaments to treat and/or prevent and/or inhibit and/or ameliorate the pathol. and/or symptomol. diseases or conditions associated with the activity of c-kit receptors.

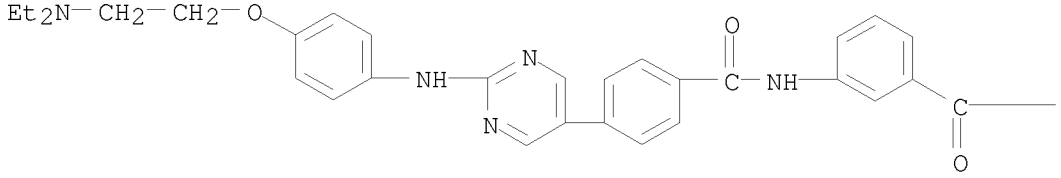
IT 932401-18-8P 932401-58-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylpyrimidinyl amines and their use as modulators of c-kit receptors)

RN 932401-18-8 CAPLUS
CN Hydrazinecarboxylic acid, 2-[4-[2-[[4-[2-(diethylamino)ethoxy]phenyl]amino]-5-pyrimidinyl]benzoyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 932401-58-6 CAPLUS
CN Benzoic acid, 3-[[4-[2-[[4-[2-(diethylamino)ethoxy]phenyl]amino]-5-pyrimidinyl]benzoyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

L8 ANSWER 13 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:411890 CAPLUS
DN 144:450725
TI Preparation of pyrazolopyrimidinones and analogs, and their compositions as cannabinoid CB1 receptor inhibitors
IN Liu, Hong; He, Xiaohui; Choi, Ha-Soon; Yang, Kunyong; Woodmansee, David; Wang, Zhicheng; Ellis, David Archer; Wu, Baogen; He, Yun; Nguyen, Truc Ngoc
PA Irm LLC, Bermuda
SO PCT Int. Appl., 259 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006047516	A2	20060504	WO 2005-US38361	20051026
	WO 2006047516	A3	20061012		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	AU 2005299421	A1	20060504	AU 2005-299421	20051026
	CA 2581225	A1	20060504	CA 2005-2581225	20051026
	EP 1807429	A2	20070718	EP 2005-813001	20051026
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				
	CN 101048408	A	20071003	CN 2005-80036890	20051026
	JP 2008518016	T	20080529	JP 2007-539039	20051026
	BR 2005017015	A	20080930	BR 2005-17015	20051026
	IN 2007DN02514	A	20070803	IN 2007-DN2514	20070403
	MX 2007004936	A	20070625	MX 2007-4936	20070424
	KR 2007057980	A	20070607	KR 2007-709370	20070425
	NO 2007002352	A	20070531	NO 2007-2352	20070507
PRAI	US 2004-622508P	P	20041026	102(e) based on US provisional priority	
	US 2005-672670P	P	20050418		
	WO 2005-US38361	W	20051026		

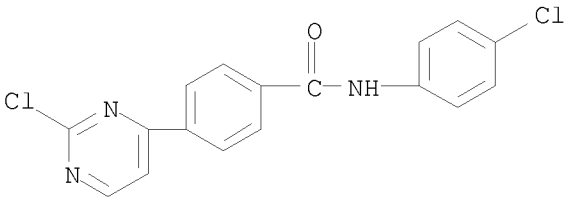
OS CASREACT 144:450725; MARPAT 144:450725
AB Title compds. I [Y = O, NH and derivs., S; R1 = (un)substituted Ph, heteroaryl, cycloalkyl, benzyl; R2 = (un)substituted Ph, OPh, heterocycloalkyl, heteroaryl; R3 = H, halo, OH, CN, etc.; R4 = (un)substituted hetero/aryl, alkyl, etc.; and their pharmaceutically acceptable salts, hydrates, solvates and isomers; with the exception of certain compds.] were prepared as selective cannabinoid CB1 receptor inhibitors. Thus, II was prepared, in 3 steps, starting from 5-amino-1-phenyl-1H-pyrazole-4-carboxylic acid Et ester and 2,4-dichlorobenzoyl chloride. Preferred compds. I showed a 100 fold selectivity for CB1 over CB2 receptor. Pharmaceutical compns. comprising I are useful for preventing and treating diseases or disorders associated

with the activity of CB1 receptor, e.g. metabolic disorders.

IT 885619-19-2P, N-(4-Chlorophenyl)-4-(2-chloropyrimidin-4-yl)benzamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrazolopyrimidinones and analogs as CB1 inhibitors)

RN 885619-19-2 CAPLUS

CN Benzamide, N-(4-chlorophenyl)-4-(2-chloro-4-pyrimidinyl)- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

Intervening based on the provisional priority date of the reference 10/26/04

Claims are not entitled to the provisional priority date of 1/30/04

L8 ANSWER 14 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:167946 CAPLUS
DN 144:254003
TI Preparation of isoindolones as metabotropic glutamate receptor potentiators
IN Clayton, Joshua; Ma, Fupeng; Van Wagenen, Bradford; Ukkiramapandian, Radhakrishnan; Egle, Ian; Empfield, James; Isaac, Methvin; Slassi, Abdelmalik; Steelman, Gary; Urbanek, Rebecca; Walsh, Sally
PA Astrazeneca AB, Swed.; NPS Pharmaceuticals, Inc.
SO PCT Int. Appl., 424 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006020879	A1	20060223	WO 2005-US28760	20050812
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005272738	A1	20060223	AU 2005-272738	20050812
CA 2575853	A1	20060223	CA 2005-2575853	20050812
EP 1778634	A1	20070502	EP 2005-785509	20050812
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101039907	A	20070919	CN 2005-80034786	20050812
JP 2008509926	T	20080403	JP 2007-525831	20050812
BR 2005014005	A	20080527	BR 2005-14005	20050812
WO 2007021308	A1	20070222	WO 2006-US5246	20060215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
WO 2007021309	A1	20070222	WO 2006-US5247	20060215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,				

SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1912939	A1	20080423	EP 2006-720758	20060215	
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
EP 1912940	A1	20080423	EP 2006-720759	20060215	
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
JP 2009509920	T	20090312	JP 2008-525976	20060215	
JP 2009509921	T	20090312	JP 2008-525977	20060215	
MX 2007001282	A	20070418	MX 2007-1282	20070131	
IN 2007DN00870	A	20070803	IN 2007-DN870	20070201	
KR 2007097405	A	20071004	KR 2007-702667	20070201	
IN 2008DN00864	A	20090320	IN 2008-DN864	20080131	
IN 2008DN00934	A	20090320	IN 2008-DN934	20080131	
CN 101309905	A	20081119	CN 2006-80035377	20080325	
CN 101277934	A	20081001	CN 2006-80036311	20080331	
US 20080227794	A1	20080918	US 2008-63007	20080529	
US 20090111830	A1	20090430	US 2008-63018	20080723	
PRAI US 2004-601125P	P	20040813			
US 2005-684945P	P	20050527			
WO 2005-US28760	W	20050812			
WO 2006-US5246	W	20060215			
WO 2006-US5247	W	20060215			

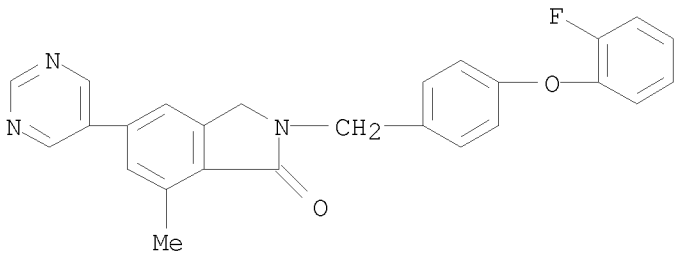
OS CASREACT 144:254003; MARPAT 144:254003

AB The title compds. I [R1 = (un)substituted 3-7 membered ring that may contain one or more heteroatoms selected from N, O and S; R2, R3 = H, alkyl, aryl, etc.; R4, R6 = H, OH, halo, etc.; R5 = H, halo, NO2, etc.; R7 = H, halo, NO2, etc.; R8, R9 = H, halo, NO2, etc.; or, where n is greater than 1, two or more R8 and/or R9 on adjacent carbons may be absent to form an alkenyl or alkynyl moiety], useful as metabotropic glutamate receptor modulators, particularly in neurol. and psychiatric disorders, were prepared E.g., a multi-step synthesis of II, was given. Generally, compds. I were active in assays described (e.g., mGluR2 assay) at concns. (or with EC50 values) less than 10 μM. The pharmaceutical composition comprising the compound I is disclosed.

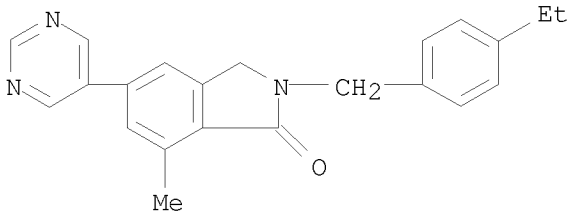
IT 877145-62-5P 877146-22-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isoindolones as metabotropic glutamate receptor potentiators)

RN 877145-62-5 CAPLUS

CN 1H-Isoindol-1-one, 2-[[4-(2-fluorophenoxy)phenyl]methyl]-2,3-dihydro-7-methyl-5-(5-pyrimidinyl)- (CA INDEX NAME)



RN 877146-22-0 CAPLUS
CN 1H-Isoindol-1-one, 2-[(4-ethylphenyl)methyl]-2,3-dihydro-7-methyl-5-(5-pyrimidinyl)- (CA INDEX NAME)



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:1350718 CAPLUS
DN 144:88308
TI Preparation of substituted quinazolones as B-Raf kinase inhibitors for the treatment of cancer
IN Aquila, Brian; Dakin, Les; Ezhuthachan, Jayachandran; Lee, John; Lyne, Paul; Pontz, Timothy
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

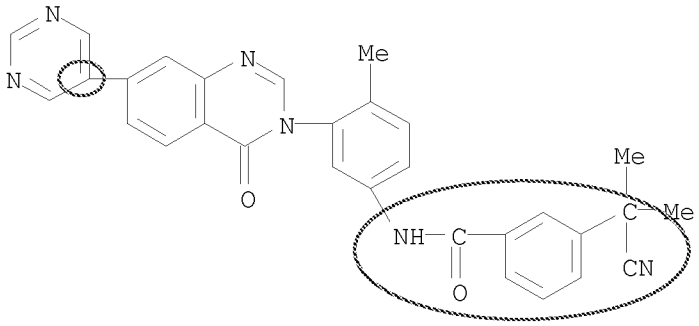
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005123696	A1	20051229	WO 2005-GB2327	20050614
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2005254771	A1	20051229	AU 2005-254771	20050614
	CA 2568756	A1	20051229	CA 2005-2568756	20050614
	EP 1761506	A1	20070314	EP 2005-752424	20050614
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV				
	CN 101001845	A	20070718	CN 2005-80027417	20050614
	JP 2008502666	T	20080131	JP 2007-516027	20050614
	BR 2005012075	A	20080206	BR 2005-12075	20050614
	US 20080275022	A1	20081106	US 2006-569918	20061201
	MX 2006014696	A	20070212	MX 2006-14696	20061214
	NO 2007000199	A	20070111	NO 2007-199	20070111
	IN 2007DN00347	A	20070817	IN 2007-DN347	20070112
	KR 2007028536	A	20070312	KR 2007-700950	20070115
PRAI	US 2004-579265P	P	20040615		
	WO 2005-GB2327	W	20050614		

OS CASREACT 144:88308; MARPAT 144:88308
AB Title compds. I [A = 5-6 membered carbocyclyl, 5-6 membered heterocyclyl; R1-6 = H, halo, NO2, etc.; R7 = halo, NO2, CN, OH, etc.; n = 1-4; with certain provisions] are prepared For instance, N-[3-(6-bromo-4-oxo-4H-quinazolin-3-yl)-4-methylphenyl]-3-trifluoromethylbenzamide is prepared from 2-amino-5-bromobenzoic acid, tri-Et orthoformate and N-(3-amino-4-methylphenyl)-3-trifluoromethylbenzamide (preparation given). Selected examples exhibit IC50 in the range of 0.518 to 3.20 μM for B-Raf protein kinase. I are anticancer agents.
IT 872091-31-1P, 3-(1-Cyano-1-methylethyl)-N-[4-methyl-3-[4-oxo-7-(pyrimidin-5-yl)-4H-quinazolin-3-yl]phenyl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of substituted quinazolones as B-Raf kinase inhibitors for treatment of cancer)

RN 872091-31-1 CAPLUS

CN Benzamide, 3-(1-cyano-1-methylethyl)-N-[4-methyl-3-[4-oxo-7-(5-pyrimidinyl)-3(4H)-quinazolinyl]phenyl]- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

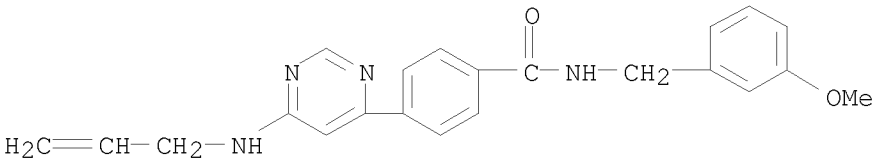
L8 ANSWER 16 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:823509 CAPLUS
DN 143:229572
TI Preparation of benzamides for treating a disorder mediated by inappropriate ROCK-1 activity
IN Drewry, David Kendall; Jung, David Kendall; Linn, James Andrew; Hunter, Robert Neil, III; Lee, Dennis; Stavenger, Robert A.; Sehon, Clark
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DT Patent
LA English

Applicant's

FAN.CNT 1

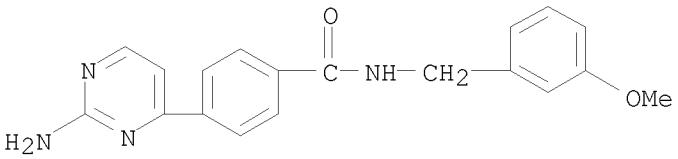
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005074643	A2	20050818	WO 2005-US3479	20050128
WO 2005074643	A3	20060309		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1713775	A2	20061025	EP 2005-712794	20050128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
JP 2007519754	T	20070719	JP 2006-551626	20050128
US 20080275062	A1	20081106	US 2006-597473	20060727
PRAI US 2004-540621P	P	20040130		
WO 2005-US3479	W	20050128		

OS CASREACT 143:229572; MARPAT 143:229572
AB The title compds. I [R1 = H, alkyl or as indicated by the dotted line is fused to the Ph forming a 5-6 membered ring, optionally containing a double bond; n = 0-4; R2 = (un)substituted aryl, etc.; or when n = 0 then NR1R2 = 5-6 membered monocyclic heterocyclic ring or 9-10 membered bicyclic heterocyclic ring; X = indazolyl, pyrazolyl, (un)substituted pyridyl, pyrimidinyl], useful for treating disorders mediated by inappropriate ROCK-1 activity, were prepared E.g., a 3-step synthesis of II, starting from Me 4-bromobenzoate and 4-pyridylboronic acid, was given. All exemplified compds. I showed inhibitory activity vs. Rock-1 with a pIC50 of 5.0 or greater. The pharmaceutical composition comprising the compound I is disclosed.
IT 862723-04-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzamides for treating a disorder mediated by inappropriate ROCK-1 activity)
RN 862723-04-4 CAPLUS
CN Benzamide, N-[(3-methoxyphenyl)methyl]-4-[6-(2-propen-1-ylamino)-4-pyrimidinyl]- (CA INDEX NAME)

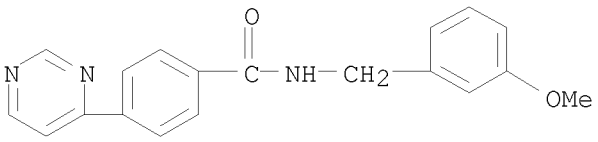


IT 862723-00-0P 862723-02-2P 862723-05-5P
862723-07-7P 862723-08-8P 862723-09-9P
862723-10-2P 862723-11-3P 862723-12-4P
862723-13-5P 862723-14-6P 862723-15-7P
862723-16-8P 862723-17-9P 862723-18-0P
862723-19-1P 862723-20-4P 862723-21-5P
862723-22-6P 862723-25-9P 862723-26-0P
862723-27-1P 862723-30-6P 862723-32-8P
862723-35-1P 862723-36-2P 862723-37-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzamides for treating a disorder mediated by inappropriate ROCK-1 activity)

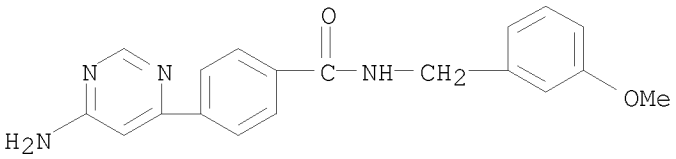
RN 862723-00-0 CAPLUS
CN Benzamide, 4-(2-amino-4-pyrimidinyl)-N-[(3-methoxyphenyl)methyl]- (CA INDEX NAME)



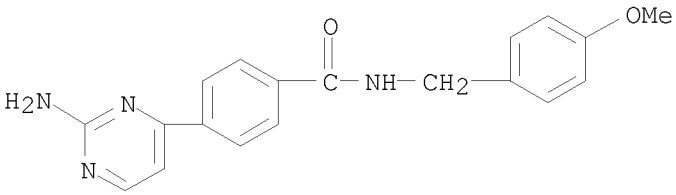
RN 862723-02-2 CAPLUS
CN Benzamide, N-[(3-methoxyphenyl)methyl]-4-(4-pyrimidinyl)- (CA INDEX NAME)



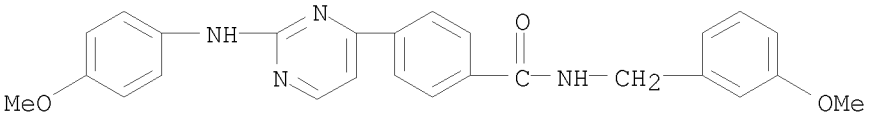
RN 862723-05-5 CAPLUS
CN Benzamide, 4-(6-amino-4-pyrimidinyl)-N-[(3-methoxyphenyl)methyl]- (CA INDEX NAME)



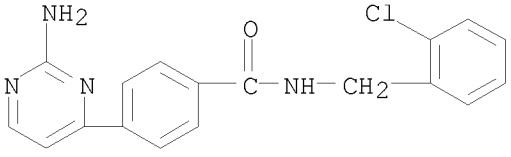
RN 862723-07-7 CAPLUS
CN Benzamide, 4-(2-amino-4-pyrimidinyl)-N-[(4-methoxyphenyl)methyl]- (CA INDEX NAME)



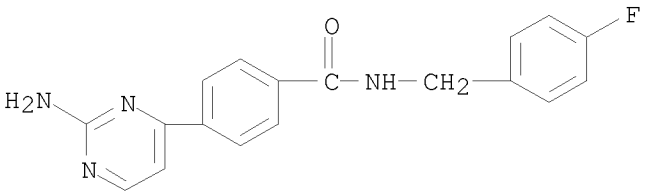
RN 862723-08-8 CAPLUS
CN Benzamide, 4-[2-[(4-methoxyphenyl)amino]-4-pyrimidinyl]-N-[(3-methoxyphenyl)methyl]- (CA INDEX NAME)



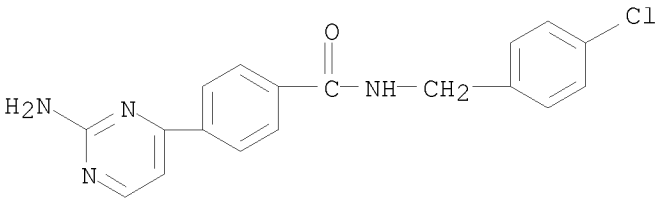
RN 862723-09-9 CAPLUS
CN Benzamide, 4-(2-amino-4-pyrimidinyl)-N-[(2-chlorophenyl)methyl]- (CA INDEX NAME)



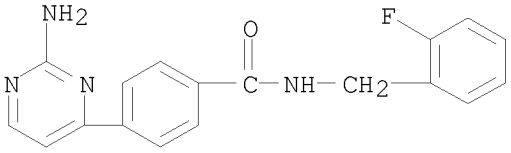
RN 862723-10-2 CAPLUS
CN Benzamide, 4-(2-amino-4-pyrimidinyl)-N-[(4-fluorophenyl)methyl]- (CA INDEX NAME)



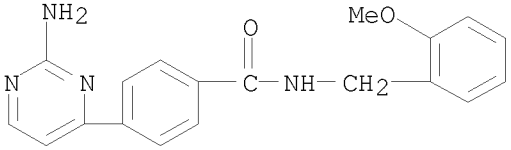
RN 862723-11-3 CAPLUS
CN Benzamide, 4-(2-amino-4-pyrimidinyl)-N-[(4-chlorophenyl)methyl]- (CA INDEX NAME)



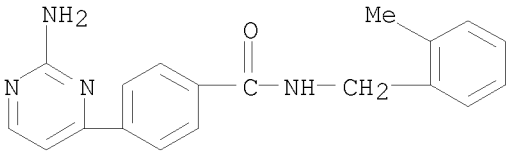
RN 862723-12-4 CAPLUS
CN Benzamide, 4-(2-amino-4-pyrimidinyl)-N-[(2-fluorophenyl)methyl]- (CA INDEX NAME)



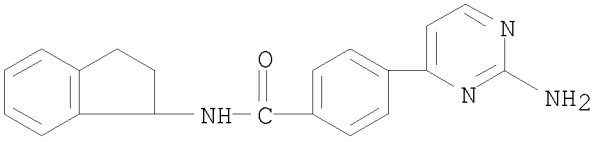
RN 862723-13-5 CAPLUS
CN Benzamide, 4-(2-amino-4-pyrimidinyl)-N-[(2-methoxyphenyl)methyl]- (CA INDEX NAME)



RN 862723-14-6 CAPLUS
CN Benzamide, 4-(2-amino-4-pyrimidinyl)-N-[(2-methylphenyl)methyl]- (CA INDEX NAME)

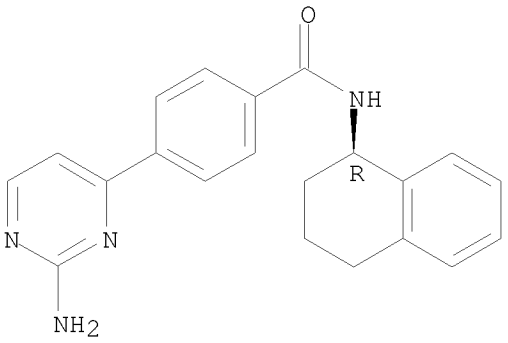


RN 862723-15-7 CAPLUS
CN Benzamide, 4-(2-amino-4-pyrimidinyl)-N-(2,3-dihydro-1H-inden-1-yl)- (CA INDEX NAME)

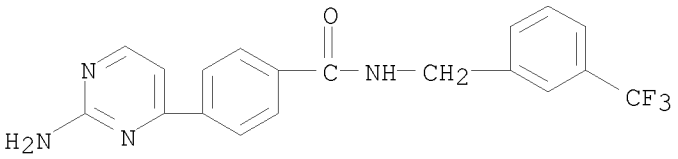


RN 862723-16-8 CAPLUS
CN Benzamide, 4-(2-amino-4-pyrimidinyl)-N-[(1R)-1,2,3,4-tetrahydro-1-naphthalenyl]- (CA INDEX NAME)

Absolute stereochemistry.

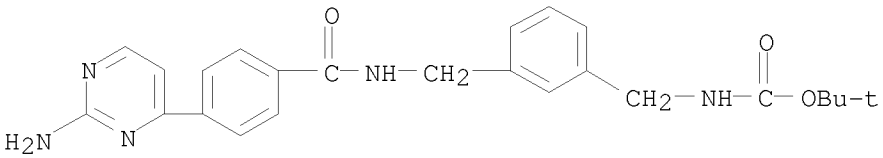


RN 862723-17-9 CAPLUS
CN Benzamide, 4-(2-amino-4-pyrimidinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

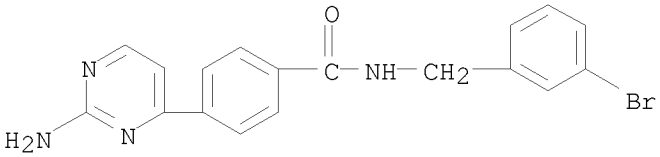


RN 862723-18-0 CAPLUS
CN Carbamic acid, [[3-[[[4-(2-amino-4-pyrimidinyl)benzoyl]amino]methyl]phenyl]methyl]-, 1,1-dimethylethyl ester

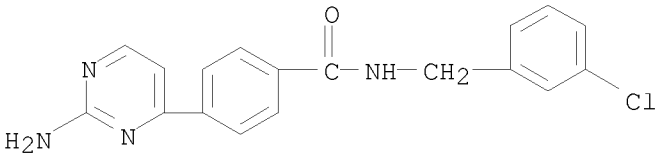
(9CI) (CA INDEX NAME)



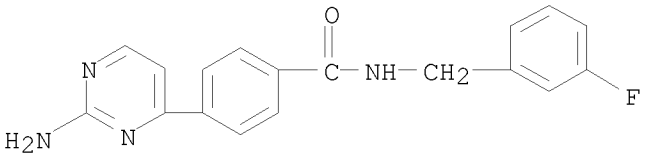
RN 862723-19-1 CAPLUS
CN Benzamide, 4-(2-amino-4-pyrimidinyl)-N-[(3-bromophenyl)methyl]- (CA INDEX NAME)



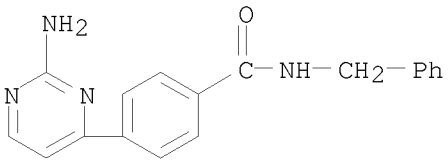
RN 862723-20-4 CAPLUS
CN Benzamide, 4-(2-amino-4-pyrimidinyl)-N-[(3-chlorophenyl)methyl]- (CA INDEX NAME)



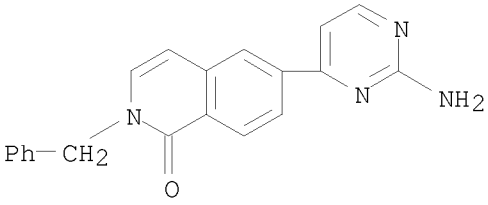
RN 862723-21-5 CAPLUS
CN Benzamide, 4-(2-amino-4-pyrimidinyl)-N-[(3-fluorophenyl)methyl]- (CA INDEX NAME)



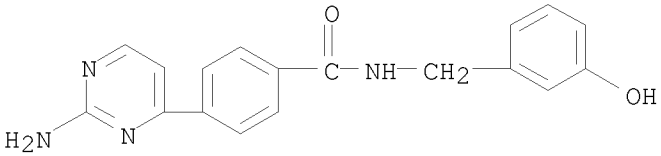
RN 862723-22-6 CAPLUS
CN Benzamide, 4-(2-amino-4-pyrimidinyl)-N-(phenylmethyl)- (CA INDEX NAME)



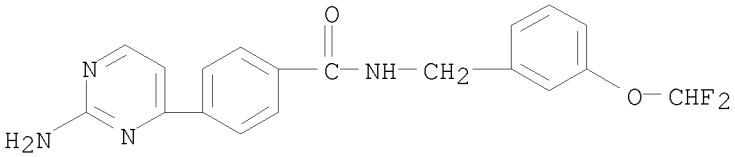
RN 862723-25-9 CAPLUS
CN 1(2H)-Isoquinolinone, 6-(2-amino-4-pyrimidinyl)-2-(phenylmethyl)- (CA INDEX NAME)



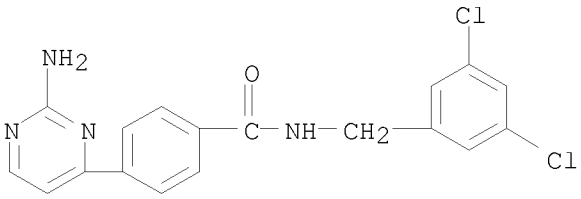
RN 862723-26-0 CAPLUS
CN Benzamide, 4-(2-amino-4-pyrimidinyl)-N-[(3-hydroxyphenyl)methyl]- (CA INDEX NAME)



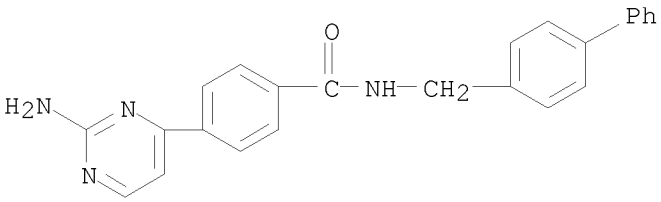
RN 862723-27-1 CAPLUS
CN Benzamide, 4-(2-amino-4-pyrimidinyl)-N-[[3-(difluoromethoxy)phenyl]methyl]- (CA INDEX NAME)



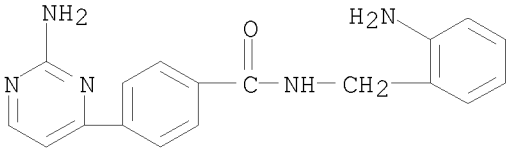
RN 862723-30-6 CAPLUS
CN Benzamide, 4-(2-amino-4-pyrimidinyl)-N-[(3,5-dichlorophenyl)methyl]- (CA INDEX NAME)



RN 862723-32-8 CAPLUS
CN Benzamide, 4-(2-amino-4-pyrimidinyl)-N-([1,1'-biphenyl]-4-ylmethyl)- (CA INDEX NAME)

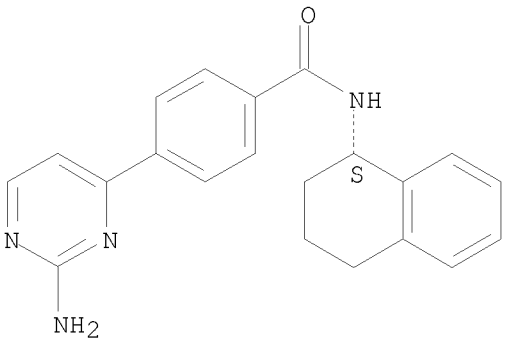


RN 862723-35-1 CAPLUS
CN Benzamide, N-[(2-aminophenyl)methyl]-4-(2-amino-4-pyrimidinyl)- (CA INDEX NAME)



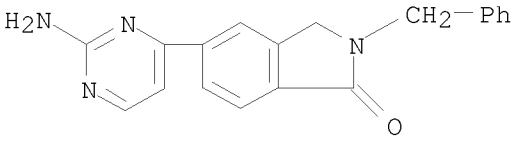
RN 862723-36-2 CAPLUS
CN Benzamide, 4-(2-amino-4-pyrimidinyl)-N-[(1S)-1,2,3,4-tetrahydro-1-naphthalenyl]- (CA INDEX NAME)

Absolute stereochemistry.



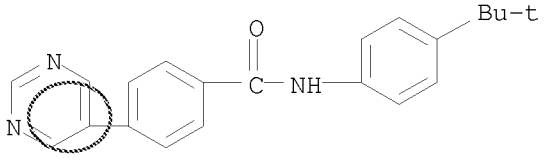
RN 862723-37-3 CAPLUS

CN 1H-Isoindol-1-one, 5-(2-amino-4-pyrimidinyl)-2,3-dihydro-2-(phenylmethyl)-
(CA INDEX NAME)

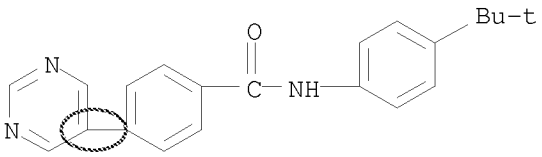


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:244488 CAPLUS
DN 143:477704
TI Biarylcarboxybenzamide derivatives as potent vanilloid receptor (VR1) antagonistic ligands. [Erratum to document cited in CA142:279933]
AU Park, Hyeung-geun; Choi, Ji-yeon; Kim, Mi-hyun; Choi, Sea-hoon; Park, Mi-kyung; Lee, Jihye; Suh, Young-Ger; Cho, Hawon; Oh, Uhtaek; Kim, Hee-Doo; Joo, Yung Hyup; Shin, Song Seok; Kim, Jin Kwan; Jeong, Yeon Su; Koh, Hyun-Ju; Park, Young-Ho; Jew, Sang-sup
CS Research Institute of Pharmaceutical Sciences, College of Pharmacy, Seoul National University, Seoul, 151-741, S. Korea
SO Bioorganic & Medicinal Chemistry Letters (2005), 15(7), 1955
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
AB The legend of Figure 2 should read: "Stereoviews of the preferred three-dimensional conformations. (a) Energy-minimized conformation of 1 (5.113 kcal/mol); (b) energy-minimized conformation of 2 (6.876 kcal/mol)". In Scheme 1, the lower right structure should be labeled "2, 3a-o" and in "reagents and conditions", the information for reaction (iv) should read: "CH3I, NaH, THF, 1 h, 0 °C, 92%". On page 633, column 2, the sentence starting on line 3 should read: In a series of aniline amide analogs (2, 3k-o) in Table 2, the bulky and hydrophobic substituted analogs, 2 (tert-Bu, IC50 = 0.031 μM) and 3o (iso-propyl, IC50 = 0.038 μM) gave higher antagonistic activities than relatively smaller or polar group analogues.". The legend of Figure 4 should read: "Comparison of the channel activity of capsaicin (1 μM) to 2 (0.3 μM) in the presence of capsaicin (1μM). CTL is control activity before the application of capsaicin.". On page 634, sentence 1 should read: "In conclusion, 17 biarylcarboxbenzamides were prepared and their biological activities were evaluated.". Reference 8b should read: "Tafesse, L.; Sun, Q.; Schmid, L.; Valenzano, K. J.; Rotshteyn, YU.; Su, X.; Kyle, D. J. Bioorg. Med. Chemical Lett. 2004, 14, 5513".
IT 847446-91-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and vanilloid receptor binding affinity of N-aryl biarylcarboxamides via Suzuki cross-coupling of formylphenylboronic acid with aryl halides followed by oxidation and amidation with anilines (Erratum))
RN 847446-91-7 CAPLUS
CN Benzamide, N-[4-(1,1-dimethylethyl)phenyl]-4-(5-pyrimidinyl)- (CA INDEX NAME)



L8 ANSWER 18 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:74673 CAPLUS
DN 142:279933
TI Biarylcarboxybenzamide derivatives as potent vanilloid receptor (VR1) antagonistic ligands
AU Park, Hyeung-geun; Choi, Ji-yeon; Kim, Mi-hyun; Choi, Sea-hoon; Park, Mi-kyung; Lee, Jihye; Suh, Young-Ger; Cho, Hawon; Oh, Uhtaek; Kim, Hee-Doo; Joo, Yung Hyup; Shin, Song Seok; Kim, Jin Kwan; Jeong, Yeon Su; Koh, Hyun-Ju; Park, Young-Ho; Jew, Sang-sup
CS Research Institute of Pharmaceutical Sciences and College of Pharmacy, Seoul National University, Seoul, 151-742, S. Korea
SO Bioorganic & Medicinal Chemistry Letters (2005), 15(3), 631-634
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 142:279933
AB Seventeen biarylcarboxybenzamide derivs., e.g., I, were prepared for the study of their agonistic/antagonistic activities to the vanilloid receptor (VR1) in rat DRG neurons. The replacement of the piperazine moiety of the lead compound with Ph ring showed quite enhanced antagonistic activity. Among the prepared derivs., N-(4-tert-butylphenyl)-4-pyridine-2-yl-benzamide (I, IC50 = 31 nM) and N-(4-tert-butylphenyl)-4-(3-methylpyridine-2-yl)benzamide (IC50 = 31 nM), showed 5-fold higher antagonistic activity than the original lead compound in the 45Ca2+-influx assay.
IT 847446-91-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and vanilloid receptor binding affinity of N-aryl biarylcarboxamides via Suzuki cross-coupling of formylphenylboronic acid with aryl halides followed by oxidation and amidation with anilines)
RN 847446-91-7 CAPLUS
CN Benzamide, N-[4-(1,1-dimethylethyl)phenyl]-4-(5-pyrimidinyl)- (CA INDEX NAME)



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

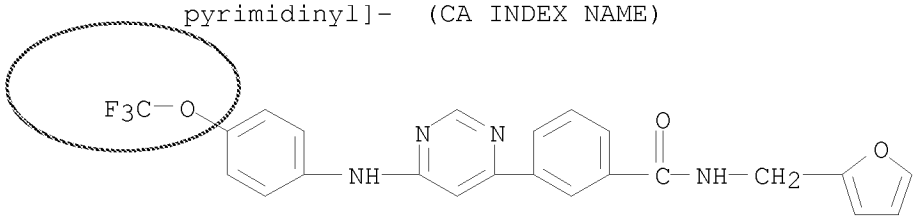
L8 ANSWER 19 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:878265 CAPLUS
DN 141:366255
TI Preparation of substituted pyrimidinamines and triazinamines as protein kinase inhibitors
IN Ding, Qiang; Sim, Tae-Bo; Zhang, Guobao; Adrian, Francisco; Gray, Nathanael S.; Schultz, Peter G.
PA IRM LLC, Bermuda
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004089286	A2	20041021	WO 2004-US10083	20040402
	WO 2004089286	A3	20050421		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 20050014753	A1	20050120	US 2004-817328	20040401
	AU 2004227943	A1	20041021	AU 2004-227943	20040402
	AU 2004227943	B2	20080904		
	CA 2521184	A1	20041021	CA 2004-2521184	20040402
	EP 1613595	A2	20060111	EP 2004-758738	20040402
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	BR 2004009173	A	20060411	BR 2004-9173	20040402
	CN 1798734	A	20060705	CN 2004-80015433	20040402
	JP 2006522143	T	20060928	JP 2006-509594	20040402
	MX 2005010711	A	20051215	MX 2005-10711	20051004
	IN 2005CN02515	A	20070831	IN 2005-CN2515	20051004
PRAI	US 2003-460838P	P	20030404		
	US 2004-817328	A	20040401		
	WO 2004-US10083	W	20040402		

OS MARPAT 141:366255
AB The title compds. [I; X1, X2 = N, CR4 (wherein R4 = H, alkyl); L = a bond, O, NR5 (R5 = H, alkyl); R1 = X3NR6R7, X3OR7, X3R7 (X3 = a bond, alkylene; R6 = H, alkyl: R7 = aryl, heteroaryl); R2 = H, halo, NH2, etc.; R3 = (heterocycloalkyl)alkyl, heteroarylalkyl, arylalkyl, etc.], useful for treating or preventing diseases or disorders associated with abnormal or deregulated tyrosine kinase activity, particularly diseases associated with the activity of PDGF-R, c-Kit and Bcr-abl, were prepared E.g., a multi-step synthesis of II, starting from 4,6-dichloropyrimidine and p-trifluoromethoxyaniline, was given. The compds. I preferably show an IC50 in the range of 1x10-10 to 1x10-5M for Bcr-abl (specific data for one of the exemplified compds. I are given). The pharmaceutical composition comprising the compound I is claimed.
IT 778274-28-5P 778274-58-1P 778274-74-1P

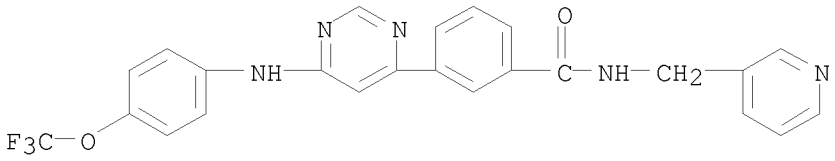
778275-08-4P 778275-45-9P 778276-42-9P
778277-22-8P 778277-24-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted pyrimidinamines and triazinamines as protein kinase inhibitors for treating tumors)

RN 778274-28-5 CAPLUS
CN Benzamide, N-(2-furanylmethyl)-3-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

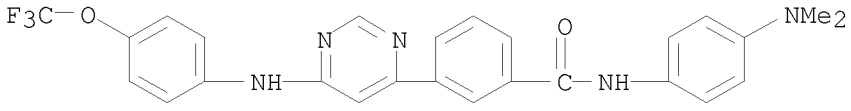


Claims allow for a -OC1-3alkyl group NOT haloalkoxy or OCF3

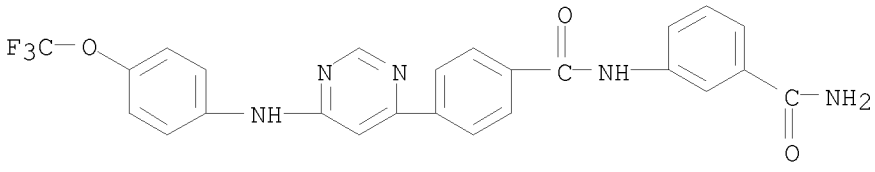
RN 778274-58-1 CAPLUS
CN Benzamide, N-(3-pyridinylmethyl)-3-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 778274-74-1 CAPLUS
CN Benzamide, N-[4-(dimethylamino)phenyl]-3-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

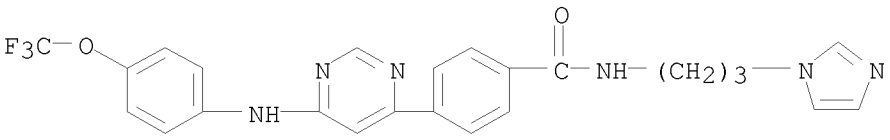


RN 778275-08-4 CAPLUS
CN Benzamide, N-[3-(aminocarbonyl)phenyl]-4-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

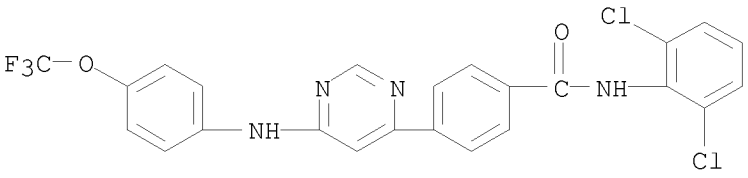


RN 778275-45-9 CAPLUS
CN Benzamide, N-[3-(1H-imidazol-1-yl)propyl]-4-[6-[[4-

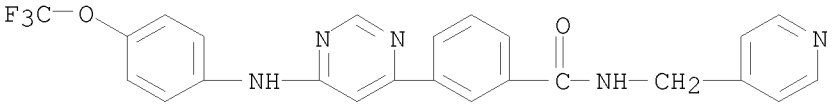
(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



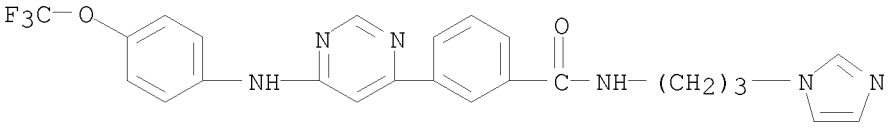
RN 778276-42-9 CAPLUS
CN Benzamide, N-(2,6-dichlorophenyl)-4-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 778277-22-8 CAPLUS
CN Benzamide, N-(4-pyridinylmethyl)-3-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 778277-24-0 CAPLUS
CN Benzamide, N-[3-(1H-imidazol-1-yl)propyl]-3-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 20 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:550744 CAPLUS
DN 141:89118
TI Preparation of biaryl derivatives having differential tumor cytotoxicity
IN Chyba, Jason; Deveraux, Quinn; Hampton, Garret; King, Fred
PA IRM Llc, Bermuda
SO U.S. Pat. Appl. Publ., 11 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040132786	A1	20040708	US 2003-739667	20031218
	US 7125997	B2	20061024		
	WO 2004058713	A1	20040715	WO 2003-US40686	20031218
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003299750	A1	20040722	AU 2003-299750	20031218
PRAI	US 2002-435853P	P	20021220		
	US 2003-491132P	P	20030729		
	WO 2003-US40686	W	20031218		

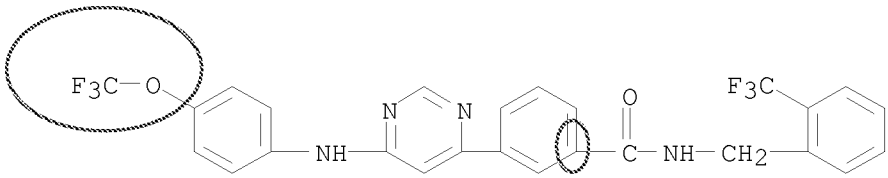
OS MARPAT 141:89118
AB Novel biaryl derivs. (I) [R1 = HO, C1-6 alkoxy, halo-substituted-C1-6 alkoxy, halo-substituted C1-6 alkyl; R2 = H, halo, C1-6 alkoxy, halo-substituted C1-6 alkoxy, C1-6 alkyl, halo-substituted C1-6 alkyl; R3 = halo, C1-6 alkoxy, halo-substituted C1-6 alkoxy, C1-6 alkyl, halo-substituted C1-6 alkyl, -YNR4R5 (wherein Y = a bond, C5-6 heteroarylene; R4 = H, C1-6 alkyl; R5 = C6-10 aryl substituted with one to three radicals selected from the group chosen from halo, C1-6 alkyl, C1-6 alkoxy, halo-substituted C1-6 alkyl, halo-substituted C1-6 alkoxy, and PhO; or R4 and R5 together with the nitrogen to which R4 and R5 are attached form C3-8 heterocycloalkyl substituted with Ph optionally substituted with one to three radicals selected from the group chosen from halo, C1-6 alkoxy, halo-substituted C1-6 alkoxy, C1-6 alkyl and halo-substituted C1-6 alkyl); Z = -XNR6CO-, -XNR6CONR7- or -XS(O)2NR7- (wherein X = a bond, C1-6 alkylene; R6, R7 = H, C1-6 alkyl)] and the pharmaceutically acceptable salts, hydrates, solvates and isomers thereof are prepared This invention is also related to the uses of the compds. I in various medicinal applications, including the treatment, prevention and control of proliferative diseases such as tumors, and to pharmaceutical compns. comprising these compds. The compds. I can be used to treat or prevent diseases or disorders that involve the activity of macrophage migration inhibitory factor-1 (MIF-1) and/or adenosine kinase. Thus, 20 mL CH2Cl2 was added to a 4-(Morpholino)aniline resin (4.40 g, 3.52 mmol) and the solution was allowed to stand at room temperature for one hour, followed by
adding Et3N (4.9 mL, 35 mmol) and 4-chlorobenzoyl chloride (2.24 mL, 17 mmol), and the reaction mixture was placed on a shaker and shaken overnight

at room temperature The resin was then filtered and washed consecutively with MeOH, DMF, and CH2Cl2 (4+20 mL each) to give, after vacuum drying, the product, N-[4-(morpholin-4-yl)phenyl]-4-chlorobenzamide bound to resin, which (1.0 g, .apprx.0.8 mmol) was aminated by 4-(trifluoromethoxy)aniline (0.55 mL, 4.0 mmol) in the presence of Pd2(dba)3 (0.091 g, 0.10 mmol) and IPrHCl ligand (0.085 g, 0.20 mmol) in 15 mL dioxane in a glass vial under shaking at 90°, cooled to room temperature to give, after filtering the resin and washing consecutively with MeOH, DMF, and CH2Cl2 (4+10 mL each) and cleaving the resin by treatment with a mixture of 50% CF3CO2H, 45% CH2Cl2, and 5% H2O, and purification using HPLC, N-[4-(Morpholin-4-yl)phenyl]-4-[(4-trifluoromethoxyphenyl)amino]benzamide (II). II showed IC50 of 26 nM against SW620 cell line.

IT 714962-03-5P, 3-[6-[(4-Trifluoromethoxyphenyl)amino]pyrimidin-4-yl]-N-(2-trifluoromethylbenzyl)benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biaryl derivs. having differential tumor cytotoxicity as antitumor agents)

RN 714962-03-5 CAPLUS

CN Benzamide, 3-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]-N-[[2-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 21 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:428912 CAPLUS
DN 141:7437
TI Preparation of phenyl or heteroaryl amino acid derivatives as prostacyclin receptor (IP) antagonists
IN Murata, Toshiki; Umeda, Masaomi; Yoshikawa, Satoru; Urbahns, Klaus; Gupta, Jang; Sakurai, Osamu
PA Bayer Healthcare A.-G., Germany
SO PCT Int. Appl., 206 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004043926	A1	20040527	WO 2003-EP11976	20031029
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2505361	A1	20040527	CA 2003-2505361	20031029
	AU 2003276201	A1	20040603	AU 2003-276201	20031029
	EP 1575919	A1	20050921	EP 2003-810952	20031029
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003016191	A	20050927	BR 2003-16191	20031029
	CN 1735598	A	20060215	CN 2003-80108581	20031029
	JP 2006514110	T	20060427	JP 2005-506646	20031029
	IN 2005DN01536	A	20070420	IN 2005-DN1536	20050415
	US 20060089371	A1	20060427	US 2005-534174	20050506
	MX 2005004967	A	20050802	MX 2005-4967	20050509
	ZA 2005003732	A	20060726	ZA 2005-3732	20050510
	NO 2005002797	A	20050609	NO 2005-2797	20050609
PRAI	EP 2002-25024	A	20021111		
	EP 2003-11397	A	20030520		
	WO 2003-EP11976	W	20031029		

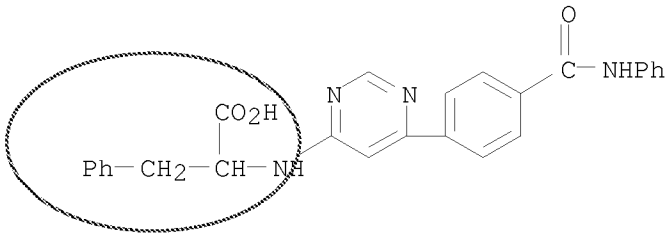
OS MARPAT 141:7437
AB The invention relates to amino acid derivs. I [Ar is (un)substituted phenylene or 5- or 6-membered heteroaryl containing 1-3 heteroatoms selected from O, N and S; Q is CH, CR10 or N (R10 is halo, cyano, amino, nitro, formyl, hydroxymethyl, methylthio, alkyl, haloalkyl, alkoxy or phenylalkoxy); R1 is OR11 (R11 is alkoxyalkylene, a mono- or bicyclic ring, alkyl, etc.), CH2NHR11, COR11, CONHR11, SR11, SOR11, SO2R11, NHR11, NHCO2R11, NHCOR11, NHSO2R11, H, OH, halo, a mono- or bicyclic ring, alkyl, etc.; R2 is H, OH, amino, alkyl, cycloalkyl, alkylthio, alkylsulfonyl, aryl, heteroaryl, etc.; R3 is H, alkyl or haloalkyl; R4 is carboxy, tetrazolyl or N-hydroxyaminocarbonyl; R5 is H, alkoxy, aryl, heteroaryl, alkyl or haloalkyl; R6 is H, alkyl or haloalkyl] which have prostacyclin receptor (IP) antagonistic activity and can be used for the prophylaxis and treatment of diseases such urol. diseases or disorder or pain. Thus, N-[6-[4-(benzyloxy)phenyl]pyrimidin-4-yl]-D-phenylalanine was prepared by

substitution reaction of 4,6-dichloropyrimidine with D-phenylalanine Me ester hydrochloride, followed by arylation with 4-(benzyloxy)phenylboronic acid and saponification IP binding/cAMP data for > 100 synthesized compds. are tabulated (IC50 values are classified as A < 0.1 μM ≤ B < 1 μM ≤ C).

IT 693791-02-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of Ph or heteroaryl amino acid derivs. as prostacyclin receptor (IP) antagonists)

RN 693791-02-5 CAPLUS

CN Phenylalanine, N-[6-[4-[(phenylamino)carbonyl]phenyl]-4-pyrimidinyl]- (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 22 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:412924 CAPLUS
DN 140:423690
TI Pyridine and pyrimidine derivatives and their compositions, useful as inhibitors of JAK and other protein kinases
IN Ledeboer, Mark; Ledford, Brian
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

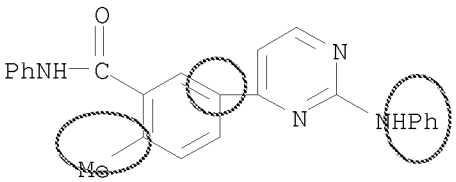
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004041789	A1	20040521	WO 2003-US34991	20031103
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2506772	A1	20040521	CA 2003-2506772	20031103
	AU 2003286876	A1	20040607	AU 2003-286876	20031103
	US 20040147507	A1	20040729	US 2003-700333	20031103
	US 7312227	B2	20071225		
	EP 1562911	A1	20050817	EP 2003-778092	20031103
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006512314	T	20060413	JP 2004-550434	20031103
PRAI	US 2002-422973P	P	20021101		
	WO 2003-US34991	W	20031103		

OS MARPAT 140:423690
AB The invention provides a compound of formula I or a pharmaceutically acceptable salt thereof. The invention also provides pharmaceutically acceptable compns. comprising I, and methods of utilizing I and their compns. in the treatment of various protein kinase-mediated disorders. In compds. I, R1 is Q-Ar1; Q is a C1-2 alkylidene chain wherein one methylene unit is optionally replaced by O, NR, NRCO, NRCONR, NRCO2, CO, CO2, CONR, OC(O)NR, SO2, SO2NR, NRSO2, NRSO2NR, C(O)C(O), or C(O)CH2C(O); R is H or (un)substituted aliphatic; Ar1 is (un)substituted, (poly)(un)saturated, 5- to 7-membered monocyclic ring having 0-3 N/O/S heteroatoms, or 8- to 12-membered bicyclic ring system having 0-5 N/O/S heteroatoms; Z1 is N or CH; Z7 is N or C(U)nRy; T, U are bond or (un)saturated C1-6 alkylidene chain, wherein up to two methylene units of the chain are optionally and independently replaced by CO, CO2, COCO, CONR, OCONR, NRNR, NRNRCO, NRCO, NRCO2, NRCONR, SO, SO2, NRSO2, SO2NR, NRSO2NR, O, S, or NR; m, n are independently 0 or 1; Rx, Ry are independently R or Ar1; Z2 is N or CR2; Z3 is N or CR3; Z4 is N or CR4; Z5 is N or CR5; and Z6 is N or CR6; wherein each occurrence of R2, R3, R4, R5, or R6 is independently Ru or (V)pRv, provided that (a) no more than 3 of Z2, Z3, Z4, Z5 or Z6 are N, and (b) at least one of Z3, Z4 or Z5 is CR3, CR4, or CR5, resp., and at least one of R3, R4, or R5 is Ru, each occurrence of Ru is NRCOR7, CONR(R7), SO2NR(R7), NRSO2R7, NRCONR(R7), NRSO2NR(R7), or CONRNR(R7),

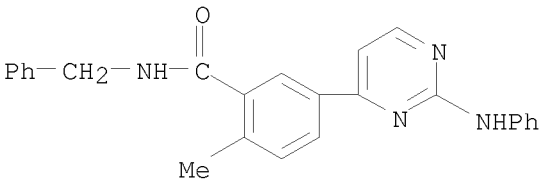
wherein R7 is (CH2)t-Y-R8; and t is 0-2. Furthermore, Y is bond, O, S, NR9, OCH2, SCH2, NR9CH2, O(CH2)2, S(CH2)2, or NR9(CH2)2; R5 is Ar2, or NR8R9 is (un)substituted 5- to 8-membered heterocyclyl or heteroaryl having 1-3 N/O/S heteroatoms; each occurrence of V is bond or (un)saturated C1-6 alkylidene chain, wherein up to two methylene units of the chain are optionally and independently replaced by CO, CO2, COCO, CONR, OCONR, NRNR, NRNRCO, NRCO, NRCO2, NRCONR, SO, SO2, NRSO2, SO2NR, NRSO2NR, 0, S, or NR; each occurrence of p is 0 or 1; each occurrence of Rv is R or Ar2; and Ar2 is an (un)substituted, (poly)(un)saturated 5- to 7-membered, monocyclic ring having 0-3 N/O/S heteroatoms, or an 8- to 12-membered, bicyclic ring system having 0-5 N/O/S heteroatoms. It is further provided that: (a) when Z1 is N, and Z7 is CH, and ring B is Ph, and at least one of R3 or R4 is NHCOR7, then R1 is not Ph which is only substituted with two or three occurrences of OR'; and also that (b) when Z1 is N, and Z7 is CH, and ring B is Ph, and at least one of R3 of R4 is NHCOR7, SO2R7, or CONRR7, then R1 is not Ph which is only substituted with one occurrence of -CON(R')2 in the para-position, where R' is H, (un)substituted aliphatic or (bi)(hetero)cyclic. Approx. 100 compds. I are claimed individually, and several compds. were prepared in examples. For instance, 3-aminoacetophenone was amidated with 2-furoyl chloride, and the resultant N-(3-acetylphenyl)amide underwent condensation with DMF di-Me acetal at the acetyl Me group, with partial N-methylation at the amide. Cyclocondensation of the resultant mixture of β-(dimethylamino)-α,β-unsatd. ketones with (3-methoxyphenyl)guanidine gave a mixture of invention compds. II [R = H, Me]. In a JAK3 inhibition assay, several invention compds. including II [R = Me] had Ki values of 1.0 μM or less. Similar potencies were obtained for some compds. against CDK2, JNK3, and (no data) ZAP-70.

IT 692733-88-3P 692733-90-7P 692733-91-8P
692733-92-9P 692733-94-1P 692733-95-2P
692733-96-3P 692733-97-4P 692733-98-5P
692733-99-6P 692734-00-2P 692734-03-5P
692734-04-6P 692734-06-8P 692734-08-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyridine and pyrimidine derivs. as inhibitors of JAK and other protein kinases)

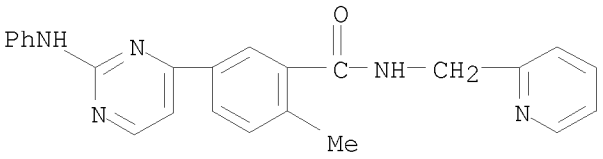
RN 692733-88-3 CAPLUS
CN Benzamide, 2-methyl-N-phenyl-5-[2-(phenylamino)-4-pyrimidinyl]- (CA INDEX NAME)



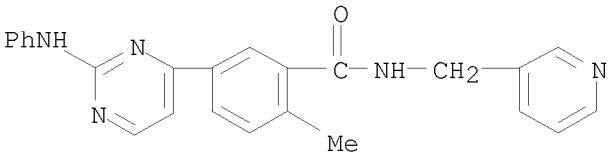
RN 692733-90-7 CAPLUS
CN Benzamide, 2-methyl-5-[2-(phenylamino)-4-pyrimidinyl]-N-(phenylmethyl)- (CA INDEX NAME)



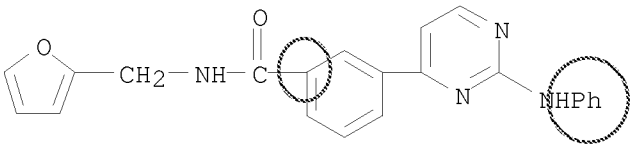
RN 692733-91-8 CAPLUS
CN Benzamide, 2-methyl-5-[2-(phenylamino)-4-pyrimidinyl]-N-(2-pyridinylmethyl)- (CA INDEX NAME)



RN 692733-92-9 CAPLUS
CN Benzamide, 2-methyl-5-[2-(phenylamino)-4-pyrimidinyl]-N-(3-pyridinylmethyl)- (CA INDEX NAME)

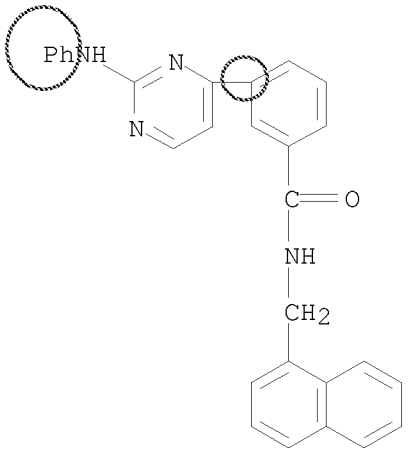


RN 692733-94-1 CAPLUS
CN Benzamide, N-(2-furanylmethyl)-3-[2-(phenylamino)-4-pyrimidinyl]- (CA INDEX NAME)

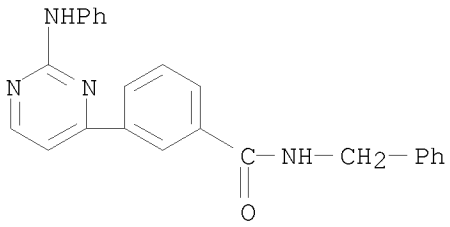


phenyl is substituted with -OC1-3alkyl

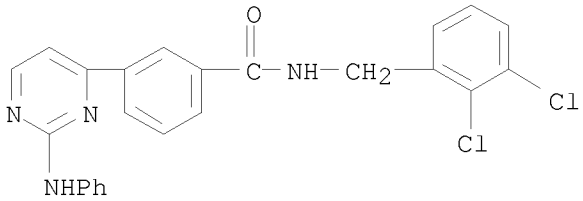
RN 692733-95-2 CAPLUS
CN Benzamide, N-(1-naphthalenylmethyl)-3-[2-(phenylamino)-4-pyrimidinyl]- (CA INDEX NAME)



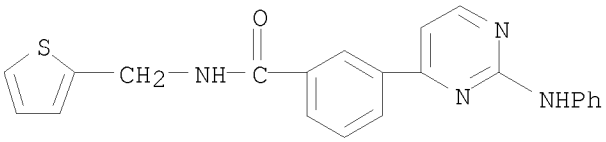
RN 692733-96-3 CAPLUS
CN Benzamide, 3-[2-(phenylamino)-4-pyrimidinyl]-N-(phenylmethyl)- (CA INDEX NAME)



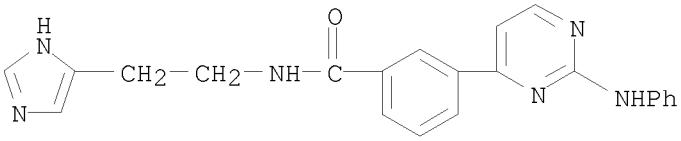
RN 692733-97-4 CAPLUS
CN Benzamide, N-[(2,3-dichlorophenyl)methyl]-3-[2-(phenylamino)-4-pyrimidinyl]- (CA INDEX NAME)



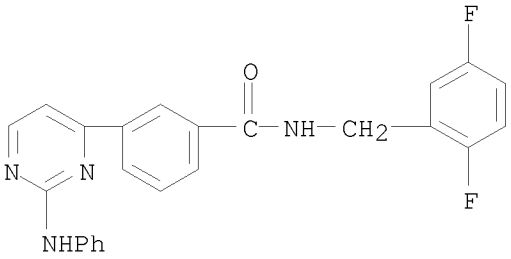
RN 692733-98-5 CAPLUS
CN Benzamide, 3-[2-(phenylamino)-4-pyrimidinyl]-N-(2-thienylmethyl)- (CA INDEX NAME)



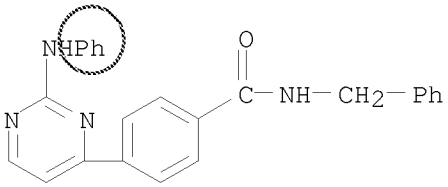
RN 692733-99-6 CAPLUS
CN Benzamide, N-[2-(1H-imidazol-5-yl)ethyl]-3-[2-(phenylamino)-4-pyrimidinyl]- (CA INDEX NAME)



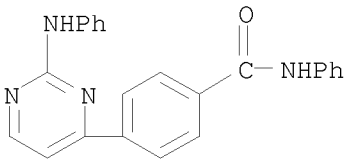
RN 692734-00-2 CAPLUS
CN Benzamide, N-[(2,5-difluorophenyl)methyl]-3-[2-(phenylamino)-4-pyrimidinyl]- (CA INDEX NAME)



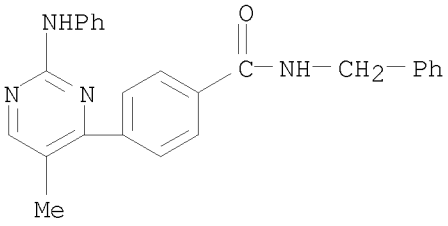
RN 692734-03-5 CAPLUS
CN Benzamide, 4-[2-(phenylamino)-4-pyrimidinyl]-N-(phenylmethyl)- (CA INDEX NAME)



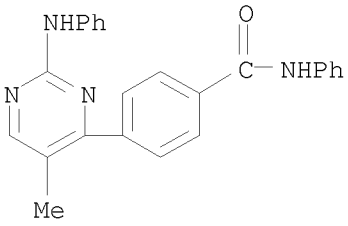
RN 692734-04-6 CAPLUS
CN Benzamide, N-phenyl-4-[2-(phenylamino)-4-pyrimidinyl]- (CA INDEX NAME)



RN 692734-06-8 CAPLUS
CN Benzamide, 4-[5-methyl-2-(phenylamino)-4-pyrimidinyl]-N-(phenylmethyl)- (CA INDEX NAME)



RN 692734-08-0 CAPLUS
CN Benzamide, 4-[5-methyl-2-(phenylamino)-4-pyrimidinyl]-N-phenyl- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 23 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2003:837045 CAPLUS
DN 139:337995
TI Preparation of benzamides as histone deacetylase inhibitors
IN Stokes, Elaine Sophie Elizabeth; Roberts, Craig Anthony; Waring, Michael James
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT Patent
LA English

102(b)

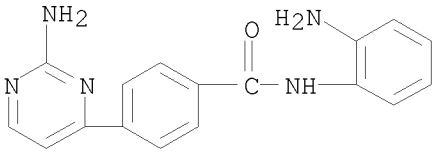
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003087057	A1	20031023	WO 2003-GB1442	20030402
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2480356	A1	20031023	CA 2003-2480356	20030402
	AU 2003217054	A1	20031027	AU 2003-217054	20030402
	AU 2003217054	B2	20090129		
	BR 2003008875	A	20050104	BR 2003-8875	20030402
	EP 1495002	A1	20050112	EP 2003-712442	20030402
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN 1642915	A	20050720	CN 2003-807431	20030402
	JP 2005533011	T	20051104	JP 2003-584013	20030402
	NZ 535143	A	20070427	NZ 2003-535143	20030402
	IN 2004DN02719	A	20070302	IN 2004-DN2719	20040915
	ZA 2004007502	A	20060426	ZA 2004-7502	20040917
	US 20050171103	A1	20050804	US 2004-509941	20041001
	MX 2004009689	A	20050111	MX 2004-9689	20041004
	NO 2004004444	A	20041228	NO 2004-4444	20041019
	US 20090029991	A1	20090129	US 2008-211510	20080916
	IN 2008DN07969	A	20090529	IN 2008-DN7969	20080922
PRAI	GB 2002-7863	A	20020405		
	GB 2002-29930	A	20021221		
	WO 2003-GB1442	W	20030402		
	US 2004-509941	B1	20041001		

OS MARPAT 139:337995
AB The title compds. [I; ring A = heterocyclyl; m = 0-4; R1 = OH, halo, CF3, CN, etc.; R2 = halo; n = 0-2; R3 = NH2, OH; R4 = OH, halo, CF3, CN, etc.; p = 0-4; or pharmaceutically-acceptable salts or in-vivo-hydrolysable esters or amides thereof], useful in the treatment of diseases or medical conditions mediated by histone deacetylase such as cancer, were prepared Thus, deprotection of N-(2-tert-butoxycarbonylaminophenyl)-4-(pyridin-4-yl)benzamide (preparation given) with 4M HCl solution in dioxane afforded 46% I.HCl [A = pyridin-4-yl; R2 = H; R3 = NH2; R4 = H]. The compds. I showed IC50 of < 50.0 μM in in vitro enzyme assay of pooled histone deacetylases. Pharmaceutical composition comprising the compound I is claimed.

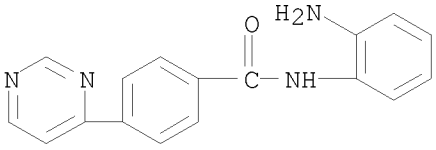
IT 617702-02-0P 617702-03-1P 617702-04-2P
617702-08-6P 617702-09-7P 617702-10-0P
617702-11-1P 617702-12-2P 617702-13-3P
617702-14-4P 617702-15-5P 617702-16-6P
617702-17-7P 617702-22-4P 617702-23-5P
617702-24-6P 617702-39-3P 617702-40-6P
617702-41-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzamides as histone deacetylase inhibitors)

RN 617702-02-0 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-(2-amino-4-pyrimidinyl)-, hydrochloride (1:?) (CA INDEX NAME)



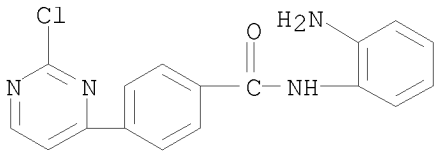
●x HCl

RN 617702-03-1 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-(4-pyrimidinyl)-, hydrochloride (1:?) (CA INDEX NAME)



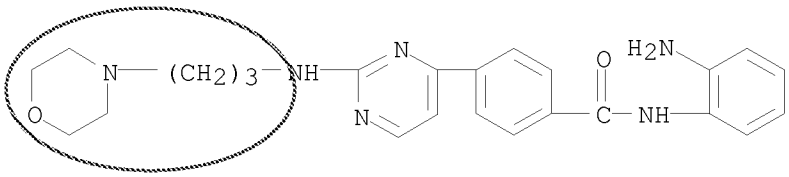
●x HCl

RN 617702-04-2 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-(2-chloro-4-pyrimidinyl)-, hydrochloride (1:?) (CA INDEX NAME)

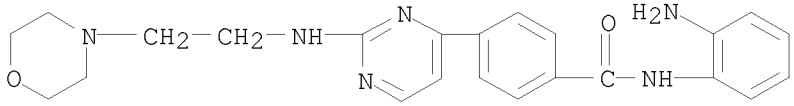


●x HCl

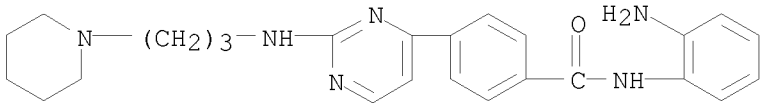
RN 617702-08-6 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-[2-[[3-(4-morpholinyl)propyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 617702-09-7 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-[2-[[2-(4-morpholinyl)ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

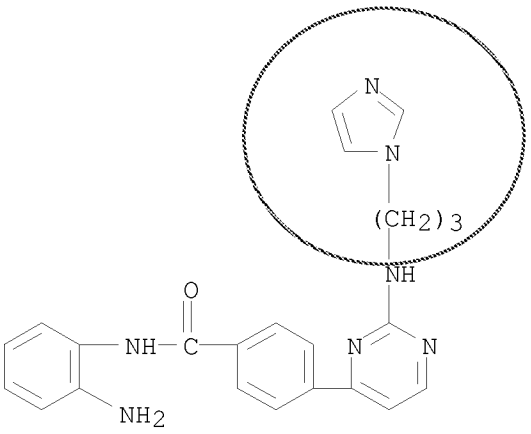


RN 617702-10-0 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-[2-[[3-(1-piperidinyl)propyl]amino]-4-pyrimidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



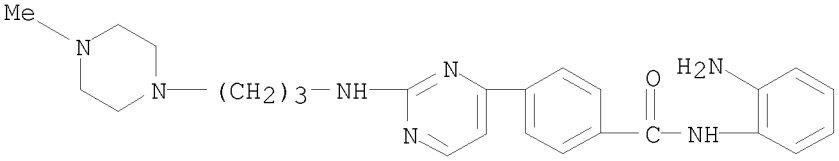
●x HCl

RN 617702-11-1 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-[2-[[3-(1H-imidazol-1-yl)propyl]amino]-4-pyrimidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



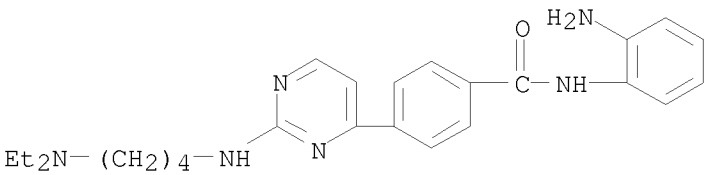
●x HCl

RN 617702-12-2 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-[2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-4-pyrimidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

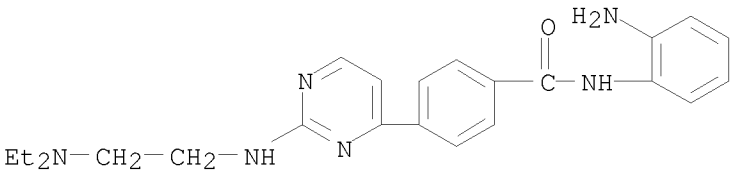
RN 617702-13-3 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-[2-[[4-(diethylamino)butyl]amino]-4-pyrimidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

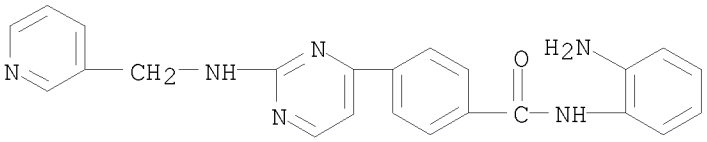
RN 617702-14-4 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-[2-[[2-(diethylamino)ethyl]amino]-4-

pyrimidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



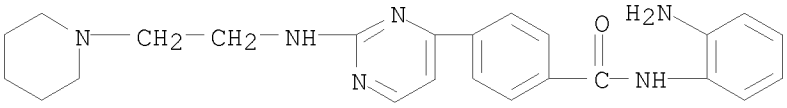
●x HCl

RN 617702-15-5 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-[2-[(3-pyridinylmethyl)amino]-4-pyrimidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



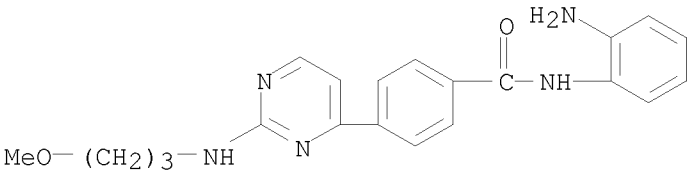
●x HCl

RN 617702-16-6 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-[2-[[2-(1-piperidinyl)ethyl]amino]-4-pyrimidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



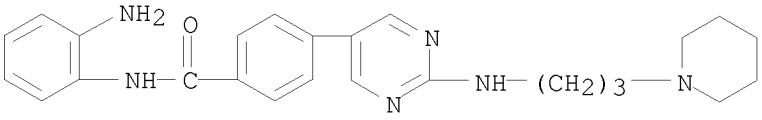
●x HCl

RN 617702-17-7 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-[2-[(3-methoxypropyl)amino]-4-pyrimidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



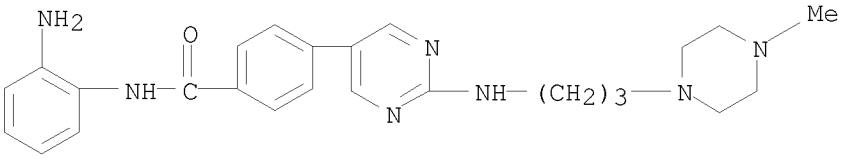
● x HCl

RN 617702-22-4 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-[2-[[3-(1-piperidinyl)propyl]amino]-5-pyrimidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



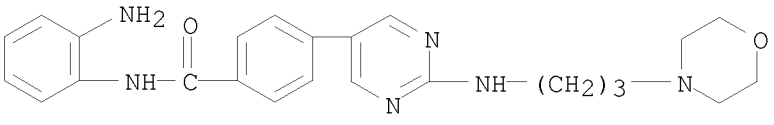
● x HCl

RN 617702-23-5 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-[2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-5-pyrimidinyl]-, hydrochloride (1:?) (CA INDEX NAME)



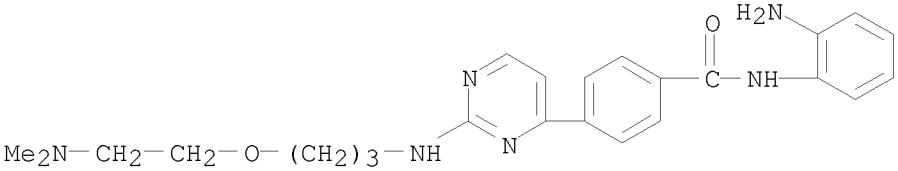
● x HCl

RN 617702-24-6 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-[2-[[3-(4-morpholinyl)propyl]amino]-5-pyrimidinyl]-, hydrochloride (1:?) (CA INDEX NAME)

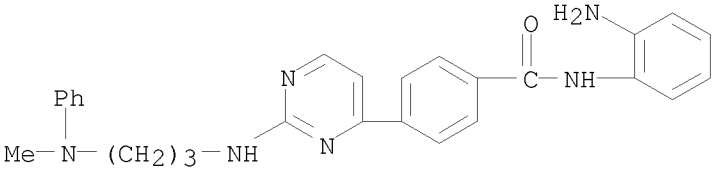


● x HCl

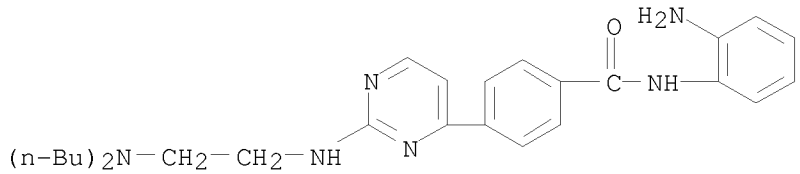
RN 617702-39-3 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-[2-[[3-[2-(dimethylamino)ethoxy]propyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 617702-40-6 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-[2-[[3-(methylphenylamino)propyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



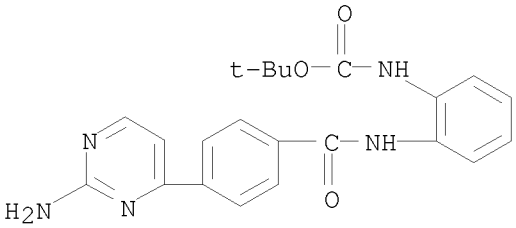
RN 617702-41-7 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-[2-[[2-(dibutylamino)ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



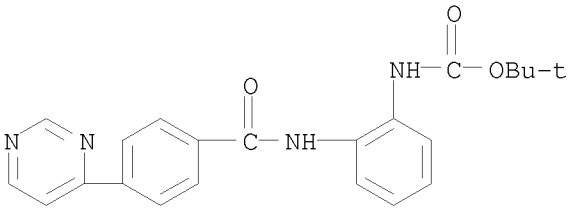
IT 617702-97-3P 617702-98-4P 617702-99-5P
617703-05-6P 617703-06-7P 617703-09-0P
617703-10-3P 617703-11-4P 617703-12-5P
617703-13-6P 617703-14-7P 617703-15-8P
617703-16-9P 617703-17-0P 617703-18-1P
617703-23-8P 617703-24-9P 617703-25-0P
617703-34-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzamides as histone deacetylase inhibitors)

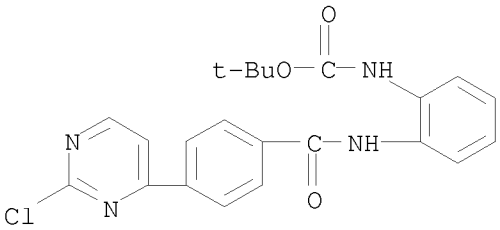
RN 617702-97-3 CAPLUS
CN Carbamic acid, [2-[[4-(2-amino-4-pyrimidinyl)benzoyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



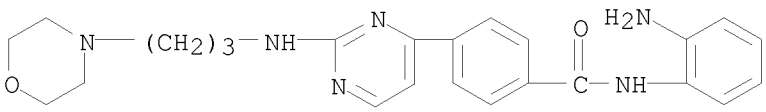
RN 617702-98-4 CAPLUS
CN Carbamic acid, [2-[[4-(4-pyrimidinyl)benzoyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 617702-99-5 CAPLUS
CN Carbamic acid, [2-[[4-(2-chloro-4-pyrimidinyl)benzoyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

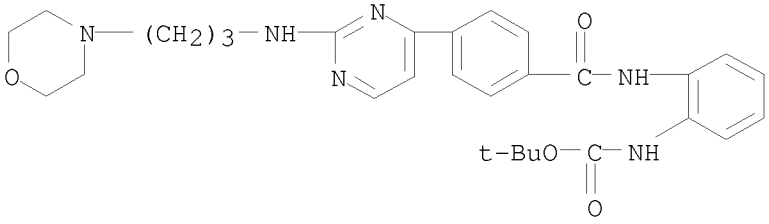


RN 617703-05-6 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-[2-[[3-(4-morpholinyl)propyl]amino]-4-pyrimidinyl]-, hydrochloride (1:3) (CA INDEX NAME)

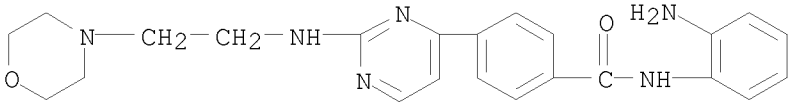


● 3 HCl

RN 617703-06-7 CAPLUS
CN Carbamic acid, [2-[[4-[2-[[3-(4-morpholinyl)propyl]amino]-4-pyrimidinyl]benzoyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

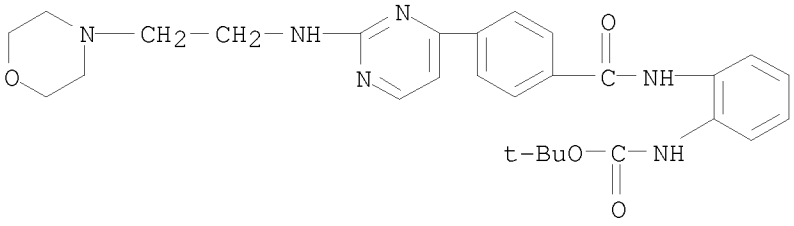


RN 617703-09-0 CAPLUS
CN Benzamide, N-(2-aminophenyl)-4-[2-[[2-(4-morpholinyl)ethyl]amino]-4-pyrimidinyl]-, hydrochloride (1:3) (CA INDEX NAME)

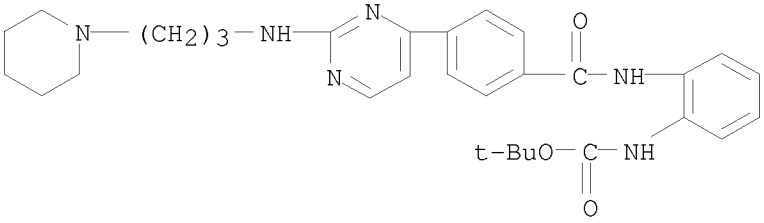


● 3 HCl

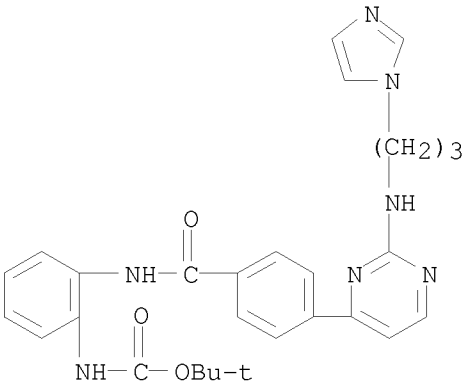
RN 617703-10-3 CAPLUS
CN Carbamic acid, [2-[[4-[2-[[2-(4-morpholinyl)ethyl]amino]-4-pyrimidinyl]benzoyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



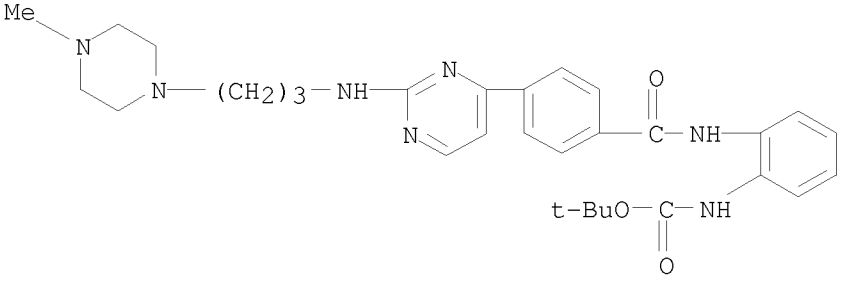
RN 617703-11-4 CAPLUS
CN Carbamic acid, [2-[[4-[2-[[3-(1-piperidinyl)propyl]amino]-4-pyrimidinyl]benzoyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



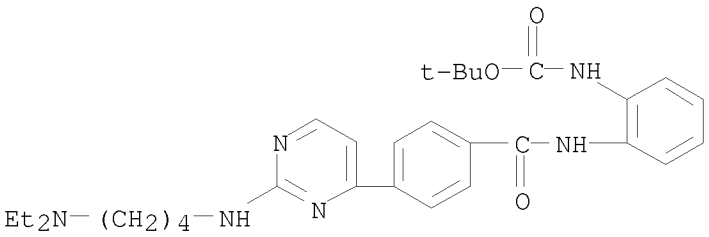
RN 617703-12-5 CAPLUS
CN Carbamic acid, [2-[[4-[2-[[3-(1H-imidazol-1-yl)propyl]amino]-4-pyrimidinyl]benzoyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



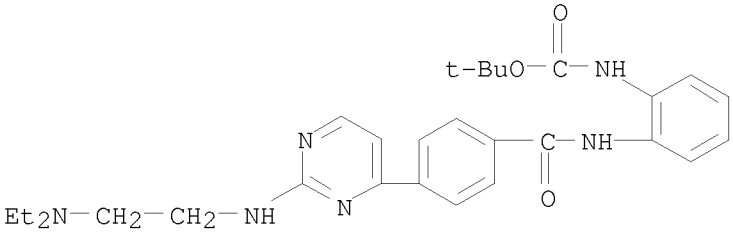
RN 617703-13-6 CAPLUS
CN Carbamic acid, [2-[[4-[2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-4-pyrimidinyl]benzoyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



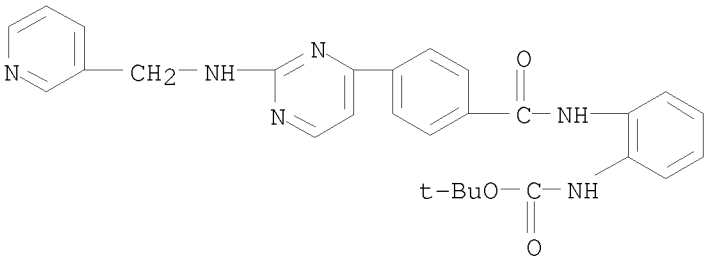
RN 617703-14-7 CAPLUS
CN Carbamic acid, [2-[[4-[2-[[4-(diethylamino)butyl]amino]-4-pyrimidinyl]benzoyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



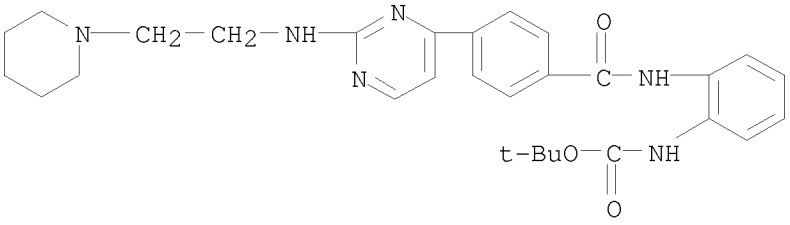
RN 617703-15-8 CAPLUS
CN Carbamic acid, [2-[[4-[2-[[2-(diethylamino)ethyl]amino]-4-pyrimidinyl]benzoyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



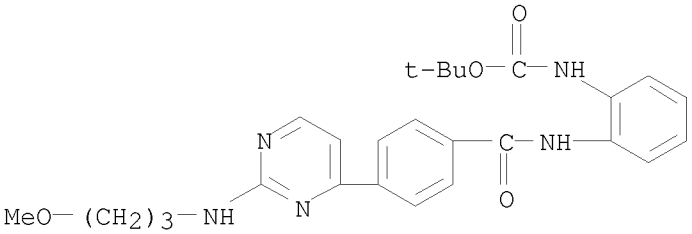
RN 617703-16-9 CAPLUS
CN Carbamic acid, [2-[[4-[2-[(3-pyridinylmethyl)amino]-4-pyrimidinyl]benzoyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



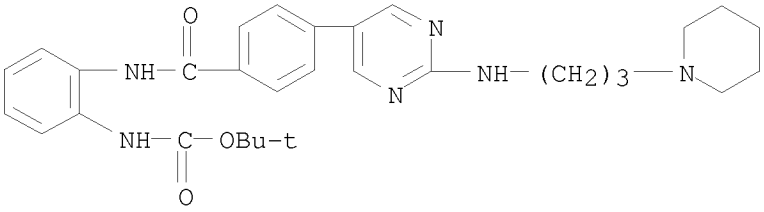
RN 617703-17-0 CAPLUS
CN Carbamic acid, [2-[[4-[2-[[2-(1-piperidinyl)ethyl]amino]-4-pyrimidinyl]benzoyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



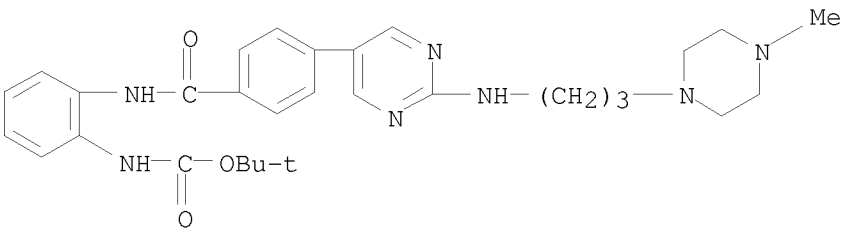
RN 617703-18-1 CAPLUS
CN Carbamic acid, [2-[[4-[2-[(3-methoxypropyl)amino]-4-pyrimidinyl]benzoyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



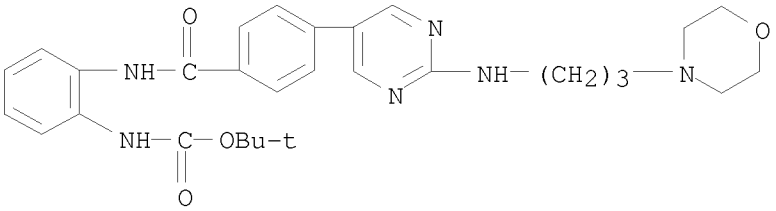
RN 617703-23-8 CAPLUS
CN Carbamic acid, [2-[[4-[2-[[3-(1-piperidinyl)propyl]amino]-5-pyrimidinyl]benzoyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



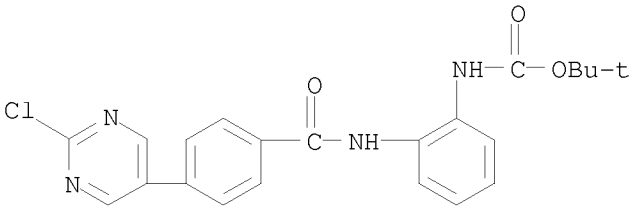
RN 617703-24-9 CAPLUS
CN Carbamic acid, [2-[[4-[2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-5-pyrimidinyl]benzoyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 617703-25-0 CAPLUS
CN Carbamic acid, [2-[[4-[2-[[3-(4-morpholinyl)propyl]amino]-5-pyrimidinyl]benzoyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 617703-34-1 CAPLUS
CN Carbamic acid, [2-[[4-(2-chloro-5-pyrimidinyl)benzoyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



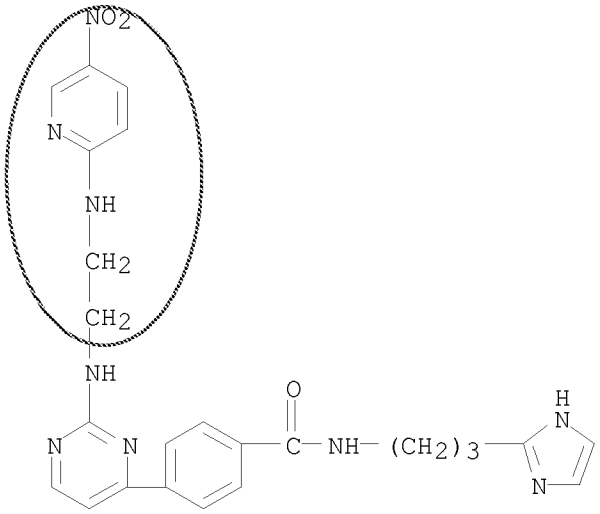
RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 24 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2002:814853 CAPLUS
DN 137:325431
TI Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
IN Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manjo; Levine, Barry H.
PA USA
SO U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S. 6,417,185.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

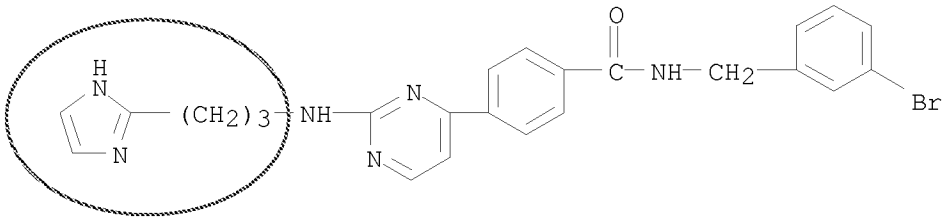
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20020156087	A1	20021024	US 2001-949035	20010906
	US 7045519	B2	20060516		
	US 6417185	B1	20020709	US 1999-336038	19990618
	US 20030130289	A1	20030710	US 2002-309535	20021203
	US 7037918	B2	20060502		
	US 20060089369	A1	20060427	US 2005-220400	20050906
	US 7425557	B2	20080916		
PRAI	US 1998-89978P	P	19980619		
	US 1999-336038	A2	19990618		
	US 2000-230480P	P	20000906		
	US 1999-336098	A3	19990618		
	US 2001-949035	A3	20010906		

OS MARPAT 137:325431
AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepared as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3β in a cell free assay with IC50 values of < 1 μM. Thus, I and compns. containing I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).
IT 1106198-81-5 1106198-86-0
RL: PRPH (Prophetic)
(Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 1106198-81-5 CAPLUS
CN Benzamide, N-[3-(1H-imidazol-2-yl)propyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

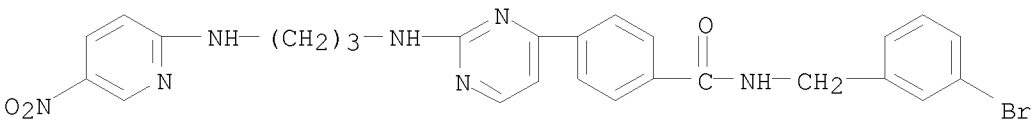


RN 1106198-86-0 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[3-(1H-imidazol-2-yl)propyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

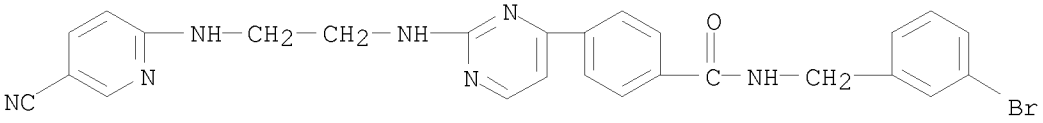


IT 252904-09-9P, Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[3-[(5-nitro-2-pyridinyl)amino]propyl]amino]-4-pyrimidinyl]- 252904-11-3P , Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-[(5-cyano-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- 252904-13-5P, Benzamide, N-[(3-methoxyphenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 252904-09-9 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[3-[(5-nitro-2-pyridinyl)amino]propyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

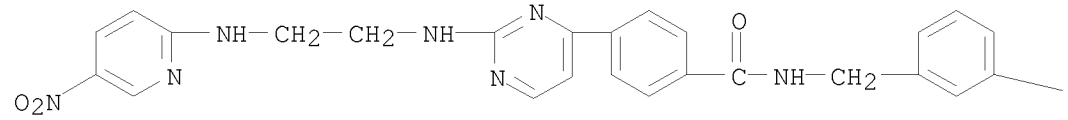


RN 252904-11-3 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-[(5-cyano-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 252904-13-5 CAPLUS
CN Benzamide, N-[(3-methoxyphenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

PAGE 1-A



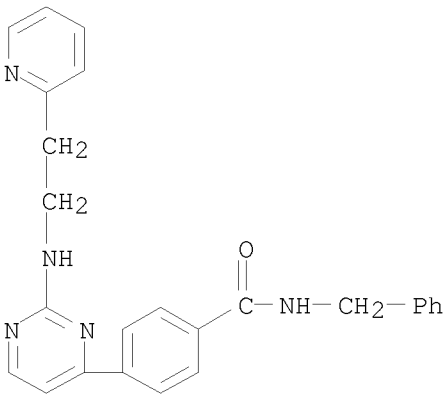
PAGE 1-B

IT 403807-57-8, N-Benzyl-4-[2-[[2-[2-pyridyl]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403807-93-2, [4-[2-[[2-[[5-Nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenyl]-N-benzylcarboxamide 403807-94-3, [4-[2-[[2-[[5-Nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenyl]-N-(3-pyridylmethyl)carboxamide 403807-99-8, N-(2-Thienylmethyl)-4-[2-[[2-[[5-Nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-07-1, [4-[2-[[2-[[5-Nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenyl]-N-(2-phenylethyl)carboxamide 403808-08-2, N-[(3-Methylphenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-11-7, [4-[2-[[2-[[6-Amino-5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenyl]-N-benzylcarboxamide 403808-12-8, N-[(5-Methylpyrazin-2-yl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide

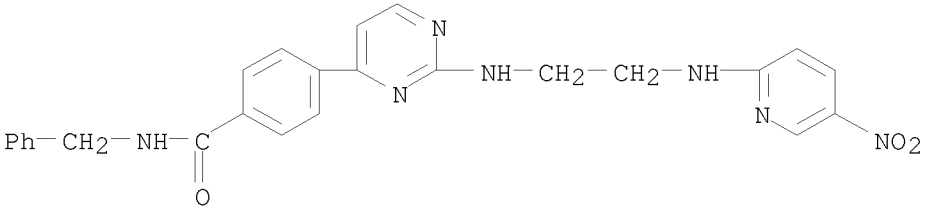
403808-13-9, N-[(3-Fluorophenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-15-1, N-[(4-Fluorophenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-16-2, [4-[2-[[(3-Bromophenyl)methyl]amino]pyrimidin-4-yl]phenyl]-N-[(3-methylphenyl)methyl]carboxamide 403808-18-4, N-(3-Imidazolylpropyl)-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-19-5 403808-22-0, N-[(4-Methoxyphenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-26-4, N-[(3-Chlorophenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-27-5, N-[(3,4-Difluorophenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-30-0, [4-[2-[[2-[[5-Nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenyl]-N-[(3-nitrophenyl)methyl]carboxamide 403808-32-2, N-[(3-Bromophenyl)methyl]-4-[2-[[2-(3-methoxyphenyl)ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-33-3, N-(Naphthylmethyl)-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-37-7, N-[(3,4-Dimethoxyphenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-38-8, N-[(2,3-Dimethoxyphenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-40-2, N-[(3-Bromophenyl)methyl]-4-[2-[[2-[[6-methoxy-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-41-3 403808-42-4, N-[(3,5-Dichlorophenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-43-5 403808-46-8 403808-48-0, N-[(3-Bromophenyl)methyl]-4-[2-[[2-[(4-nitrophenyl)amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-49-1, N-[(3-Bromophenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-50-4, N-[(4-Bromophenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-51-5 403808-52-6, N-[2-(2,4-Dichlorophenyl)ethyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-53-7, N-[(3-Bromophenyl)methyl]-4-[2-[[2-(2-quinolylamino)ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-56-0 403808-58-2 403808-59-3, N-[(3-Iodophenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403809-92-7, N-[(3-Bromophenyl)methyl]-4-[2-[[2-(pyrimidin-2-ylamino)ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403810-07-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 403807-57-8 CAPLUS

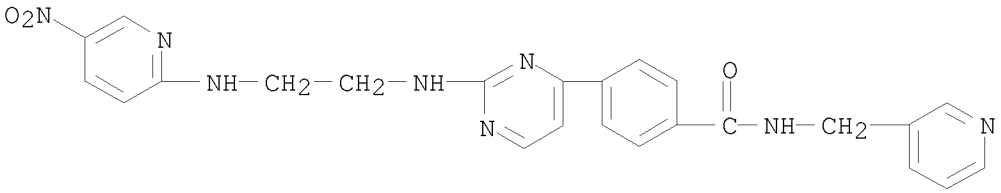
CN Benzamide, N-(phenylmethyl)-4-[2-[[2-(2-pyridinyl)ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



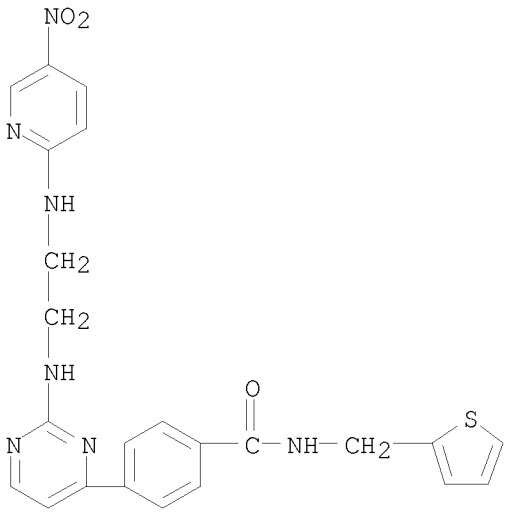
RN 403807-93-2 CAPLUS
CN Benzamide, 4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]-N-(phenylmethyl)- (CA INDEX NAME)



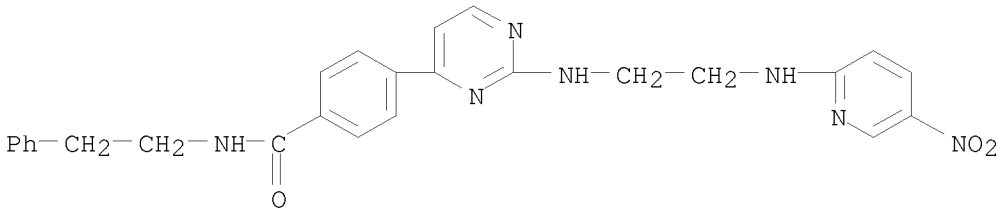
RN 403807-94-3 CAPLUS
CN Benzamide, 4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]-N-(3-pyridinylmethyl)- (CA INDEX NAME)



RN 403807-99-8 CAPLUS
CN Benzamide, 4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]-N-(2-thienylmethyl)- (CA INDEX NAME)

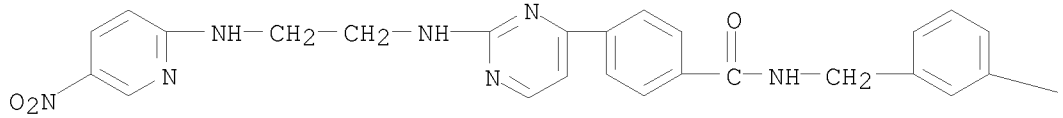


RN 403808-07-1 CAPLUS
CN Benzamide, 4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]-N-(2-phenylethyl)- (CA INDEX NAME)



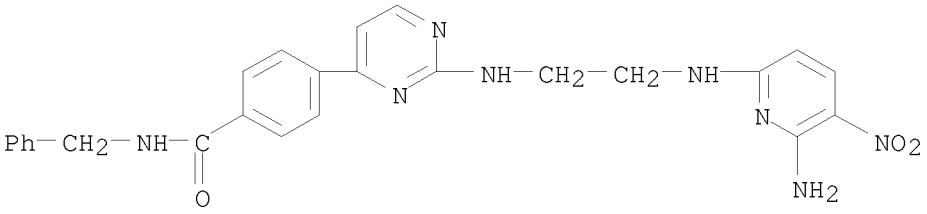
RN 403808-08-2 CAPLUS
CN Benzamide, N-[(3-methylphenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

PAGE 1-A



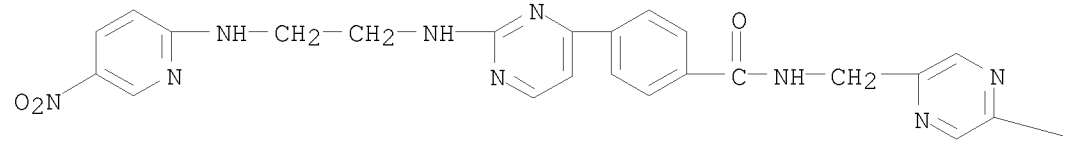
PAGE 1-B

RN 403808-11-7 CAPLUS
CN Benzamide, 4-[2-[[2-[(6-amino-5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]-N-(phenylmethyl)- (CA INDEX NAME)



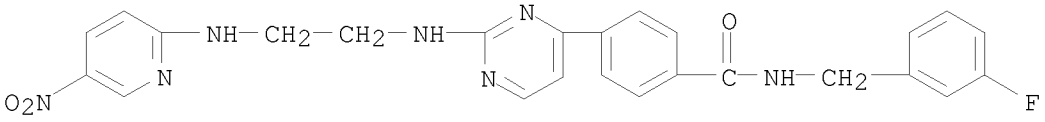
RN 403808-12-8 CAPLUS
CN Benzamide, N-[(5-methyl-2-pyrazinyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

PAGE 1-A

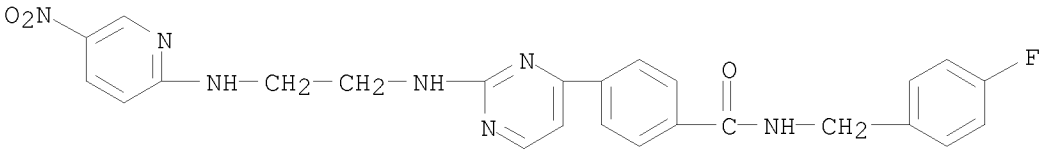


PAGE 1-B

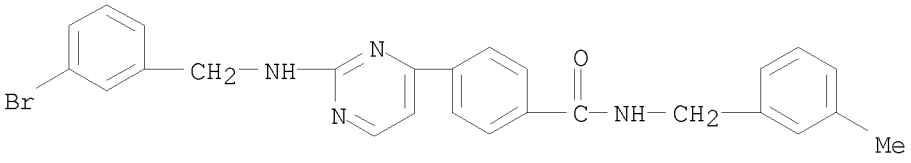
RN 403808-13-9 CAPLUS
CN Benzamide, N-[(3-fluorophenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



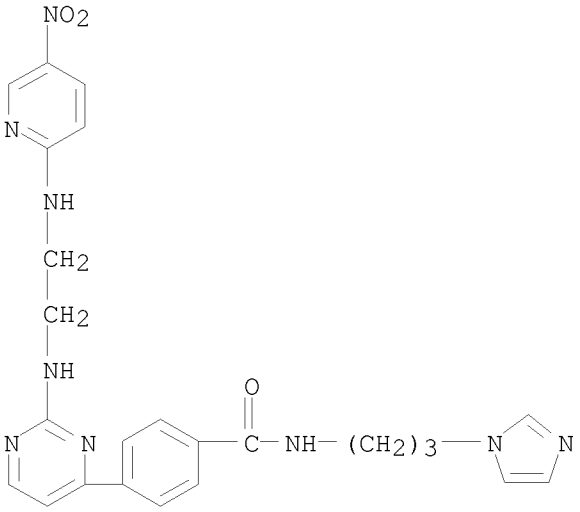
RN 403808-15-1 CAPLUS
CN Benzamide, N-[(4-fluorophenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



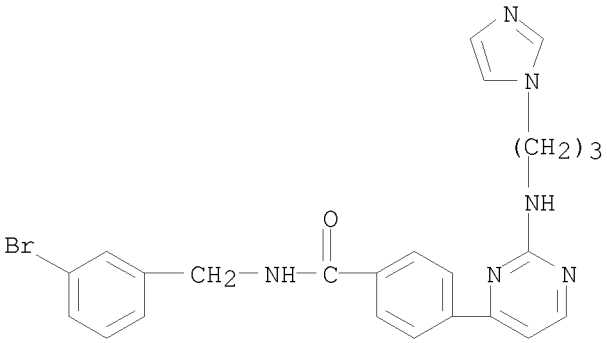
RN 403808-16-2 CAPLUS
CN Benzamide, 4-[2-[[(3-bromophenyl)methyl]amino]-4-pyrimidinyl]-N-[(3-methylphenyl)methyl]- (CA INDEX NAME)



RN 403808-18-4 CAPLUS
CN Benzamide, N-[3-(1H-imidazol-1-yl)propyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

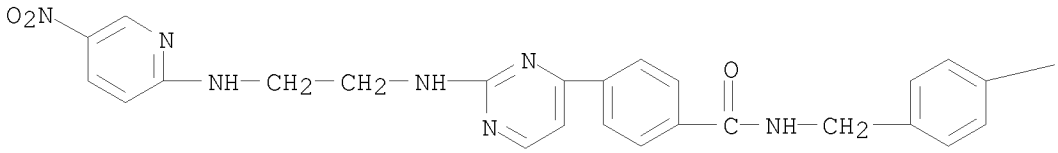


RN 403808-19-5 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[3-(1H-imidazol-1-yl)propyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 403808-22-0 CAPLUS
CN Benzamide, N-[(4-methoxyphenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

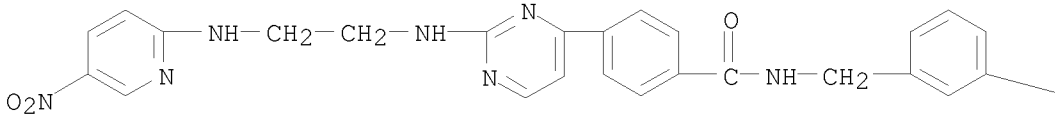
PAGE 1-A



PAGE 1-B

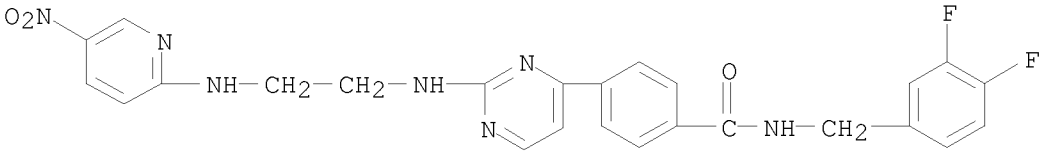
RN 403808-26-4 CAPLUS
CN Benzamide, N-[(3-chlorophenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

PAGE 1-A



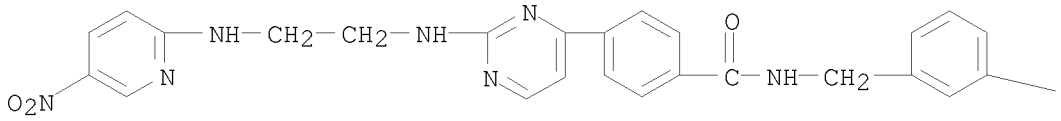
PAGE 1-B

RN 403808-27-5 CAPLUS
CN Benzamide, N-[(3,4-difluorophenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



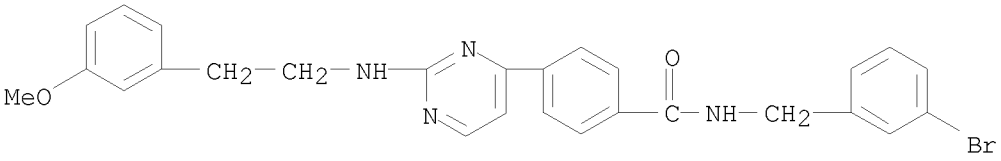
RN 403808-30-0 CAPLUS
CN Benzamide, N-[(3-nitrophenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

PAGE 1-A

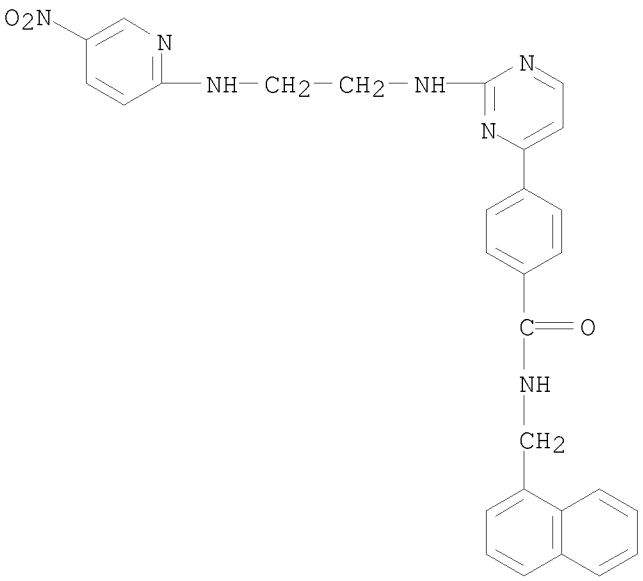


PAGE 1-B

RN 403808-32-2 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-(3-methoxyphenyl)ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

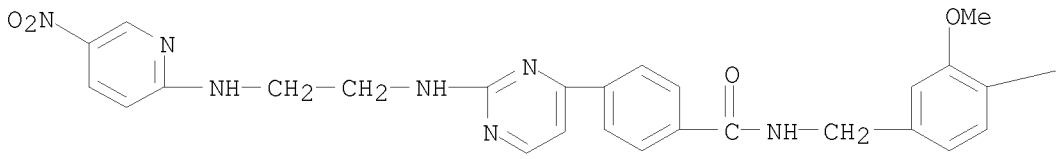


RN 403808-33-3 CAPLUS
CN Benzamide, N-(1-naphthalenylmethyl)-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 403808-37-7 CAPLUS
CN Benzamide, N-[(3,4-dimethoxyphenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

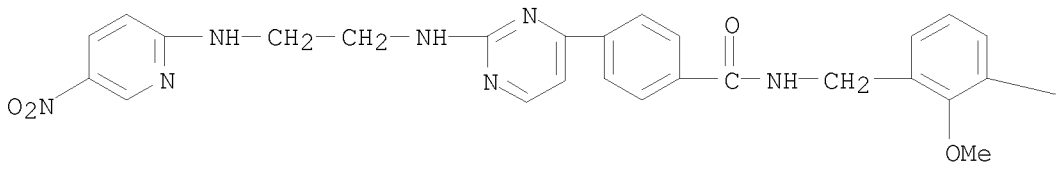
PAGE 1-A



PAGE 1-B

RN 403808-38-8 CAPLUS
CN Benzamide, N-[(2,3-dimethoxyphenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

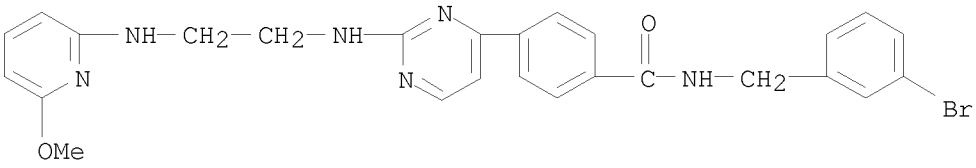
PAGE 1-A



PAGE 1-B

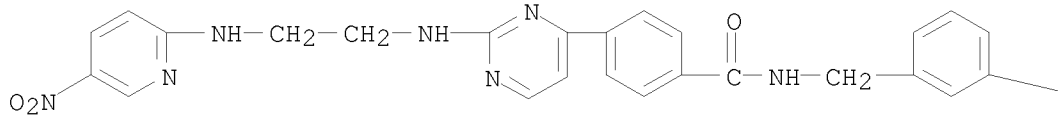
OMe

RN 403808-40-2 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-[(6-methoxy-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 403808-41-3 CAPLUS
CN Benzamide, 4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

PAGE 1-A

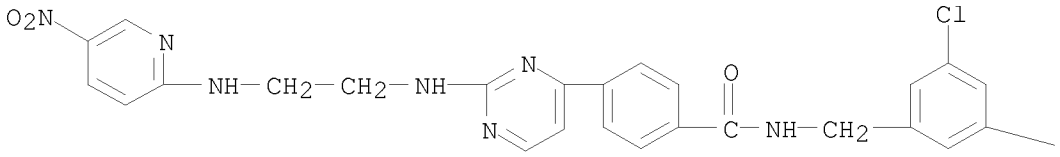


PAGE 1-B

CF_3

RN 403808-42-4 CAPLUS
CN Benzamide, N-[(3,5-dichlorophenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

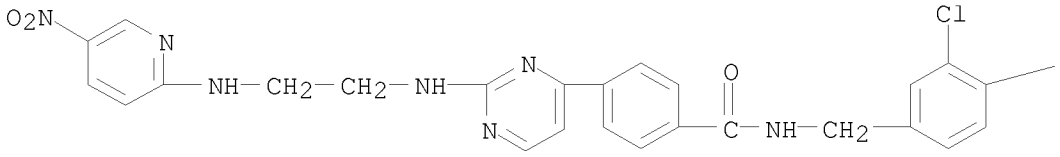
PAGE 1-A



PAGE 1-B

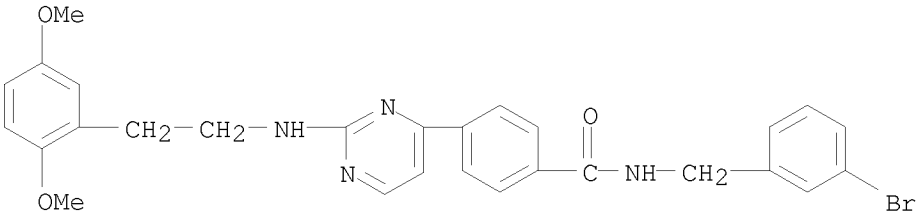
RN 403808-43-5 CAPLUS
CN Benzamide, N-[(3,4-dichlorophenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

PAGE 1-A



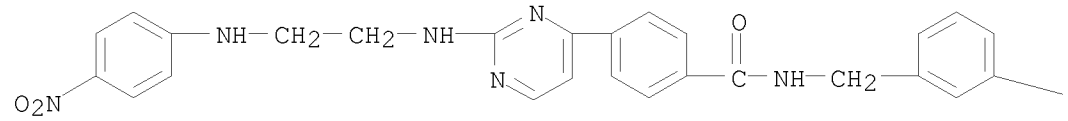
PAGE 1-B

RN 403808-46-8 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-(2,5-dimethoxyphenyl)ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 403808-48-0 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-[(4-nitrophenyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

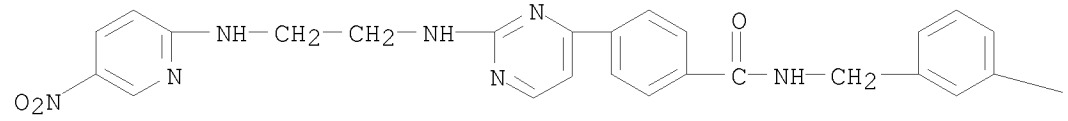
PAGE 1-A



PAGE 1-B

RN 403808-49-1 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

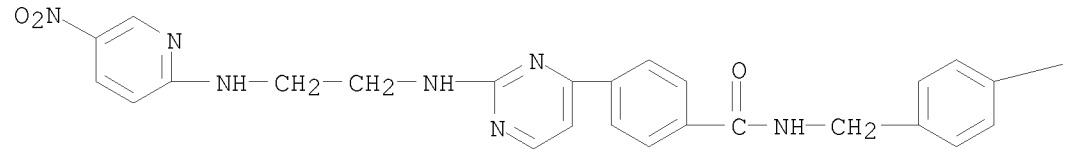
PAGE 1-A



PAGE 1-B

RN 403808-50-4 CAPLUS
CN Benzamide, N-[(4-bromophenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

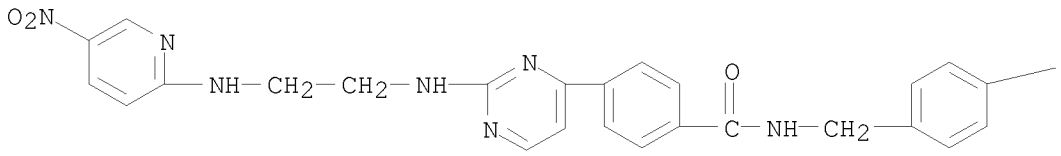
PAGE 1-A



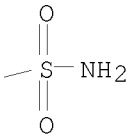
PAGE 1-B

RN 403808-51-5 CAPLUS
CN Benzamide, N-[[4-(aminosulfonyl)phenyl]methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

PAGE 1-A

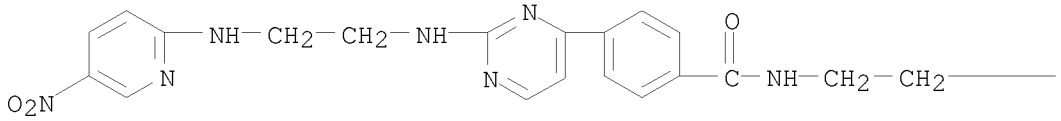


PAGE 1-B

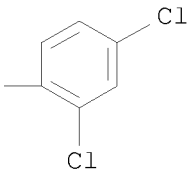


RN 403808-52-6 CAPLUS
CN Benzamide, N-[2-(2,4-dichlorophenyl)ethyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

PAGE 1-A



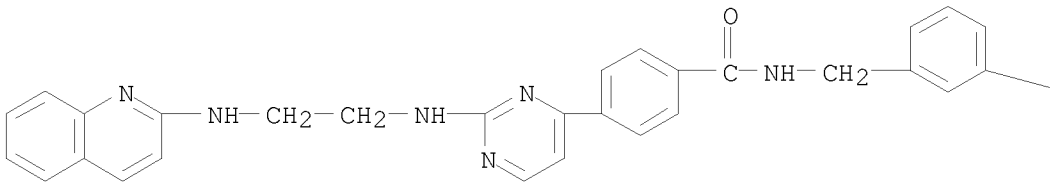
PAGE 1-B



RN 403808-53-7 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-(2-

quinolinylamino)ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

PAGE 1-A

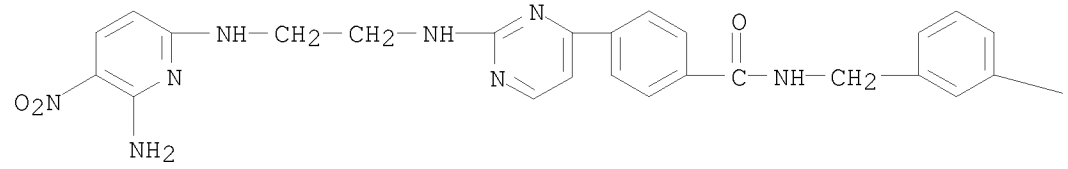


PAGE 1-B

Br

RN 403808-56-0 CAPLUS
CN Benzamide, 4-[2-[[2-[(6-amino-5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]-N-[(3-bromophenyl)methyl]- (CA INDEX NAME)

PAGE 1-A

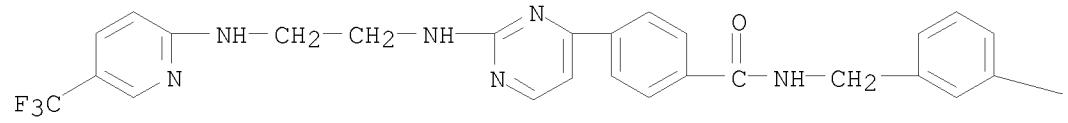


PAGE 1-B

Br

RN 403808-58-2 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-[[5-(trifluoromethyl)-2-pyridinyl]amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

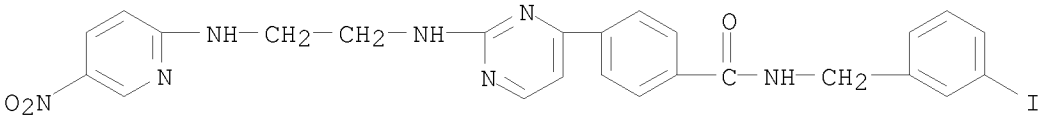
PAGE 1-A



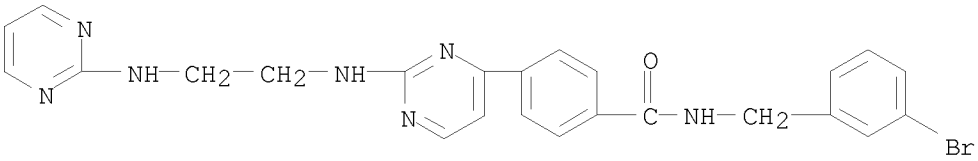
PAGE 1-B

Br

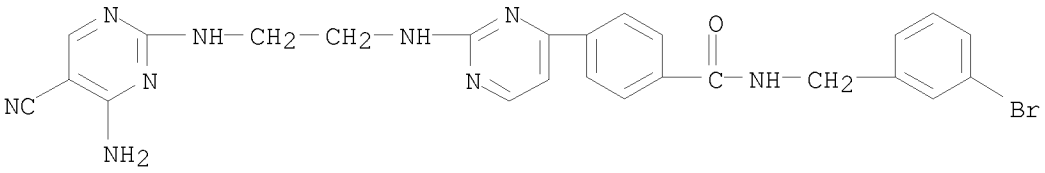
RN 403808-59-3 CAPLUS
CN Benzamide, N-[(3-iodophenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 403809-92-7 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-(2-pyrimidinylamino)ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 403810-07-1 CAPLUS
CN Benzamide, 4-[2-[[2-[(4-amino-5-cyano-2-pyrimidinyl)amino]ethyl]amino]-4-pyrimidinyl]-N-[(3-bromophenyl)methyl]- (CA INDEX NAME)

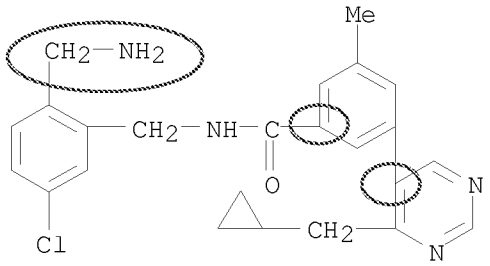


RE.CNT 306 THERE ARE 306 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

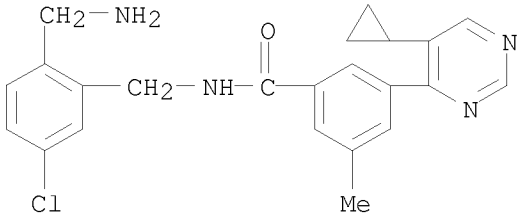
L8 ANSWER 25 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2002:220561 CAPLUS
DN 136:263168
TI Preparation of substituted heterocyclic aryl-alkyl-aryl compounds as thrombin inhibitors
IN Isaacs, Richard C.; Williams, Peter D.; Lyle, Terry A.; Staas, Donnette D.; Savage, Kelly L.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002022584	A1	20020321	WO 2001-US28791	20010911
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001094557	A	20020326	AU 2001-94557	20010911
PRAI	US 2000-231656P	P	20000911		
	WO 2001-US28791	W	20010911		

OS MARPAT 136:263168
AB Title compds. I [u, v, w = CH, N; X = 0, SO0-2, NH, alkenyl, C:O, C:ONH, C:OO, alkyl, CH2NH, CH2O, CF2; Y = (CH2)0-1(CR4R5)(CH2)0-1; Z = O, S0-2, C:O, amino, CF2, bond; R1 = H, alkyl(CN), C:O, (CH2)0-1-carboxy, CF3, alkoxy, halo, SO0-2, amino; R2 = (un)substituted Ph, 5-6-membered heterocycle; R3 = Ph, (un)substituted ring system, 5-6-membered heterocycle; R4-5 = H, alkyl; R6, R8 = halo, alkylamino, heterocycle] were prepared Examples include data for over 20 compds., 3 solid oral dosage formulations and an in-vitro assay for protease determination for example compds.
For instance, 2'-isopropyl-5-methylbiphenyl-3-ol (prepared in 3 steps from 2-isopropylphenyl iodide) was reacted with (S)-2-(pyridin-4-ylamino)propan-1-ol to give II isolated as the trifluoroacetate. Example compds. exhibited inhibitory activity against human thrombin, Ki < 24 nM. I are useful in the treatment of blood coagulation and cardiovascular disorders.
IT 404921-78-4P 404921-80-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; preparation of substituted heterocyclic aryl-alkyl-aryl compds. as thrombin inhibitors)
RN 404921-78-4 CAPLUS
CN Benzamide, N-[[2-(aminomethyl)-5-chlorophenyl]methyl]-3-[4-(cyclopropylmethyl)-5-pyrimidinyl]-5-methyl- (CA INDEX NAME)



RN 404921-80-8 CAPLUS
CN Benzamide, N-[[2-(aminomethyl)-5-chlorophenyl]methyl]-3-(5-cyclopropyl-4-pyrimidinyl)-5-methyl- (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 26 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2002:185092 CAPLUS
DN 136:247598
TI Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
IN Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manoj; Levine, Barry H.
PA Chiron Corporation, USA
SO PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

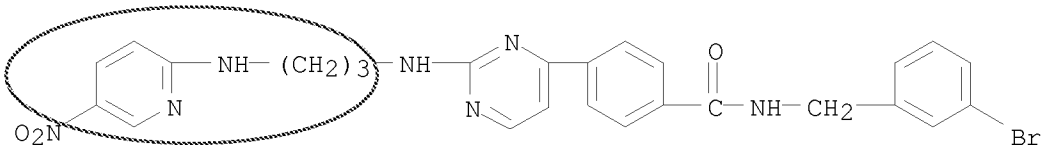
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002020495	A2	20020314	WO 2001-US42081	20010906
	WO 2002020495	A3	20020620		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001095026	A	20020322	AU 2001-95026	20010906
	EP 1317433	A2	20030611	EP 2001-975734	20010906
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004514656	T	20040520	JP 2002-525117	20010906
	CN 1592743	A	20050309	CN 2001-818425	20010906
	AU 2001295026	B2	20080403	AU 2001-295026	20010906
	IN 2003KN00277	A	20050311	IN 2003-KN277	20030305
	KR 816769	B1	20080326	KR 2003-703327	20030306
	KR 2008013026	A	20080212	KR 2008-701887	20080124
	KR 860827	B1	20080930		
PRAI	US 2000-230480P	P	20000906		
	WO 2001-US42081	W	20010906		
	KR 2003-703327	A3	20030306		

OS MARPAT 136:247598
AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepared as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage,

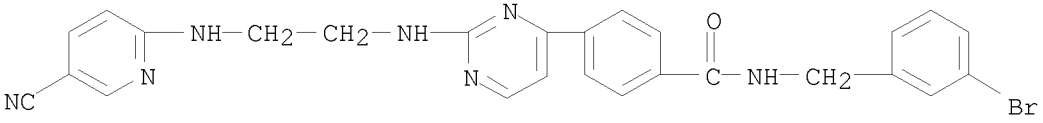
the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3β in a cell free assay with IC50 values of < 1 μM. Thus, I and compns. containing I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

IT 252904-09-9P, Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[3-[(5-nitro-2-pyridinyl)amino]propyl]amino]-4-pyrimidinyl]- 252904-11-3P, Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-[(5-cyano-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- 252904-13-5P, Benzamide, N-[(3-methoxyphenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 252904-09-9 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[3-[(5-nitro-2-pyridinyl)amino]propyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

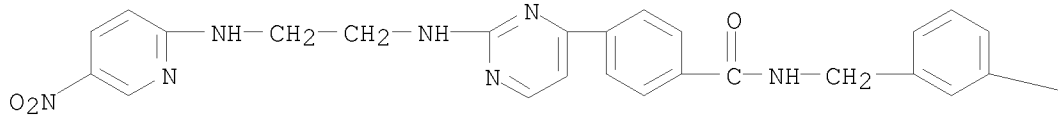


RN 252904-11-3 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-[(5-cyano-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 252904-13-5 CAPLUS
CN Benzamide, N-[(3-methoxyphenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

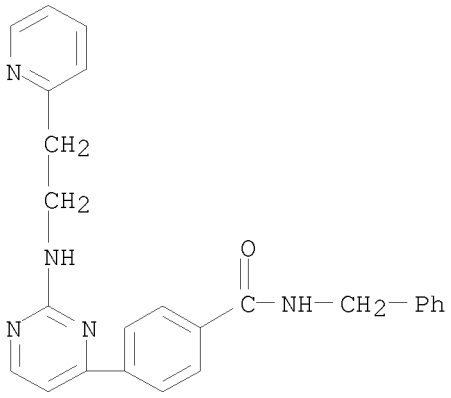
PAGE 1-A



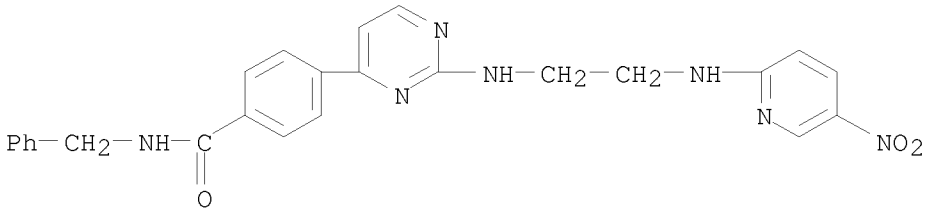
IT 403807-57-8, N-Benzyl-4-[2-[[2-[2-pyridyl]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403807-93-2, [4-[2-[[2-[[5-Nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenyl]-N-benzylcarboxamide 403807-94-3, [4-[2-[[2-[[5-Nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenyl]-N-(3-pyridylmethyl)carboxamide 403807-99-8, N-(2-Thienylmethyl)-4-[2-[[2-[[5-Nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-07-1, [4-[2-[[2-[[5-Nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenyl]-N-(2-phenylethyl)carboxamide 403808-08-2, N-[(3-Methylphenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-11-7, [4-[2-[[2-[[6-Amino-5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenyl]-N-benzylcarboxamide 403808-12-8, N-[(5-Methylpyrazin-2-yl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-13-9, N-[(3-Fluorophenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-15-1, N-[(4-Fluorophenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-16-2, [4-[2-[[(3-Bromophenyl)methyl]amino]pyrimidin-4-yl]phenyl]-N-[(3-methylphenyl)methyl]carboxamide 403808-18-4, N-(3-Imidazolylpropyl)-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-19-5 403808-22-0, N-[(4-Methoxyphenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-26-4, N-[(3-Chlorophenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-27-5, N-[(3,4-Difluorophenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-30-0, [4-[2-[[2-[[5-Nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenyl]-N-[(3-nitrophenyl)methyl]carboxamide 403808-32-2, N-[(3-Bromophenyl)methyl]-4-[2-[[2-(3-methoxyphenyl)ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-33-3, N-(Naphthylmethyl)-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-37-7, N-[(3,4-Dimethoxyphenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-38-8, N-[(2,3-Dimethoxyphenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-40-2, N-[(3-Bromophenyl)methyl]-4-[2-[[2-[[6-methoxy-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403808-41-3 403808-42-4, N-[(3,5-Dichlorophenyl)methyl]-4-[2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide

403808-43-5 403808-46-8 403808-48-0,
N-[(3-Bromophenyl)methyl]-4-[2-[[2-[(4-
nitrophenyl)amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide
403808-49-1, N-[(3-Bromophenyl)methyl]-4-[2-[[2-[[5-nitro-2-
pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide
403808-50-4, N-[(4-Bromophenyl)methyl]-4-[2-[[2-[[5-nitro-2-
pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide
403808-51-5 403808-52-6,
N-[2-(2,4-Dichlorophenyl)ethyl]-4-[2-[[2-[[5-nitro-2-
pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide
403808-53-7, N-[(3-Bromophenyl)methyl]-4-[2-[[2-(2-
quinolylamino)ethyl]amino]pyrimidin-4-yl]phenylcarboxamide
403808-56-0 403808-58-2 403808-59-3,
N-[(3-Iodophenyl)methyl]-4-[2-[[2-[[5-nitro-2-
pyridyl]amino]ethyl]amino]pyrimidin-4-yl]phenylcarboxamide
403809-92-7, N-[(3-Bromophenyl)methyl]-4-[2-[[2-(pyrimidin-2-
ylamino)ethyl]amino]pyrimidin-4-yl]phenylcarboxamide 403810-07-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(preparation of aminopyrimidines and -pyridines as glycogen synthase kinase
3 inhibitors)

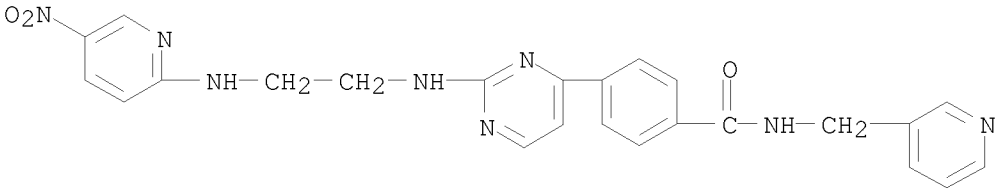
RN 403807-57-8 CAPLUS
CN Benzamide, N-(phenylmethyl)-4-[2-[[2-(2-pyridinyl)ethyl]amino]-4-
pyrimidinyl]- (CA INDEX NAME)



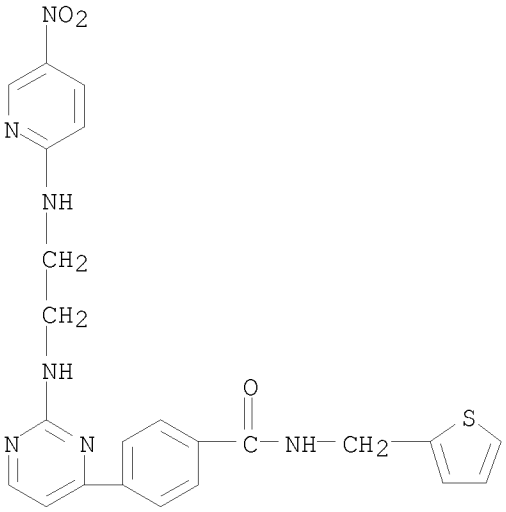
RN 403807-93-2 CAPLUS
CN Benzamide, 4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-
pyrimidinyl]-N-(phenylmethyl)- (CA INDEX NAME)



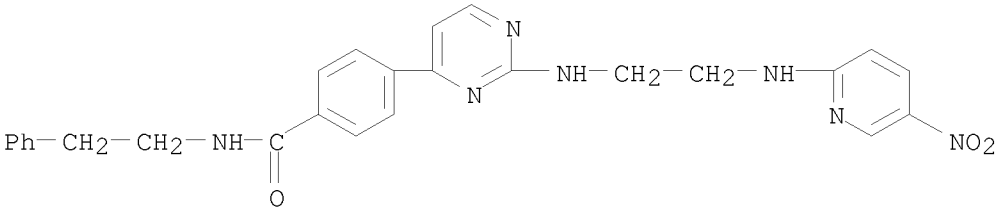
RN 403807-94-3 CAPLUS
CN Benzamide, 4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]-N-(3-pyridinylmethyl)- (CA INDEX NAME)



RN 403807-99-8 CAPLUS
CN Benzamide, 4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]-N-(2-thienylmethyl)- (CA INDEX NAME)

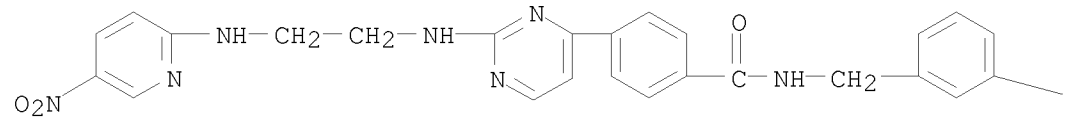


RN 403808-07-1 CAPLUS
CN Benzamide, 4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]-N-(2-phenylethyl)- (CA INDEX NAME)



RN 403808-08-2 CAPLUS
CN Benzamide, N-[(3-methylphenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

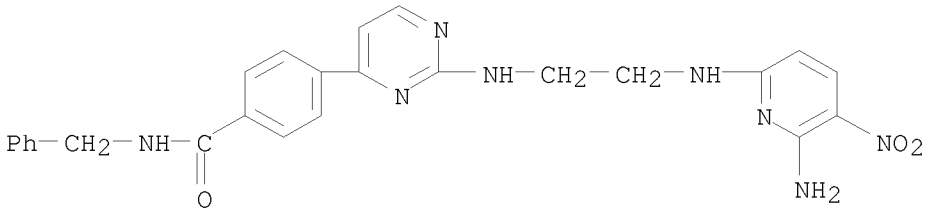
PAGE 1-A



PAGE 1-B

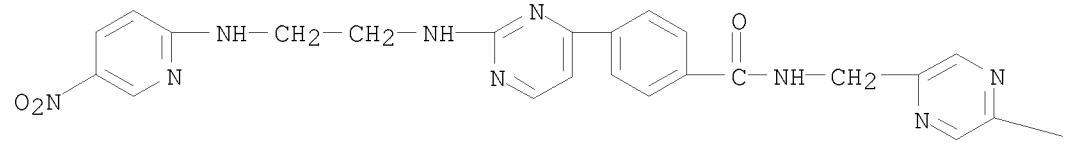
Me

RN 403808-11-7 CAPLUS
CN Benzamide, 4-[2-[[2-[(6-amino-5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]-N-(phenylmethyl)- (CA INDEX NAME)



RN 403808-12-8 CAPLUS
CN Benzamide, N-[(5-methyl-2-pyrazinyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

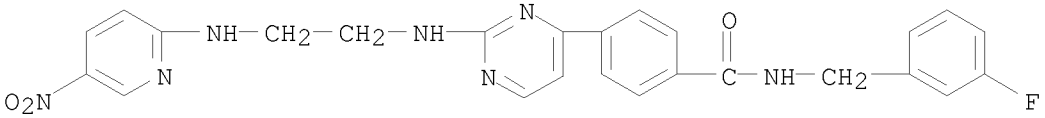
PAGE 1-A



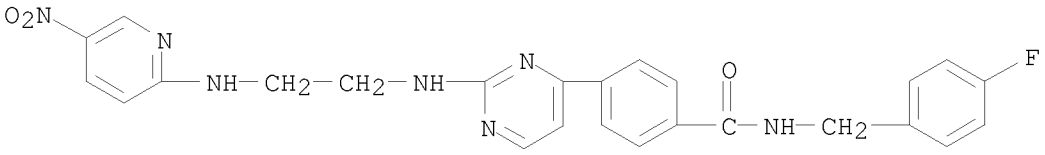
PAGE 1-B

Me

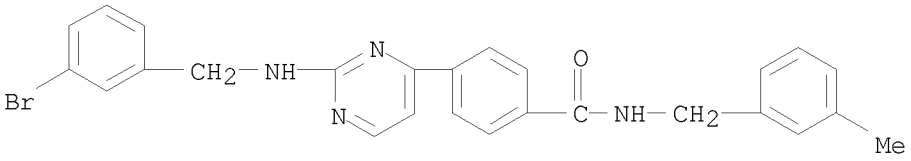
RN 403808-13-9 CAPLUS
CN Benzamide, N-[(3-fluorophenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



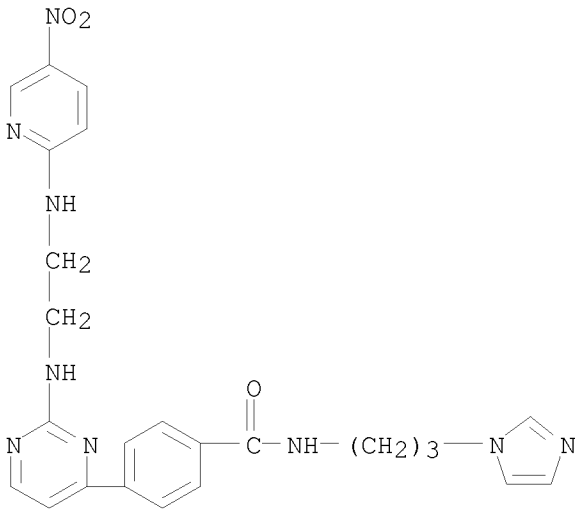
RN 403808-15-1 CAPLUS
CN Benzamide, N-[(4-fluorophenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



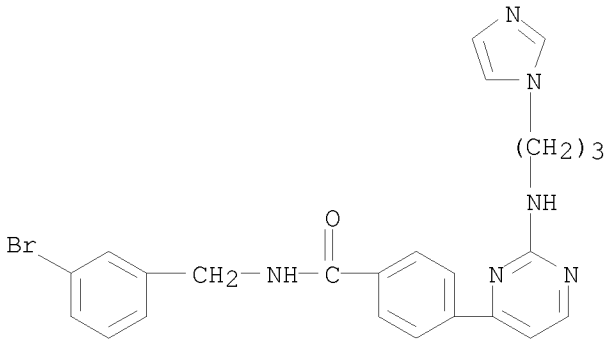
RN 403808-16-2 CAPLUS
CN Benzamide, 4-[2-[[(3-bromophenyl)methyl]amino]-4-pyrimidinyl]-N-[(3-methylphenyl)methyl]- (CA INDEX NAME)



RN 403808-18-4 CAPLUS
CN Benzamide, N-[3-(1H-imidazol-1-yl)propyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

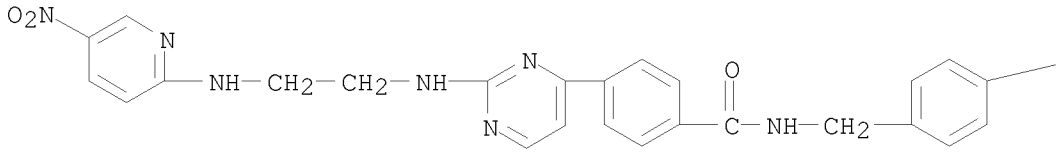


RN 403808-19-5 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[3-(1H-imidazol-1-yl)propyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 403808-22-0 CAPLUS
CN Benzamide, N-[(4-methoxyphenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

PAGE 1-A

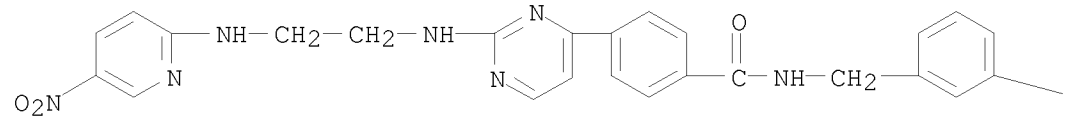


PAGE 1-B

OMe

RN 403808-26-4 CAPLUS
CN Benzamide, N-[(3-chlorophenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

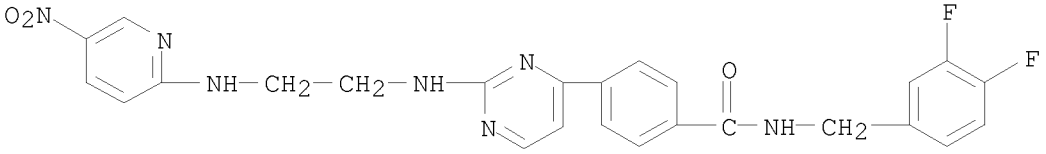
PAGE 1-A



PAGE 1-B

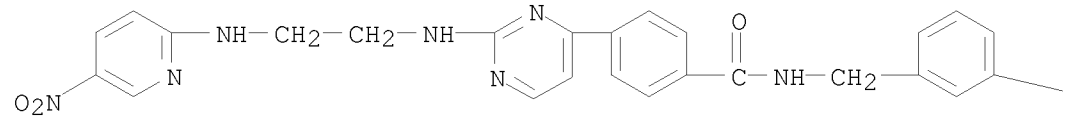
Cl

RN 403808-27-5 CAPLUS
CN Benzamide, N-[(3,4-difluorophenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



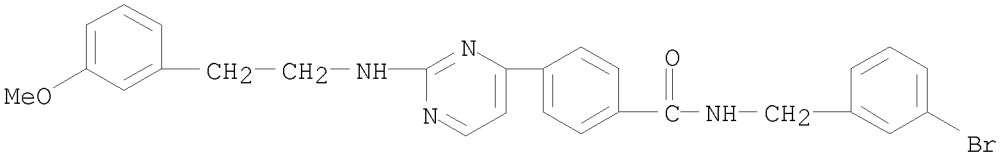
RN 403808-30-0 CAPLUS
CN Benzamide, N-[(3-nitrophenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

PAGE 1-A

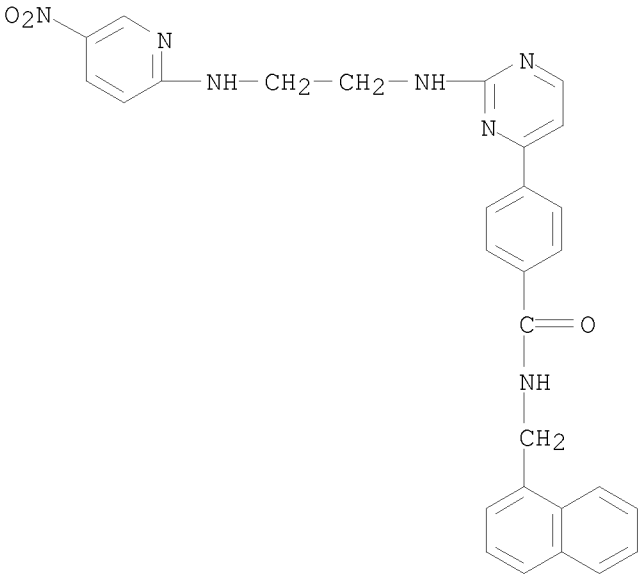


PAGE 1-B

RN 403808-32-2 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-(3-methoxyphenyl)ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

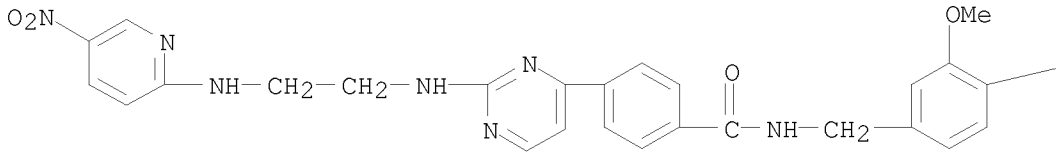


RN 403808-33-3 CAPLUS
CN Benzamide, N-(1-naphthalenylmethyl)-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 403808-37-7 CAPLUS
CN Benzamide, N-[(3,4-dimethoxyphenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

PAGE 1-A

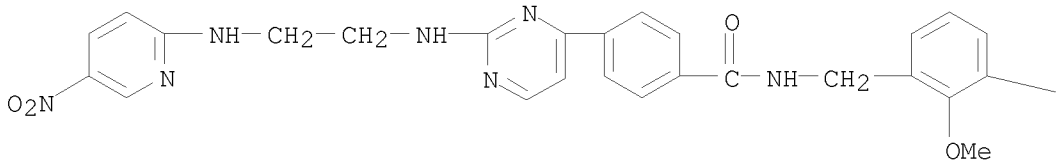


PAGE 1-B

OMe

RN 403808-38-8 CAPLUS
CN Benzamide, N-[(2,3-dimethoxyphenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

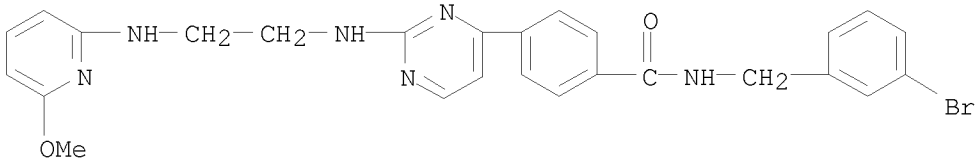
PAGE 1-A



PAGE 1-B

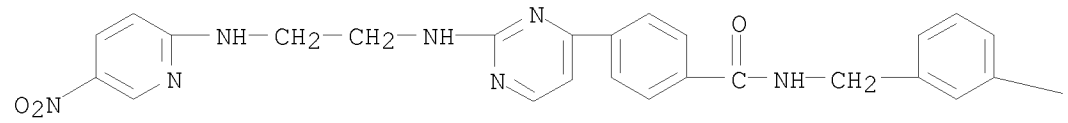
OMe

RN 403808-40-2 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-[(6-methoxy-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 403808-41-3 CAPLUS
CN Benzamide, 4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

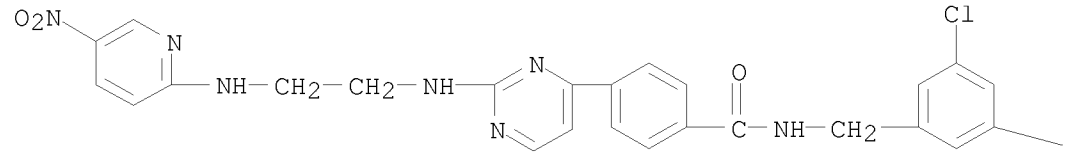
PAGE 1-A



PAGE 1-B

RN 403808-42-4 CAPLUS
CN Benzamide, N-[(3,5-dichlorophenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

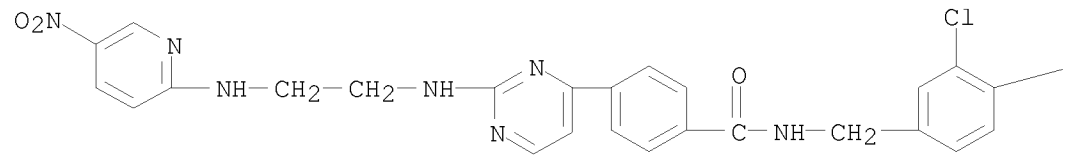
PAGE 1-A



PAGE 1-B

RN 403808-43-5 CAPLUS
CN Benzamide, N-[(3,4-dichlorophenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

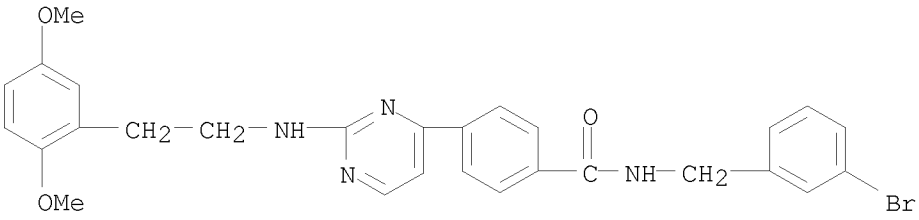
PAGE 1-A



PAGE 1-B

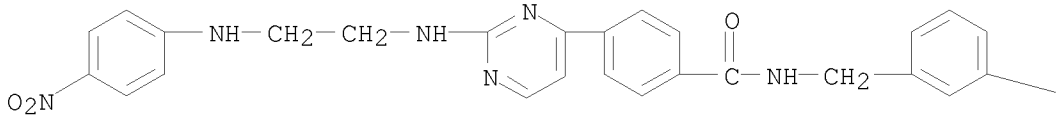
Cl

RN 403808-46-8 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-(2,5-dimethoxyphenyl)ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 403808-48-0 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-[(4-nitrophenyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

PAGE 1-A

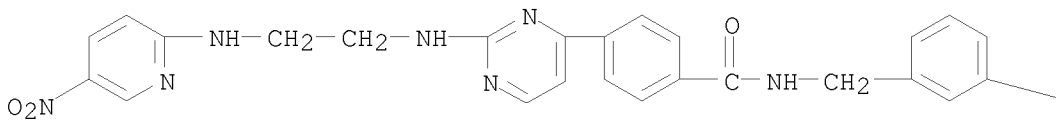


PAGE 1-B

Br

RN 403808-49-1 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

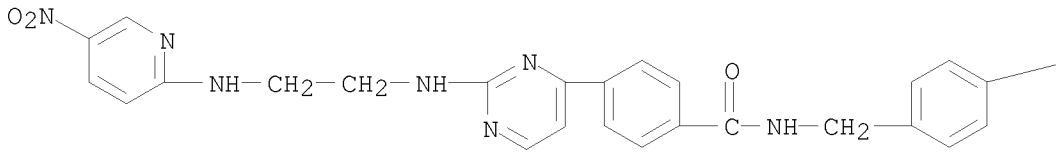
PAGE 1-A



PAGE 1-B

RN 403808-50-4 CAPLUS
CN Benzamide, N-[(4-bromophenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

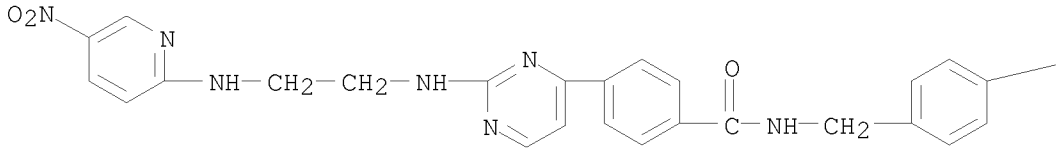
PAGE 1-A



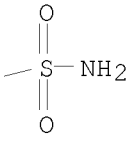
PAGE 1-B

RN 403808-51-5 CAPLUS
CN Benzamide, N-[[4-(aminosulfonyl)phenyl]methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

PAGE 1-A

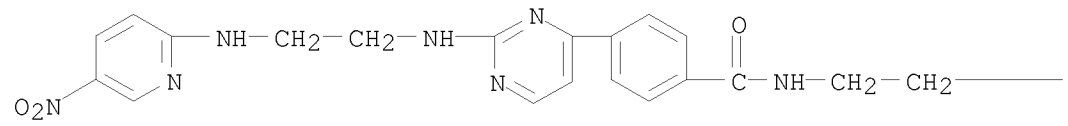


PAGE 1-B

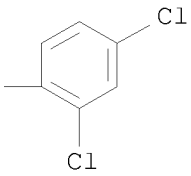


RN 403808-52-6 CAPLUS
CN Benzamide, N-[2-(2,4-dichlorophenyl)ethyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

PAGE 1-A

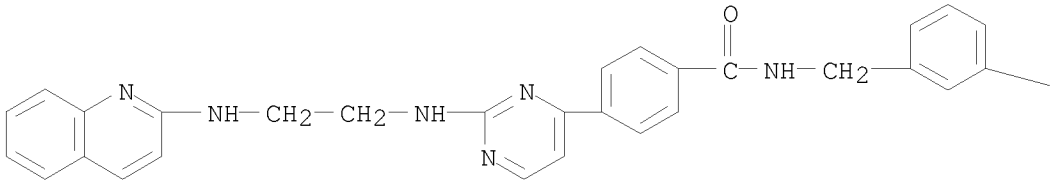


PAGE 1-B



RN 403808-53-7 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-(2-quinolinylamino)ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

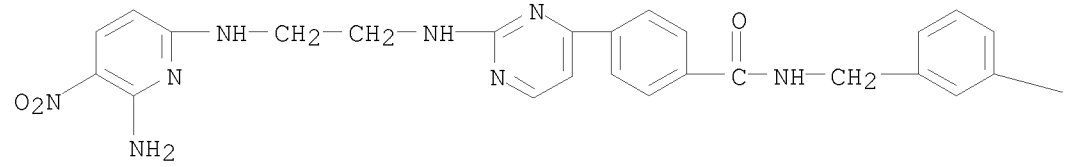
PAGE 1-A



PAGE 1-B

RN 403808-56-0 CAPLUS
CN Benzamide, 4-[2-[[2-[(6-amino-5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]-N-[(3-bromophenyl)methyl]- (CA INDEX NAME)

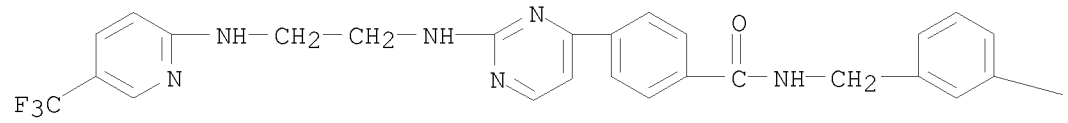
PAGE 1-A



PAGE 1-B

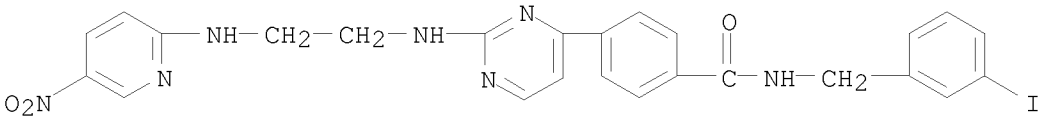
RN 403808-58-2 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-[[5-(trifluoromethyl)-2-pyridinyl]amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

PAGE 1-A

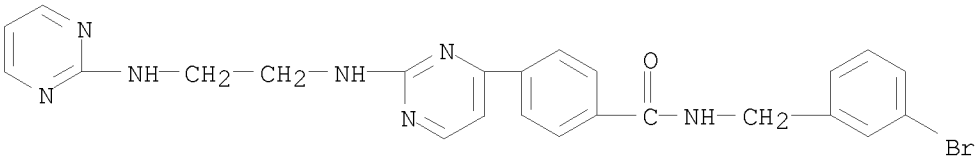


PAGE 1-B

RN 403808-59-3 CAPLUS
CN Benzamide, N-[(3-iodophenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

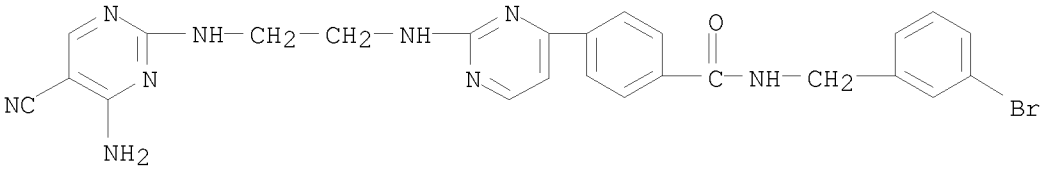


RN 403809-92-7 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-(2-pyrimidinylamino)ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 403810-07-1 CAPLUS

CN Benzamide, 4-[2-[[2-[(4-amino-5-cyano-2-pyrimidinyl)amino]ethyl]amino]-4-pyrimidinyl]-N-[(3-bromophenyl)methyl]- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 27 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2001:713292 CAPLUS
DN 135:272754
TI Preparation of insecticidal anthranilamides
IN Lahm, George P.; Myers, Brian J.; Selby, Thomas P.; Stevenson, Thomas M.
PA E. I. Du Pont de Nemours & Co., USA
SO PCT Int. Appl., 211 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001070671	A2	20010927	WO 2001-US9338	20010320
	WO 2001070671	A3	20020214		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2400167	A1	20010927	CA 2001-2400167	20010320
	AU 2001050946	A	20011003	AU 2001-50946	20010320
	EP 1265850	A2	20021218	EP 2001-924277	20010320
	EP 1265850	B1	20070103		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001009757	A	20030204	BR 2001-9757	20010320
	HU 2003000263	A2	20030628	HU 2003-263	20010320
	HU 2003000263	A3	20030728		
	JP 2003528070	T	20030924	JP 2001-568883	20010320
	NZ 520728	A	20030926	NZ 2001-520728	20010320
	AU 2001250946	B2	20050908	AU 2001-250946	20010320
	RU 2278852	C2	20060627	RU 2002-128150	20010320
	EP 1700845	A1	20060913	EP 2006-12017	20010320
	EP 1700845	B1	20081210		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
	AT 350365	T	20070115	AT 2001-924277	20010320
	ES 2278738	T3	20070816	ES 2001-924277	20010320
	AT 417033	T	20081215	AT 2006-12017	20010320
	ZA 2002006148	A	20031105	ZA 2002-6148	20020801
	IN 2002MN01167	A	20050304	IN 2002-MN1167	20020827
	US 20030229050	A1	20031211	US 2002-220450	20020828
	US 6747047	B2	20040608		
	KR 741632	B1	20070723	KR 2002-712474	20020919
	MX 2002009207	A	20030523	MX 2002-9207	20020920
	US 20040142984	A1	20040722	US 2003-698643	20031031
	US 6995178	B2	20060207		
	US 20060079561	A1	20060413	US 2005-199830	20050809
	US 7338978	B2	20080304		
PRAI	US 2000-191242P	P	20000322		
	US 2000-220232P	P	20000724		
	US 2000-254635P	P	20001211		

	US 2001-262015P	P	20010117
	EP 2001-924277	A3	20010320
	US 2001-9338	A	20010320
	WO 2001-US9338	W	20010320
	US 2002-220450	A3	20020828
	US 2003-698643	A3	20031031

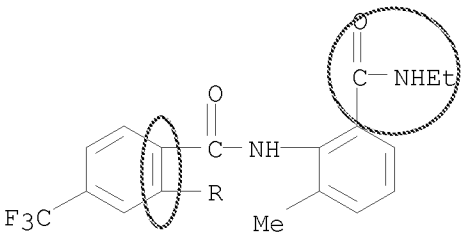
OS MARPAT 135:272754

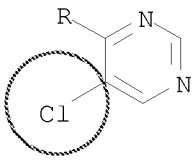
AB The title compds. [I; A, B = O, S; J = substituted Ph, naphthyl, (un)substituted 5-6 membered heteroarom., aromatic 8-10 membered fused heterobicyclic ring; n = 1-4; R1 = H, alkyl, alkenyl, etc.; R2 = H, alkyl, alkoxy, etc.; R3 = H, alkyl, cycloalkyl, etc.; R4 = H, alkyl, halo, etc.], useful for controlling arthropods, were prepared E.g., a multi-step synthesis of II which showed excellent level of plant protection (10% or less feeding damage) in test with diamondback moth (DBM), was given.

IT 1139912-01-8 1139912-03-0 1139912-04-1
1139912-05-2 1139912-06-3 1139912-07-4
1139912-08-5 1139912-09-6 1139912-10-9
1139912-11-0 1139912-12-1 1139912-13-2
1139912-14-3 1139912-15-4 1139912-16-5
1139912-17-6 1139912-18-7 1139933-99-5
1139934-00-1 1139934-01-2 1139934-02-3
1139934-03-4 1139934-04-5 1139934-05-6
1139934-06-7 1139934-07-8 1139934-08-9
1139935-13-9 1139935-14-0 1139935-15-1
1139935-16-2 1139935-17-3 1139935-18-4
1139935-19-5 1139935-20-8 1139935-21-9
1139935-22-0 1139937-29-3 1139937-30-6
1139937-31-7 1139937-32-8 1139937-33-9
1139937-34-0 1139937-35-1 1139937-36-2
1139937-37-3 1139937-38-4 1139937-39-5
RL: PRPH (Prophetic)
(Preparation of insecticidal anthranilamides)

RN 1139912-01-8 CAPLUS

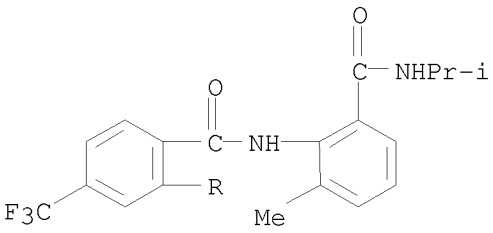
CN INDEX NAME NOT YET ASSIGNED

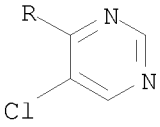




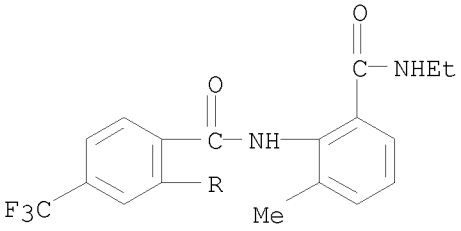
RN 1139912-03-0 CAPLUS

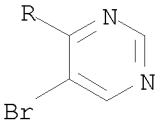
CN INDEX NAME NOT YET ASSIGNED



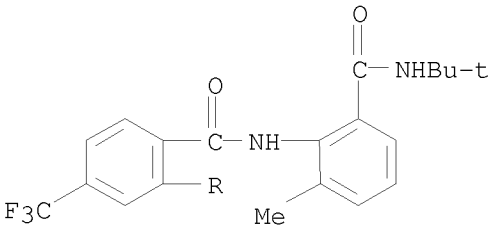


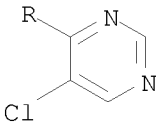
RN 1139912-04-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



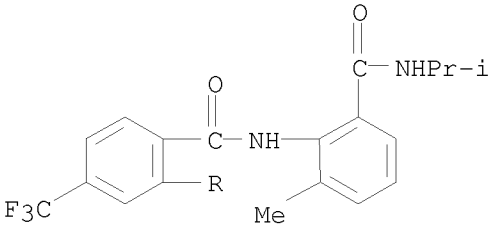


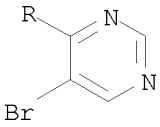
RN 1139912-05-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



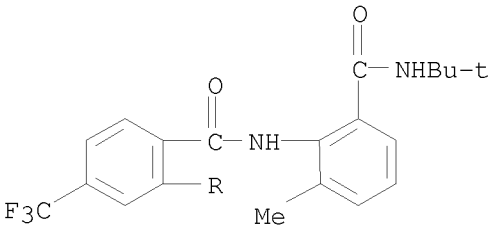


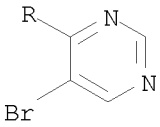
RN 1139912-06-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



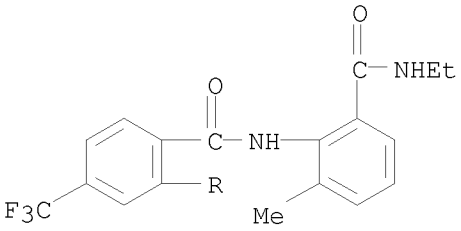


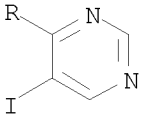
RN 1139912-07-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



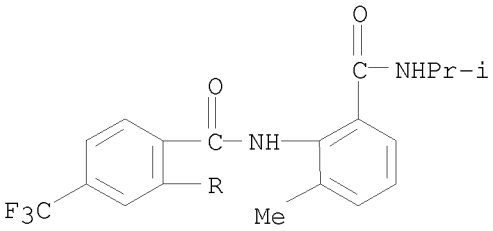


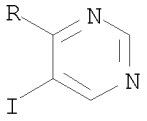
RN 1139912-08-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



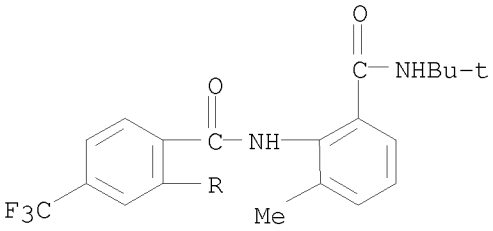


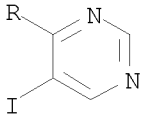
RN 1139912-09-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



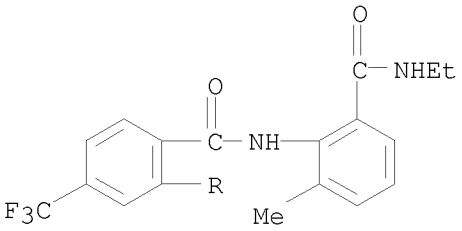


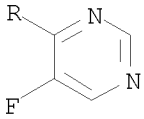
RN 1139912-10-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



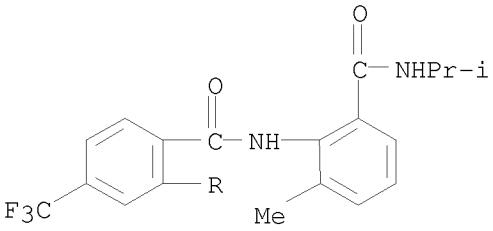


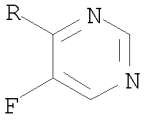
RN 1139912-11-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



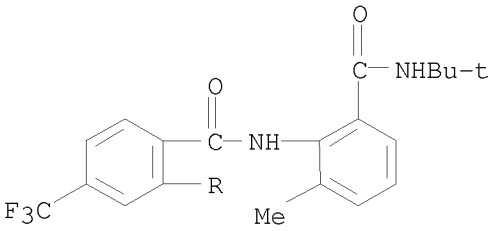


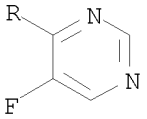
RN 1139912-12-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



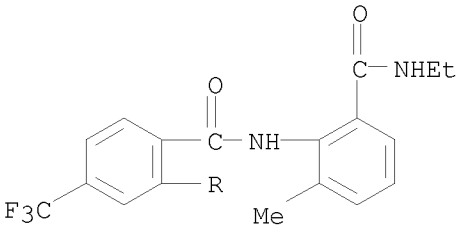


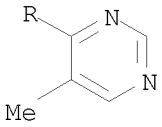
RN 1139912-13-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



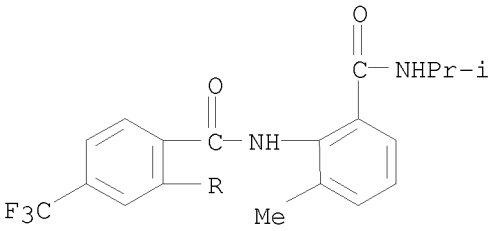


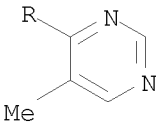
RN 1139912-14-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



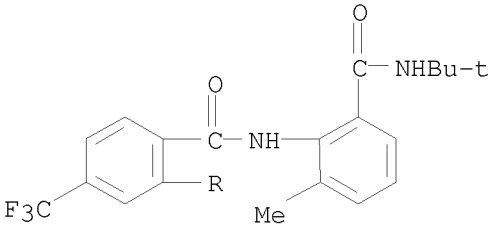


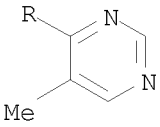
RN 1139912-15-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



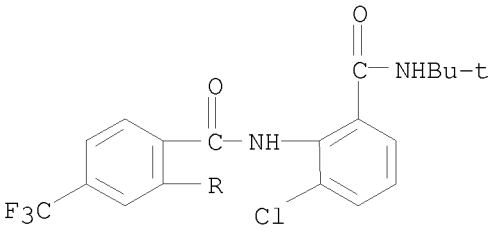


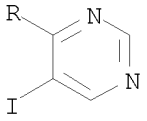
RN 1139912-16-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



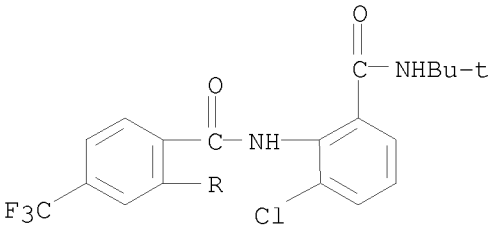


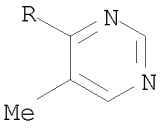
RN 1139912-17-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



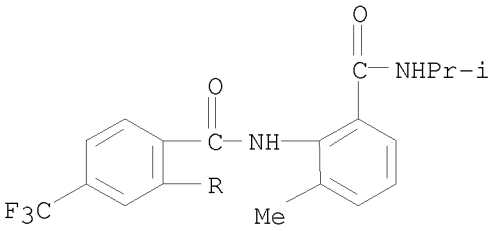


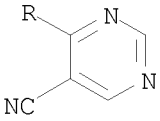
RN 1139912-18-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



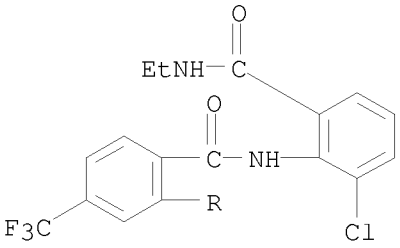


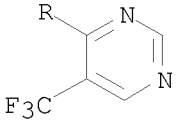
RN 1139933-99-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



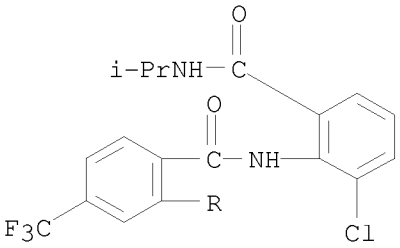


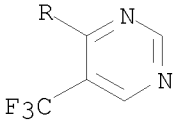
RN 1139934-00-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



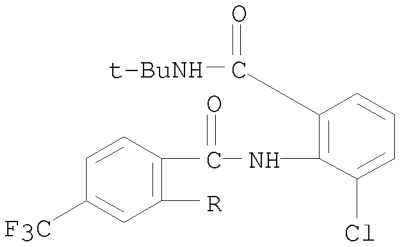


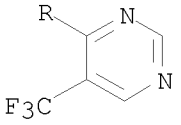
RN 1139934-01-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



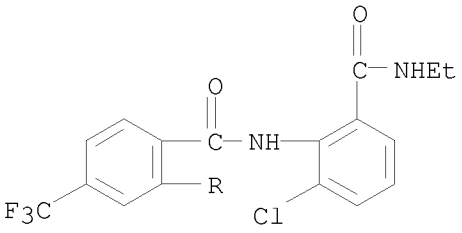


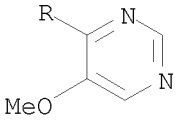
RN 1139934-02-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



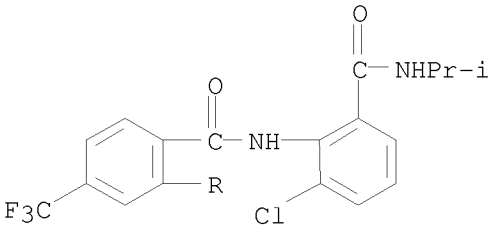


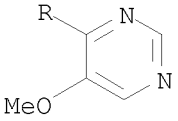
RN 1139934-03-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



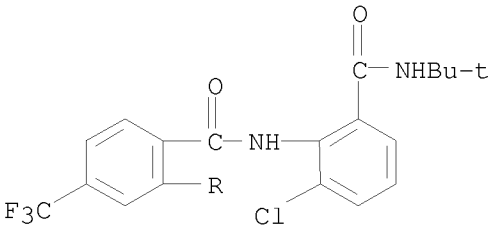


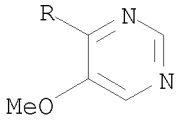
RN 1139934-04-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



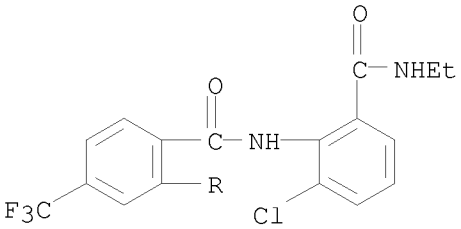


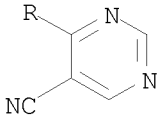
RN 1139934-05-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



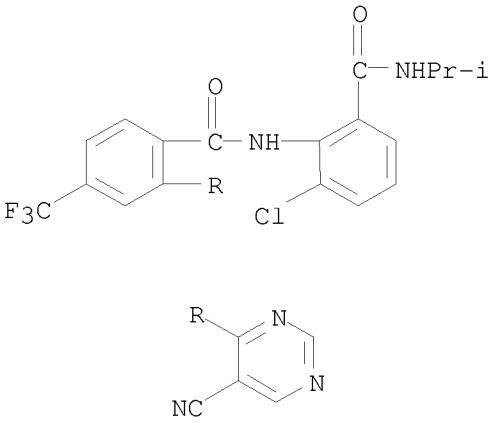


RN 1139934-06-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

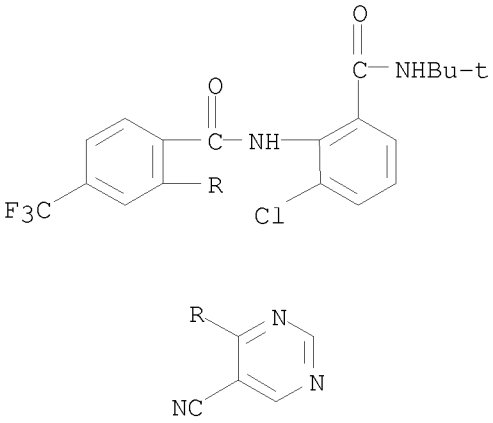




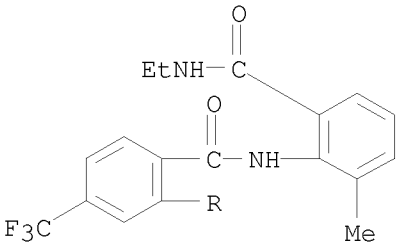
RN 1139934-07-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

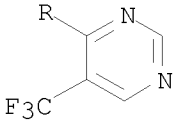


RN 1139934-08-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

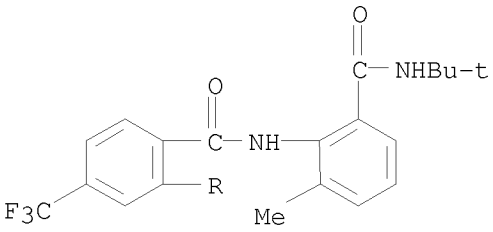


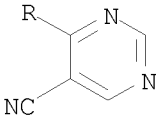
RN 1139935-13-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



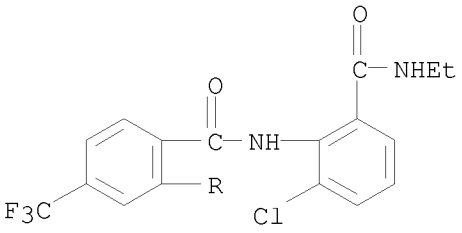


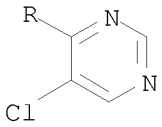
RN 1139935-14-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



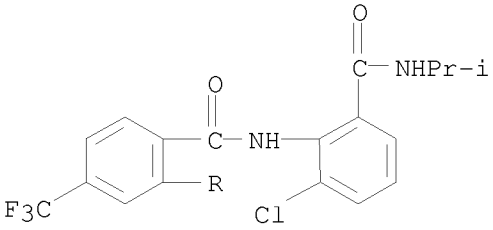


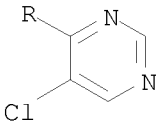
RN 1139935-15-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



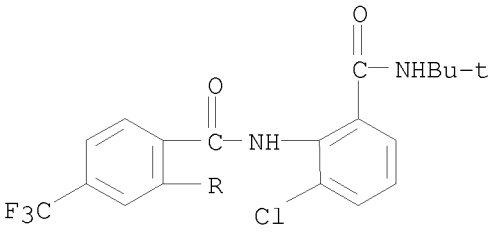


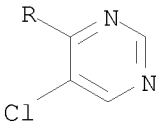
RN 1139935-16-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



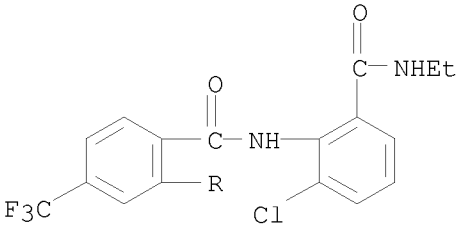


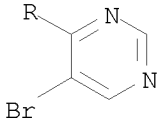
RN 1139935-17-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



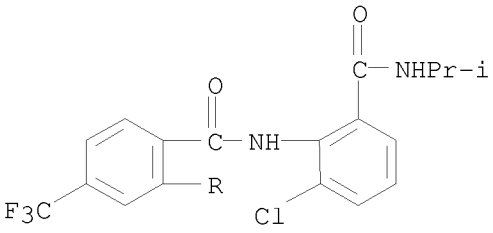


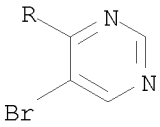
RN 1139935-18-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



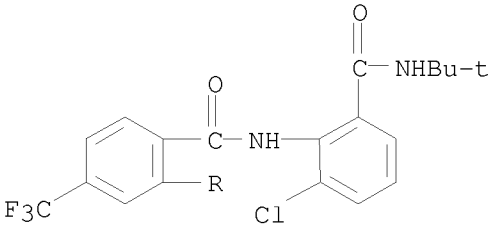


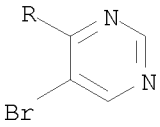
RN 1139935-19-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



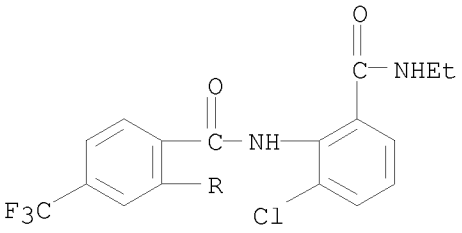


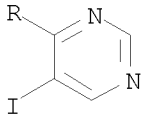
RN 1139935-20-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



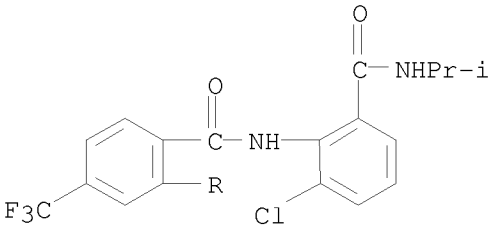


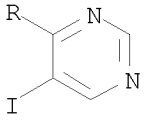
RN 1139935-21-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



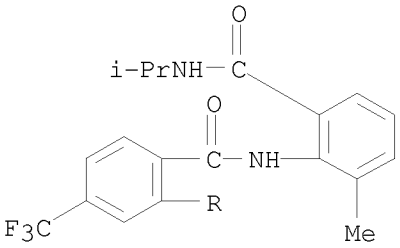


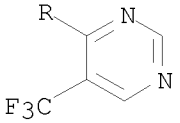
RN 1139935-22-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



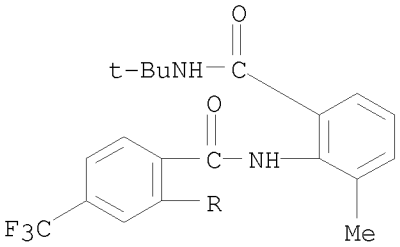


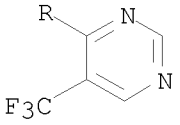
RN 1139937-29-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



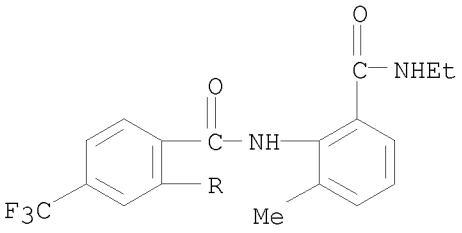


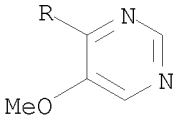
RN 1139937-30-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



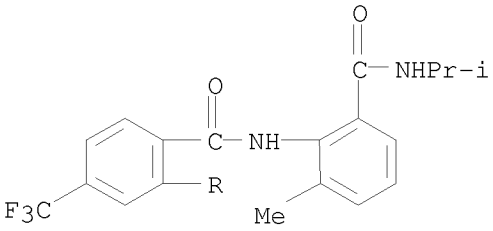


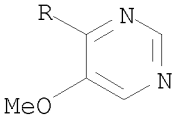
RN 1139937-31-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



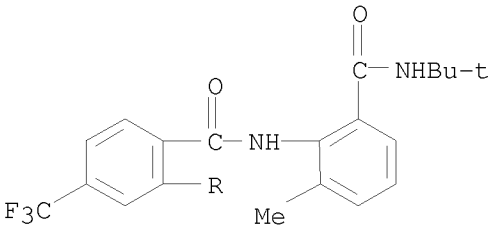


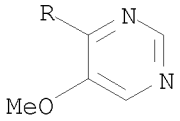
RN 1139937-32-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



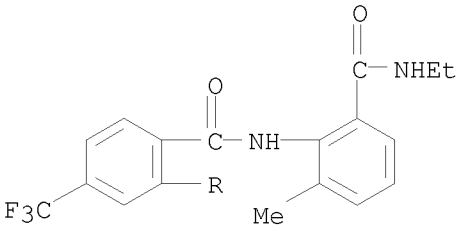


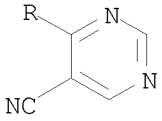
RN 1139937-33-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



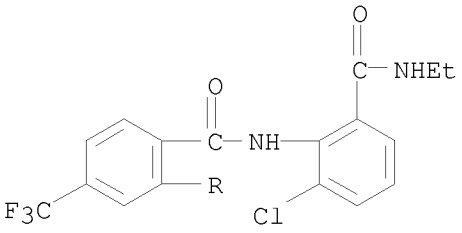


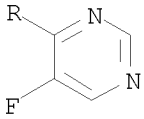
RN 1139937-34-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



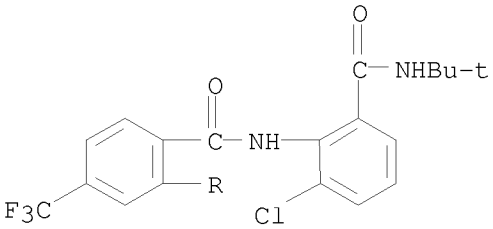


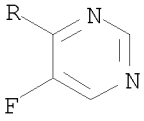
RN 1139937-35-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



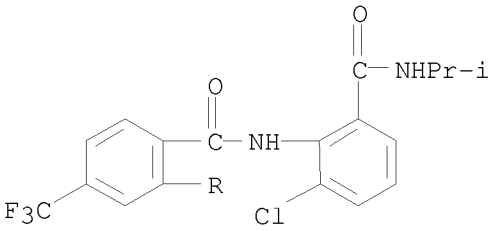


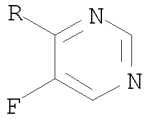
RN 1139937-36-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



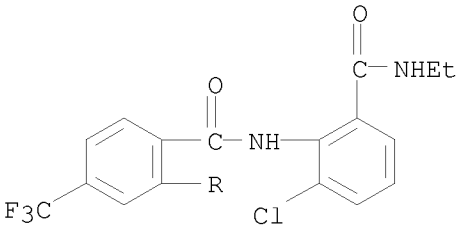


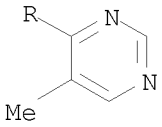
RN 1139937-37-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



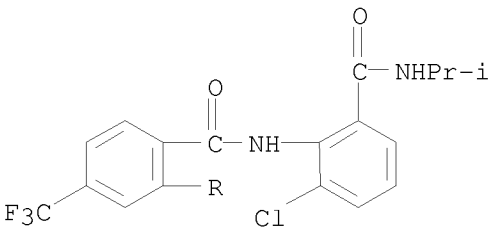


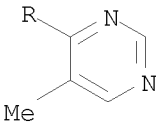
RN 1139937-38-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED





RN 1139937-39-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED





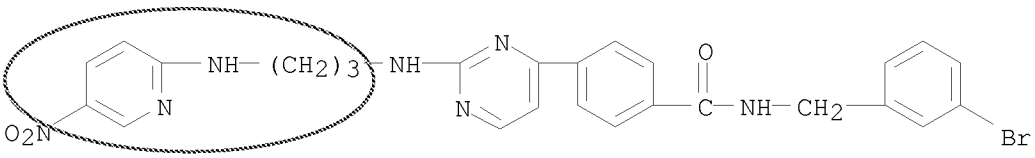
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 28 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1999:811233 CAPLUS
DN 132:64265
TI Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
IN Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Brown, Sean P.; Goff, Dane; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithry; Renhowe, Paul A.; Seely, Lynn; Subramanian, Sharadha; Wagman, Allan S.; Zhou, Xiaohui A.
PA Chiron Corporation, USA
SO PCT Int. Appl., 262 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

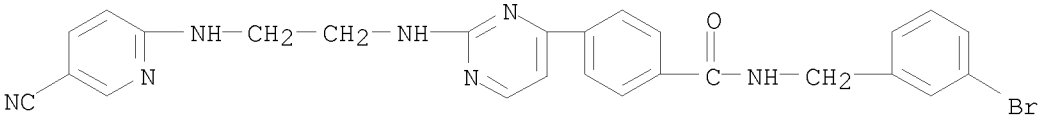
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9965897	A1	19991223	WO 1999-US13809	19990618
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9949566	A	20000105	AU 1999-49566	19990618
	EP 1087963	A1	20010404	EP 1999-933522	19990618
	EP 1087963	B1	20040825		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 6489344	B1	20021203	US 1999-336098	19990618
	JP 2003527303	T	20030916	JP 2000-554722	19990618
	AT 274510	T	20040915	AT 1999-933522	19990618
	IN 2000KN00609	A	20050311	IN 2000-KN609	20001207
	US 20030130289	A1	20030710	US 2002-309535	20021203
	US 7037918	B2	20060502		
PRAI	US 1998-89978P	P	19980619		
	US 1999-336098	A3	19990618		
	WO 1999-US13809	W	19990618		

OS MARPAT 132:64265
AB RZCR2R12CR3R13Z1R5 [I; R = (un)substituted (hetero)aryl; Z = O, NR1, CR1R11; Z1 = O, NR4, CR4R14; R1-R4 = H, OH, NH2, alkyl, alkoxy, etc.; R5 = (un)substituted 2-pyridyl or -pyrimidyl; R11-R14 = H or alkyl] were prepared Thus, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine which was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to give, after resin cleavage, title compound II. Data for biol. activity of I were given.
IT 252904-09-9P 252904-11-3P 252904-13-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)
RN 252904-09-9 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[3-[(5-nitro-2-

pyridinyl)amino]propyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

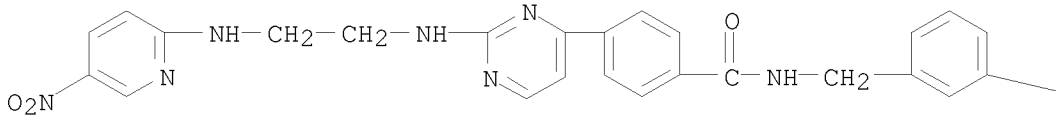


RN 252904-11-3 CAPLUS
CN Benzamide, N-[(3-bromophenyl)methyl]-4-[2-[[2-[(5-cyano-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 252904-13-5 CAPLUS
CN Benzamide, N-[(3-methoxyphenyl)methyl]-4-[2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)

PAGE 1-A

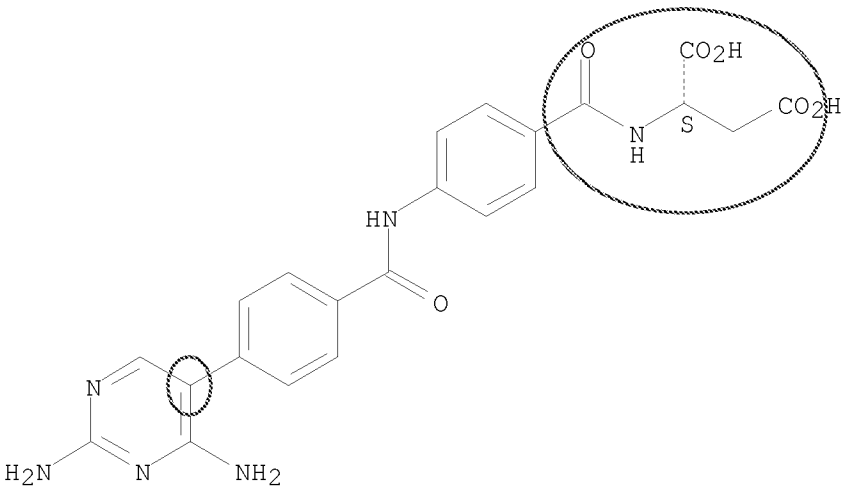


PAGE 1-B

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

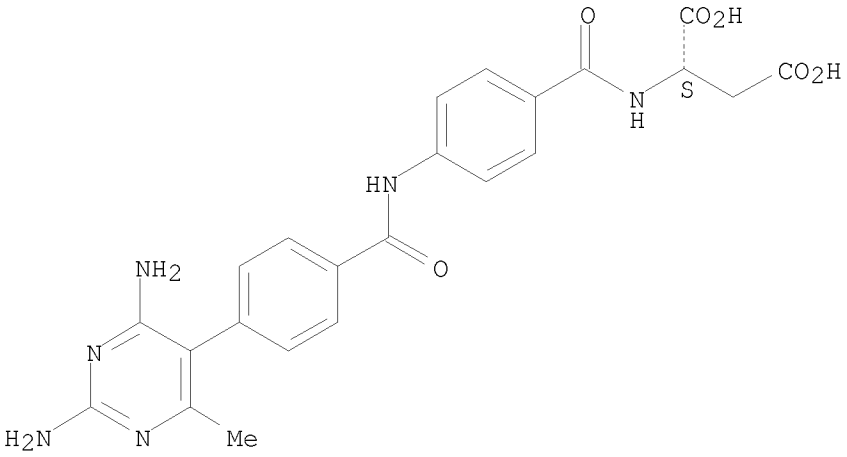
L8 ANSWER 29 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1974:143985 CAPLUS
DN 80:143985
OREF 80:23241a,23244a
TI Stereochemical characteristics of the folate-antifolate transport mechanism in L1210 leukemia cells
AU Sirotnak, Francis M.; Donsbach, Ruth C.
CS Mem. Sloan-Kettering Cancer Cent., New York, NY, USA
SO Cancer Research (1974), 34(2), 371-7
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English
AB The rate of influx, extent of concentrative uptake, and the rate of efflux (loss) by active transport in L1210 leukemia cells was compared for the pteridine antifolates, aminopterin and methotrexate, 8 related quinazoline analogs, and 2 pyrimidine derivs. The data reveal a difference in the stereochem. specificity for influx and efflux. Influx was preferential in the order pteridine, quinazoline, and pyrimidine. Influx of aminopterin was more rapid than that of methotrexate. L-Glutamylquinazolines were taken up faster than L-aspartylquinazolines, but influx of a D-glutamylquinazoline was slower than the corresponding D-aspartyl derivative Influx of the quinazolines was faster when there was a methyl- or chloro-substitution at position 5. Influx of the pyrimidines was also faster when a methyl group was at position 6. Michaelis consts. (Km) for influx of the various analogs varied from 1.42 + 10-6M to over 10-4M. Individual Vmax values were essentially the same (1.87-2.22 nmoles/min/g dry weight). The relations between the values for initial velocity of influx (υ), the Km and Vmax obtained with each analog were in agreement with that predicted by the Michaelis-Menten equation and were consistent with the notion that differences in rates of influx are attributable to differences in the affinity of the carrier for the system. Efflux was preferential in the order pteridine, pyrimidine, and quinazoline. Efflux of aminopterin and methotrexate occurred at the same rate. Both aspartyl- and glutamylquinazolines efflux at about the same rate, but the D-aspartyl and D-glutamyl forms efflux more rapidly than the corresponding L forms. A methyl, and particularly a chloro, substitution at position 5 of the quinazoline reduces the rate of efflux. The extent of concentrative uptake observed for each analog directly reflects the relative magnitude at which the influx and efflux processes operate and may be the physiol. parameter most relevant to therapeutic efficacy.
IT 51741-95-8 51741-96-9
RL: PROC (Process)
(transport of, by leukemia)
RN 51741-95-8 CAPLUS
CN L-Aspartic acid, N-[4-[[4-(2,4-diamino-5-pyrimidinyl)benzoyl]amino]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 51741-96-9 CAPLUS
CN L-Aspartic acid, N-[4-[[4-(2,4-diamino-6-methyl-5-pyrimidinyl)benzoyl]amino]benzoyl]- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 30 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1965:463686 CAPLUS
DN 63:63686
OREF 63:11740b-h,11741a
TI Dyes containing dihalopyrimidinyl groups
IN Weissauer, Hermann
PA Badische Anilin- & Soda-Fabrik AG
SO 52 pp.
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 644765		19640907	BE	
	DE 1225788			DE	
	FR 1395833			FR	
	GB 1035779			GB	
PRAI	DE		19630306		

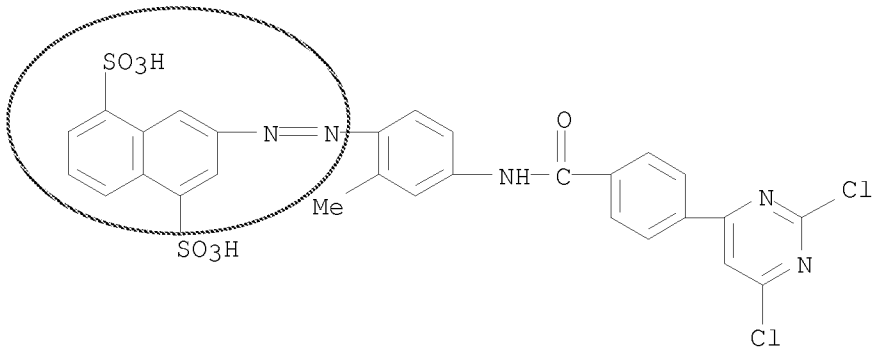
AB Dyes of the general formulas I, II, III, and IV are prepared and give fast dyeings on cotton. Thus, 26.9 parts 2,4-dichloro-6-(p-carboxyphenyl)pyrimidine in 100 parts o-C6H4Cl2 and 1 part HCONMe2 is treated at 100° with COCl2 to give p-(2,6-dichloro-4-pyrimidinyl)benzoyl chloride (V), m. 121-4°. 1-(4-Sulfo-2-methylphenyl)-3-methyl-4-(2-sulfo-4-aminophenylazo)-5-pyrazolone (25.3 parts) in 100 parts H2O is treated with 15.8 parts V in 140 parts dioxane to give I [Ar = 2,4-Me(HO3S)C6H3, Ar1 = p-(2,6-dichloro-4-pyrimidinyl)phenyl], golden yellow on cotton. Also prepared is yellow I [Ar = p-HO3SC6H4, Ar1 = m-(4,6-dichloro-2-pyrimidinyl)phenyl]. Also prepared are the following II (X, X1, X2, X3, and color on cotton given): AcNH, SO3H, H, m-(2,4-dichloro-6-pyrimidinyl)phenyl, bluish red; p-(2,4-dichloro-6-pyrimidinyl)benzamido, H, H, o-HO3SC6H4N:N, bluish red; AcNH, SO3H, H, m-(4,6-dichloro-2-pyrimidinyl)phenylazo, bluish red; H, m-(4,6-dichloro-2-pyrimidinyl)benzenesulfonamido, H, m-HO3SC6H4N:N, orange; H, SO3H, H, 2-methyl-5(4,6-dichloro-2-pyrimidinyl)phenylazo, scarlet; p-(2,4-dichloro-6-pyrimidinyl)benzamido, H, H, 2-sulfo-5-[p-(2,4-dichloro-6-pyrimidyl)benzamido]phenylazo, red-violet; p-(4,6-dichloro-6-pyrimidyl)benzamido, H, SO3H, H, -- (Co complex violet); p-(2,4-dichloro-6-pyrimidinyl)phenyl, SO3H, H, 5,2,3-Cl(HO)(HO3S)C6H2, -- (Cr complex blue gray); AcNH, SO3H, H, p-(4,6-dichloro-2-pyrimidinylmethyl)phenylazo, bluish red. Also prepared are the following III (X, X1, X2, X3, X4, Y, Y1, and color on cotton given): AcNH, H, SO3H, H, SO3H, 2,4-dichloro-6-pyrimidinyl, H, red-violet; SO3H, H, H, SO3H, H, 4,6-dichloro-] 2-pyrimidinyl, H, ruby red; p-(2,4-dichloro-6-pyrimidinyl)benzamido, SO3H, H, H, SO3H, SO3H, NO2, violet; H, p-(2,4-dichloro-6-pyrimidinyl)benzamido, H, H, SO3H, SO3H, H, --. Also prepared are the following IV (Ar, X, Ar1, X1, X2, and color on cotton given): H, SO3H, 2-methyl-5-[3-(2,4-dichloro-6-pyrimidinyl)benzenesulfonamido]phenyl, H, H, blue; H, SO3H, 3-sulfo-4-[p-(2,4-dichloro-6-pyrimidinyl)benzenesulfonamido]phenyl, H, H, blue; H, H, 3-methyl-4-[p-(2,4-dichloro-6-pyrimidinyl)anilinosulfonyl]phenyl, SO3H, H, bluish red. Also prepared is the brown Co complex of 4-(2-hydroxy-5-nitrophenylazo)-3-hydroxy-6-[4-sulfo-3-[p-(2,4-dichloro-6-pyrimidinyl)benzamido]phenylazo]phenol. Also prepared are (color on cotton given): turquoise blue reaction product of VI (X = Z = Cl, Y = m-H2NC6H4) and chlorosulfonated Cu phthalocyanine; turquoise blue reaction product of (HO3S)x[CuPc](SO2NHAr)y (CuPc = Cu

phthalocyanine residue) (VII) (x = y = 1, Ar = m-H2NC6H4) and VI (X = Z = Cl, Y = 3-ClSO2C6H4); green reaction product of (HO3S)3[Ph4CuPc](SO2Cl)3 (CuPc = Cu phthalocyanine residue) and VI (X = Y = Cl, Z = m-H2N6H4); VI (X = Z = Cl, Y = m-H2NC6H4) → VII [x = y = 2, Ar = p-(3-methyl-5-pyrazolon-1-yl)phenyl], green turquoise; reaction product of VII [x = 2, y = 1, Ar = 4,2-H2N(HO3S)C6H3] and VI (X = Z = Cl, Y = m-ClSO2C6H4). Also prepared are the following VI (X, Y, Z, and m.p. given): Cl, Cl, m-H2NC6H4, 137°; Ph, Cl, Cl, 93-5°; m-O2NC6H4, Cl, Cl, 133-5° (dioxane); m-H2NC6H4, Cl, Cl, 116-19°; OH, OH, m-ClSO2C6H4, 245-8° (decomposition); Cl, Cl, m-ClSO2C6H4, 155-6°; m-ClSO2C6H4, Cl, Cl, 165-70°; m-ClSO2C6H4, OH, OH, 105-15°; p-tolyl, Cl, Cl, 81°; 4,3-Me(O2N)C6H3, Cl, Cl, 129-30°; 4,3 Me(H2N)C6H3, Cl, Cl, 130-65°; Cl, Cl, p-[3,4-H2N(HO3S)-C6H3NHCO]C6H4, --; Cl, Cl, p-[4,3-H2N(HO3S)C6H3NHCO]C6H4,--; PhCH2, OH, OH, 311-12°; PhCH2, Cl, Cl, 58-60°; p-O2NC6H4CH2, Cl, Cl, 130-6°; p-H2NC6H4CH2, Cl, Cl, 62-5°.

IT 104466-08-2
(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 104466-08-2 CAPLUS

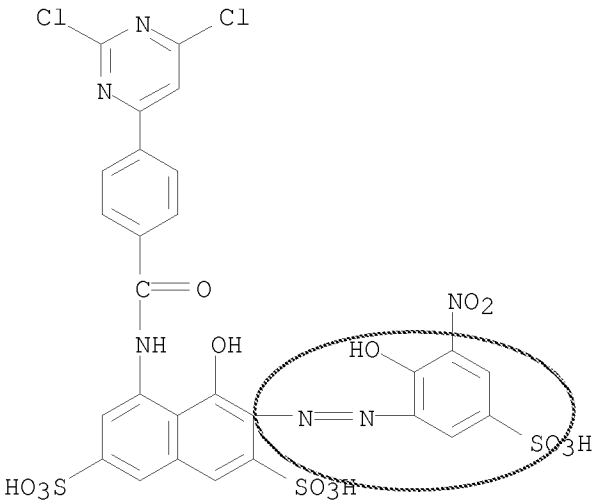
CN 1,5-Naphthalenedisulfonic acid, 3-[2-[4-[[4-(2,6-dichloro-4-pyrimidinyl)benzoyl]amino]-2-methylphenyl]diazenyl]- (CA INDEX NAME)



IT 859454-67-4, 2,7-Naphthalenedisulfonic acid, 5-[p-(2,6-dichloro-4-pyrimidinyl)benzamido]-4-hydroxy-3-[(2-hydroxy-3-nitro-5-sulfophenyl)azo]-
(cobalt and Cu complexes)

RN 859454-67-4 CAPLUS

CN 2,7-Naphthalenedisulfonic acid, 5-[[4-(2,6-dichloro-4-pyrimidinyl)benzoyl]amino]-4-hydroxy-3-[2-(2-hydroxy-3-nitro-5-sulfophenyl)diazenyl]- (CA INDEX NAME)


Cl
N
Cl
N
C
O
NH
OH
NO2
HO
N
N
SO3H
HO3S
SO3H

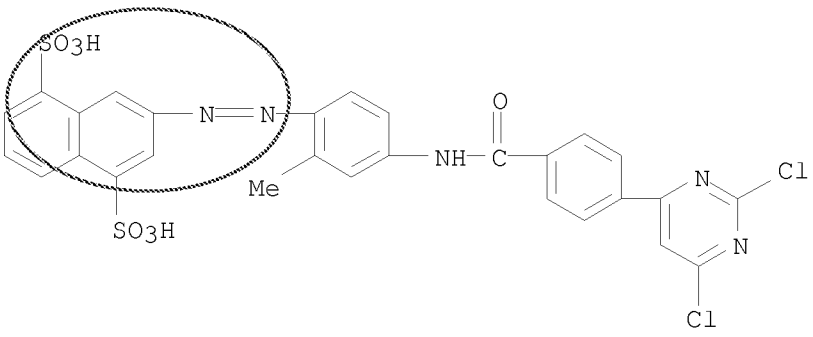
L8 ANSWER 31 OF 31 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1965:463685 CAPLUS
DN 63:63685
OREF 63:11740a-b
TI Azo dyes
IN Freyermuth, Harlan B.; Randall, David I.; Buc, Saul R.
PA General Aniline & Film Corp.
SO 3 pp.
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3193546		19650706	US 1961-164210	19611228
	GB 1031536			GB	
PRAI	US		19611228		

AB Fast orange shades on nylon with little or no barre effects are obtained with I. Thus, 0.1 a mole 5,2-H2N(MeO)C6H3CH2SO2CH2CH2OH was diazotized and coupled with 12.7 g. 2,8-HOC10H6SO3H to give 13.97 g. azo compound which was dissolved in 98 g. 96% H2SO4 at room temperature, stirred overnight, and drowned in 300 g. ice, salted, washed with H2O, neutralized with NaHCO3, and salted to give 12.09 g. I.

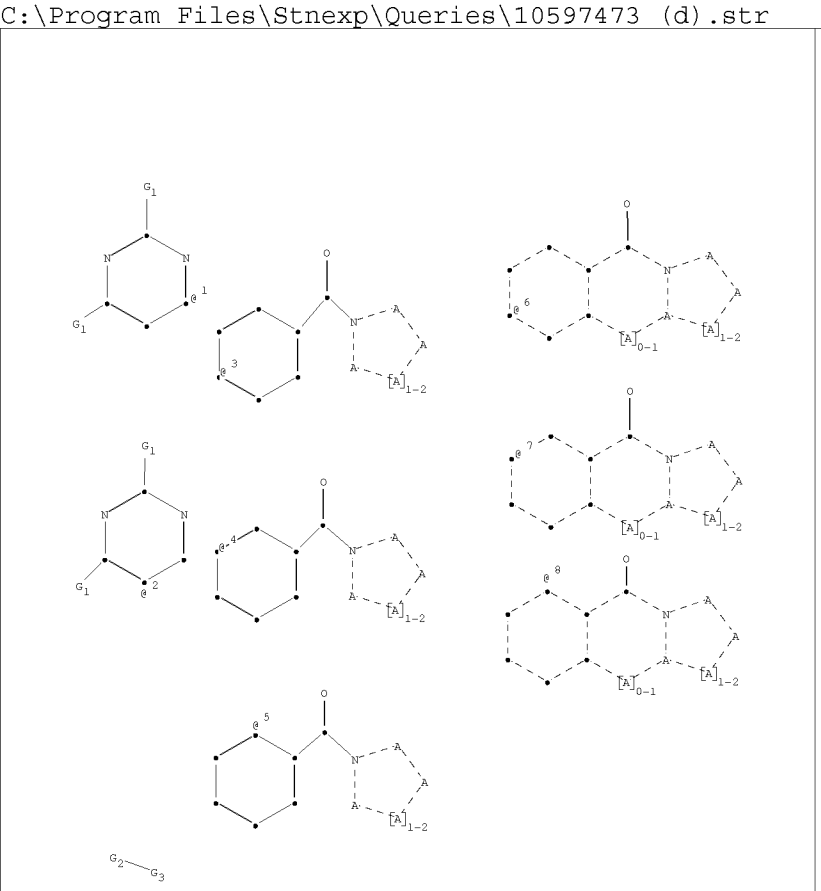
IT 104466-08-2
(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 104466-08-2 CAPLUS
CN 1,5-Naphthalenedisulfonic acid, 3-[2-[4-[[4-(2,6-dichloro-4-pyrimidinyl)benzoyl]amino]-2-methylphenyl]diazenyl]- (CA INDEX NAME)



```
=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                   175.84     369.83

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                   -25.42     -25.42

STN INTERNATIONAL LOGOFF AT 19:02:15 ON 09 JUN 2009
```

C:\Program Files\Stnexp\Queries\10597473 (d).str



chain nodes :
14 15 17 18 22 41 42 43 44 45 46 108 109 110 130
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 23 24 25 26 27 28 29 30 31 32 33 34 35 36
37 38 39 40 47 48 49 50 51 52 53 54 55 56 57 58 59 60 61 69 70 71 72 73
74 75 76 77 78 79 80 81 82 83 84 85 86 87 88 89 90 91 92 93 94 95 96 97
98 99 100 101 102 103 104 105 106 107
chain bonds :
2-15 4-14 8-18 10-17 22-130 27-41 33-42 39-43 41-44 41-50 42-45 42-55 43-46 43-60
87-108 91-109 95-110
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 23-24 23-28 24-25 25-26
26-27 27-28 29-30 29-34 30-31 31-32 32-33 33-34 35-36 35-40 36-37 37-38 38-39
39-40 47-48 47-51 48-49 49-50 50-51 52-53 52-56 53-54 54-55 55-56 57-58 57-61
58-59 59-60 60-61 69-70 69-74 70-71 71-72 72-73 73-74 73-87 74-90 75-76 75-80
76-77 77-78 78-79 79-80 79-91 80-94 81-82 81-86 82-83 83-84 84-85 85-86 85-95
86-98 87-88 88-89 88-99 89-90 89-101 91-92 92-93 92-102 93-94 93-104 95-96 96-97
96-105 97-98 97-107 99-100 100-101 102-103 103-104 105-106 106-107
exact/norm bonds :
2-15 4-14 8-18 10-17 22-130 41-44 41-50 42-45 42-55 43-46 43-60 47-48 47-51 48-49
49-50 50-51 52-53 52-56 53-54 54-55 55-56 57-58 57-61 58-59 59-60 60-61 69-70
69-74 70-71 71-72 72-73 73-74 73-87 74-90 75-76 75-80 76-77 77-78 78-79 79-80
79-91 80-94 81-82 81-86 82-83 83-84 84-85 85-86 85-95 86-98 87-88 87-108 88-89
88-99 89-90 89-101 91-92 91-109 92-93 92-102 93-94 93-104 95-96 95-110 96-97 96-105
97-98 97-107 99-100 100-101 102-103 103-104 105-106 106-107
exact bonds :
27-41 33-42 39-43
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 23-24 23-28 24-25 25-26
26-27 27-28 29-30 29-34 30-31 31-32 32-33 33-34 35-36 35-40 36-37 37-38 38-39
39-40
isolated ring systems :
containing 23 : 29 : 35 :

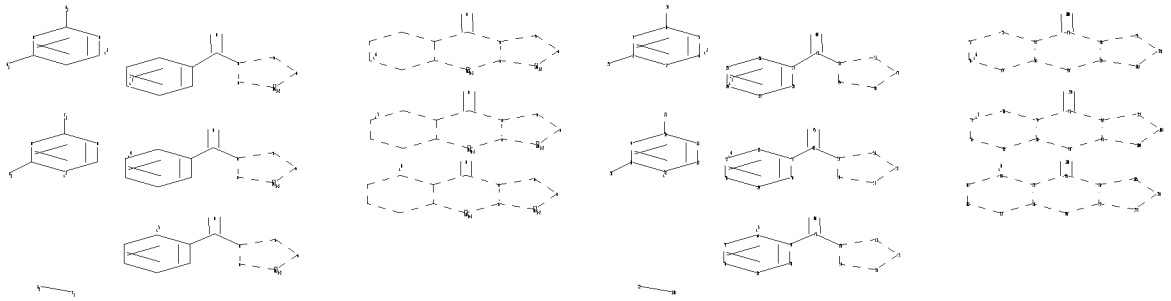
G1:H,N,Cl,Br,F,I

G2:[*1],[*2]

G3:[*3],[*4],[*5],[*6],[*7],[*8]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 14:CLASS 15:CLASS 17:CLASS 18:CLASS 22:CLASS 23:Atom 24:Atom 25:Atom 26:Atom
27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:Atom
37:Atom 38:Atom 39:Atom 40:Atom 41:CLASS 42:CLASS 43:CLASS 44:CLASS 45:CLASS 46:CLASS
47:Atom 48:Atom 49:Atom 50:Atom 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:Atom
57:Atom 58:Atom 59:Atom 60:Atom 61:Atom 69:Atom 70:Atom 71:Atom 72:Atom 73:Atom
74:Atom 75:Atom 76:Atom 77:Atom 78:Atom 79:Atom 80:Atom 81:Atom 82:Atom 83:Atom
84:Atom 85:Atom 86:Atom 87:Atom 88:Atom 89:Atom 90:Atom 91:Atom 92:Atom 93:Atom
94:Atom 95:Atom 96:Atom 97:Atom 98:Atom 99:Atom 100:Atom 101:Atom 102:Atom 103:Atom
104:Atom 105:Atom 106:Atom 107:Atom 108:CLASS 109:CLASS 110:CLASS 130:CLASS

=>
Uploading C:\Program Files\Stnexp\Queries\10597473 (c).str



chain nodes :
14 15 17 18 22 41 42 43 44 45 46 108 109 110 130
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 23 24 25 26 27 28 29 30 31 32 33
34 35 36 37 38 39 40 47 48 49 50 51 52 53 54 55 56 57 58 59 60
61 69 70 71 72 73 74 75 76 77 78 79 80 81 82 83 84 85 86 87 88
89 90 91 92 93 94 95 96 97 98 99 100 101 102 103 104 105 106 107

chain bonds :
2-15 4-14 8-18 10-17 22-130 27-41 33-42 39-43 41-44 41-50 42-45 42-55
43-46 43-60 87-108 91-109 95-110
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 23-24 23-28
24-25 25-26 26-27 27-28 29-30 29-34 30-31 31-32 32-33 33-34 35-36 35-40
36-37 37-38 38-39 39-40 47-48 47-51 48-49 49-50 50-51 52-53 52-56 53-54
54-55 55-56 57-58 57-61 58-59 59-60 60-61 69-70 69-74 70-71 71-72 72-73
73-74 73-87 74-90 75-76 75-80 76-77 77-78 78-79 79-80 79-91 80-94 81-82
81-86 82-83 83-84 84-85 85-86 85-95 86-98 87-88 88-89 88-99 89-90 89-101
91-92 92-93 92-102 93-94 93-104 95-96 96-97 96-105 97-98 97-107 99-100
100-101 102-103 103-104 105-106 106-107
exact/norm bonds :
2-15 4-14 8-18 10-17 22-130 41-44 41-50 42-45 42-55 43-46 43-60 47-48
47-51 48-49 49-50 50-51 52-53 52-56 53-54 54-55 55-56 57-58 57-61 58-59
59-60 60-61 69-70 69-74 70-71 71-72 72-73 73-74 73-87 74-90 75-76 75-80
76-77 77-78 78-79 79-80 79-91 80-94 81-82 81-86 82-83 83-84 84-85 85-86
85-95 86-98 87-88 87-108 88-89 88-99 89-90 89-101 91-92 91-109 92-93
92-102 93-94 93-104 95-96 95-110 96-97 96-105 97-98 97-107 99-100 100-101
102-103 103-104 105-106 106-107
exact bonds :
27-41 33-42 39-43
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 23-24 23-28
24-25 25-26 26-27 27-28 29-30 29-34 30-31 31-32 32-33 33-34 35-36 35-40
36-37 37-38 38-39 39-40
isolated ring systems :
containing 23 : 29 : 35 :

G1:H,N,Cl,Br,F,I

G2:[*1],[*2]

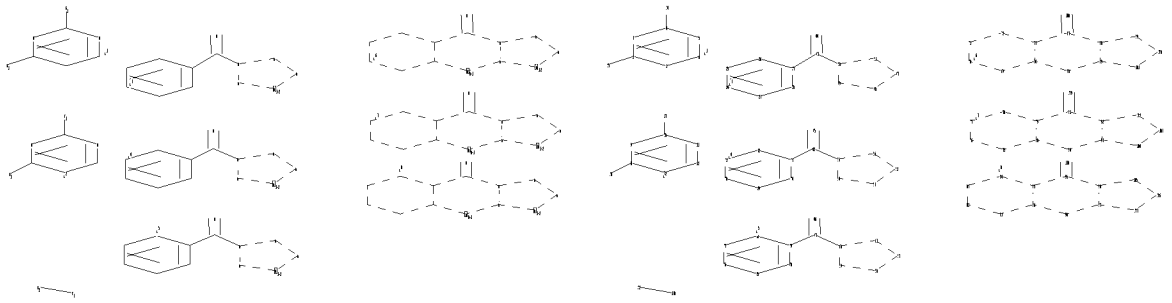
G3:[*3],[*4],[*5],[*6],[*7],[*8]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 14:CLASS 15:CLASS 17:CLASS 18:CLASS 22:CLASS 23:Atom
24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom
33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:CLASS
42:CLASS 43:CLASS 44:CLASS 45:CLASS 46:CLASS 47:Atom 48:Atom 49:Atom
50:Atom 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:Atom 57:Atom 58:Atom
59:Atom 60:Atom 61:Atom 69:Atom 70:Atom 71:Atom 72:Atom 73:Atom 74:Atom
75:Atom 76:Atom 77:Atom 78:Atom 79:Atom 80:Atom 81:Atom 82:Atom 83:Atom
84:Atom 85:Atom 86:Atom 87:Atom 88:Atom 89:Atom 90:Atom 91:Atom 92:Atom
93:Atom 94:Atom 95:Atom 96:Atom 97:Atom 98:Atom 99:Atom 100:Atom 101:Atom
102:Atom 103:Atom 104:Atom 105:Atom 106:Atom 107:Atom 108:CLASS 109:CLASS
110:CLASS 130:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS

```
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss sam
SAMPLE SEARCH INITIATED 22:33:18 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   109395 TO ITERATE

  1.8% PROCESSED     2000 ITERATIONS                                 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      2168255 TO  2207545
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end


=> screen 1840

L3    SCREEN CREATED

=> screen 2016 OR  2026 OR  2039 OR  2040 OR  2045 OR  2047

L4    SCREEN CREATED

=>
Uploading C:\Program Files\Stnexp\Queries\10597473 (d).str
```



chain nodes :
14 15 17 18 22 41 42 43 44 45 46 108 109 110 130
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 23 24 25 26 27 28 29 30 31 32 33
34 35 36 37 38 39 40 47 48 49 50 51 52 53 54 55 56 57 58 59 60
61 69 70 71 72 73 74 75 76 77 78 79 80 81 82 83 84 85 86 87 88
89 90 91 92 93 94 95 96 97 98 99 100 101 102 103 104 105 106 107

chain bonds :
2-15 4-14 8-18 10-17 22-130 27-41 33-42 39-43 41-44 41-50 42-45 42-55
43-46 43-60 87-108 91-109 95-110
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 23-24 23-28
24-25 25-26 26-27 27-28 29-30 29-34 30-31 31-32 32-33 33-34 35-36 35-40
36-37 37-38 38-39 39-40 47-48 47-51 48-49 49-50 50-51 52-53 52-56 53-54
54-55 55-56 57-58 57-61 58-59 59-60 60-61 69-70 69-74 70-71 71-72 72-73
73-74 73-87 74-90 75-76 75-80 76-77 77-78 78-79 79-80 79-91 80-94 81-82
81-86 82-83 83-84 84-85 85-86 85-95 86-98 87-88 88-89 88-99 89-90 89-101
91-92 92-93 92-102 93-94 93-104 95-96 96-97 96-105 97-98 97-107 99-100
100-101 102-103 103-104 105-106 106-107
exact/norm bonds :
2-15 4-14 8-18 10-17 22-130 41-44 41-50 42-45 42-55 43-46 43-60 47-48
47-51 48-49 49-50 50-51 52-53 52-56 53-54 54-55 55-56 57-58 57-61 58-59
59-60 60-61 69-70 69-74 70-71 71-72 72-73 73-74 73-87 74-90 75-76 75-80
76-77 77-78 78-79 79-80 79-91 80-94 81-82 81-86 82-83 83-84 84-85 85-86
85-95 86-98 87-88 87-108 88-89 88-99 89-90 89-101 91-92 91-109 92-93
92-102 93-94 93-104 95-96 95-110 96-97 96-105 97-98 97-107 99-100 100-101
102-103 103-104 105-106 106-107
exact bonds :
27-41 33-42 39-43
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 23-24 23-28
24-25 25-26 26-27 27-28 29-30 29-34 30-31 31-32 32-33 33-34 35-36 35-40
36-37 37-38 38-39 39-40
isolated ring systems :
containing 23 : 29 : 35 :

G1:H,N,Cl,Br,F,I

G2:[*1],[*2]

G3:[*3],[*4],[*5],[*6],[*7],[*8]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 14:CLASS 15:CLASS 17:CLASS 18:CLASS 22:CLASS 23:Atom
24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom
33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:CLASS
42:CLASS 43:CLASS 44:CLASS 45:CLASS 46:CLASS 47:Atom 48:Atom 49:Atom
50:Atom 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:Atom 57:Atom 58:Atom
59:Atom 60:Atom 61:Atom 69:Atom 70:Atom 71:Atom 72:Atom 73:Atom 74:Atom
75:Atom 76:Atom 77:Atom 78:Atom 79:Atom 80:Atom 81:Atom 82:Atom 83:Atom
84:Atom 85:Atom 86:Atom 87:Atom 88:Atom 89:Atom 90:Atom 91:Atom 92:Atom
93:Atom 94:Atom 95:Atom 96:Atom 97:Atom 98:Atom 99:Atom 100:Atom 101:Atom
102:Atom 103:Atom 104:Atom 105:Atom 106:Atom 107:Atom 108:CLASS 109:CLASS
110:CLASS 130:CLASS

L5 STRUCTURE UPLOADED

=> que L5 AND L3 NOT L4

```
L6   QUE L5 AND L3 NOT L4

=> d l6
L6 HAS NO ANSWERS
L3              SCR 1840
L4              SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047
L5              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L6              QUE  L5 AND L3 NOT L4


=> s l6 sss sam
SAMPLE SEARCH INITIATED 22:36:12 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    86659 TO ITERATE

  2.3% PROCESSED     2000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      1715658 TO  1750702
PROJECTED ANSWERS:               0 TO        0

L7            0 SEA SSS SAM L5 AND L3 NOT L4

=> s l6 sss ful
FULL SEARCH INITIATED 22:36:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  1738016 TO ITERATE

 91.8% PROCESSED  1596203 ITERATIONS                              80 ANSWERS

100.0% PROCESSED  1738016 ITERATIONS                              80 ANSWERS
SEARCH TIME: 00.00.33

L8           80 SEA SSS FUL L5 AND L3 NOT L4

=> => s l8
L9          21 L8

=> d l9 1-21 bib,ab,hitstr
```

L9 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2009:425815 CAPLUS
DN 150:398369
TI Substituted aryl sulfone derivatives as calcium channel blockers and their preparation, and use in the treatment of diseases
IN Chakravarty, Prasun K.; Ding, Yanbing; Duffy, Joseph L.; Pajouhesh, Hassan; Shao, Pengcheng Patrick; Tyagarajan, Sriram; Ye, Feng
PA Merck & Co., Inc., USA; Neuromed Pharmaceuticals Ltd.
SO PCT Int. Appl., 175pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2009045382	A1	20090409	WO 2008-US11286	20080930

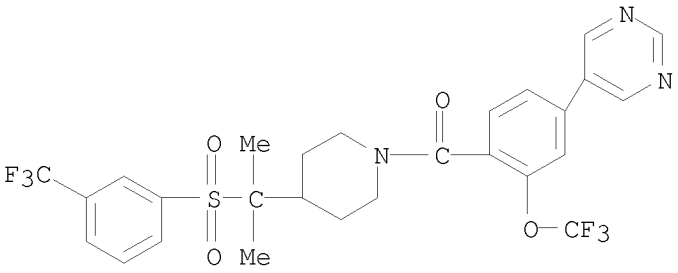
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2007-997615P P 20071004
OS MARPAT 150:398369
AB A series of substituted aryl sulfone derivs. represented by formula I, or pharmaceutically acceptable salts thereof. Compds. of formula I wherein X is a bond, (un)substituted methylene, CO, CONH and derivs., CO2, SO2, C6-10 aryl, and C5-10 heteroaryl; Y and Z are independently (un)substituted methylene, CO and absent; R1 is H, (un)substituted C1-6 alkyl, (un)substituted C3-7 cycloalkyl, OH and derivative, acyl, (un)substituted fused (hetero)aryl, etc.; R2 is H, C1-4 (perfluoro)alkyl, C3-5 cycloalkyl, C6-10 aryl, etc.; R3 and R4 are independently H, C1-6 alkyl, C1-4 perfluoroalkyl, C3-7 cycloalkyl, C6-10 aryl, etc.; R5 is (un)substituted C6-10 aryl, (un)substituted C5-10 heteroaryl, (un)substituted C3-7 cycloalkyl, (un)substituted C5-10 heterocyclyl; R6, R7, R8 and R9 are independently H, C1-4 (perfluoro)alkyl, C3-6 cycloalkyl, C6-10 aryl, C5-10 heteroaryl, F, Cl, etc.; and their pharmaceutically acceptable salts, enantiomers and diastereoisomers thereof, are claimed. Pharmaceutical compns. comprise an effective amount of the instant compds., either alone, or in combination with one or more other therapeutically active compds., and a pharmaceutically acceptable carrier. Methods of treating conditions associated with, or caused by, calcium channel activity, comprise administering an effective amount of the present compds., either alone, or in combination with one or more other therapeutically active compds. Example compound II (was prepared by a general procedure). All the invention compds. were evaluated for their calcium channel inhibitory activity. From the assay, it was determined that compound II exhibited IC50 value of 0.15 μM.
IT 1138329-15-3P 1138329-17-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(drug candidate; preparation of substituted aryl sulfone derivs. as calcium channel blockers useful in the treatment of diseases associated with or caused by calcium channel activity)

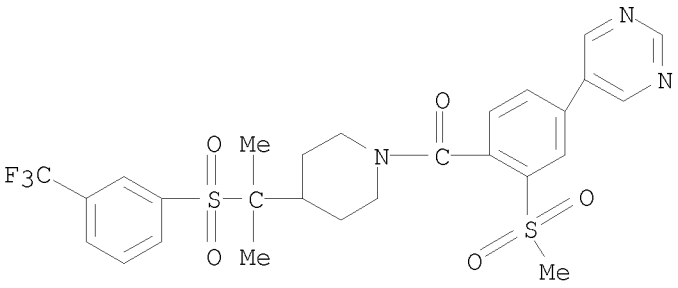
RN 1138329-15-3 CAPLUS

CN Methanone, [4-[1-methyl-1-[[3-(trifluoromethyl)phenyl]sulfonyl]ethyl]-1-piperidinyl][4-(5-pyrimidinyl)-2-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



RN 1138329-17-5 CAPLUS

CN Methanone, [2-(methylsulfonyl)-4-(5-pyrimidinyl)phenyl][4-[1-methyl-1-[[3-(trifluoromethyl)phenyl]sulfonyl]ethyl]-1-piperidinyl]- (CA INDEX NAME)



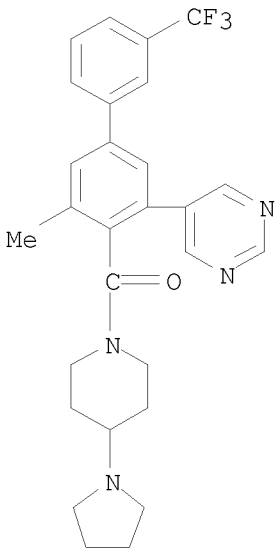
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2009:209055 CAPLUS
DN 150:237434
TI Preparation of novel biaryl derivatives as chemokine receptor antagonists for treating cardiovascular and other diseases
IN Aebi, Johannes; Binggeli, Alfred; Green, Luke; Hartmann, Guido; Maerki, Hans P.; Mattei, Patrizio; Ricklin, Fabienne; Roche, Olivier
PA Switz.
SO U.S. Pat. Appl. Publ., 39pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 20090048238	A1	20090219	US 2008-239055	20080926
WO 2009043747	A2	20090409	WO 2008-EP62599	20080922

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI EP 2007-117656 A 20071001
OS MARPAT 150:237434
AB The invention is concerned with novel biaryl derivs. of formula I (wherein wherein R1 is halogen, C1-6 alkyl, C1-6 alkoxy, etc.; R2 is hydrogen, C1-6 alkyl, halo C1-6 alkyl, etc.; R3 is H, C1-6 alkyl, halo C1-6 alkyl, etc.; m is 0-4; one of X1, X2 and X3 is C-R4, the others are independently N or C-R5; R4 is substituted Ph or heteroaryl; and R5 is hydrogen, C1-6 alkyl, C1-6 alkoxy, etc.; and circle A is a heterocycle) as well as physiol. acceptable salts thereof. These compds. are antagonists of CCR-2 receptor, CCR-5 receptor and/or CCR-3 receptor and can be used as medicaments. A process for manufacture of I is claimed as are pharmaceutical compns. containing I and use of I in treating cardiovascular disease, rheumatoid arthritis, allergy, and other diseases. Example compound II, prepared by reacting (4-bromo-2,6-dimethylphenyl)(4-pyrrolidin-1-ylpiperidin-1-yl)methanone (preparation given) and 3-trifluoromethoxyphenylboronic acid, had an IC50 of 0.060 μM in the calcium mobilization assay run in CHOK1-CCR2B-A5 cells stably overexpressing the human chemokine receptor 2 isoform B.
IT 1116455-22-1P, [5-Methyl-3-(pyrimidin-5-yl)-3'-trifluoromethylbiphenyl-4-yl][4-(pyrrolidin-1-yl)piperidin-1-yl]methanone
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of novel biaryl derivs. as chemokine receptor antagonists for treating cardiovascular and other diseases)
RN 1116455-22-1 CAPLUS
CN Methanone, [3-methyl-5-(5-pyrimidinyl)-3'-(trifluoromethyl)[1,1'-biphenyl]-4-yl][4-(1-pyrrolidinyl)-1-piperidinyl]- (CA INDEX NAME)


CF3
Me
C
O
N
N
N
N

L9 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:674071 CAPLUS
DN 149:32301
TI Preparation of (hetero)aroyl spiroketones as acetyl-CoA carboxylase inhibitors for treatment of obesity.
IN Corbett, Jeffrey Wayne; Elliott, Richard Louis; Bell, Andrew Simon
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 92pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

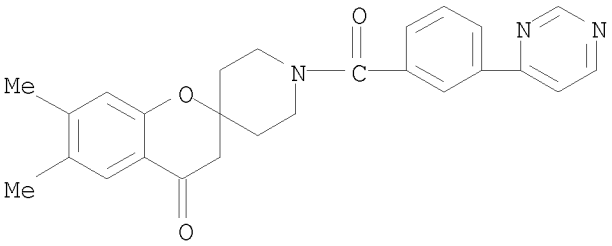
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008065508	A1	20080605	WO 2007-IB3639	20071116

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2006-861779P P 20061129
OS MARPAT 149:32301
AB Title compds. [I; R1 = H, OH, halo, cyano, (halo)alkyl, (halo)alkoxy, alkylsulfonyl, CO2H, alkoxycarbonyl, (substituted) Ph; R2, R3 = R1, CONR11R12; R11, R12 = H, alkyl; NR11R12 = 4-7 membered heterocyclyl; R4 = H, halo, cyano, (halo)alkyl; R5 = (substituted) heteroaryl; R6-R9 = H, OH, halo, (halo)alkyl, (halo)alkoxy; R5R6, R5R7 = atoms to form (substituted) polyheterocyclyl; with specific exceptions], were prepared Thus, 6,7-dimethyl-1'-[(7-methyl-1H-indazol-5-yl)carbonyl]spiro[chromene-2,4'-piperidin]-4(3H)-one (preparation given) inhibited acetyl-CoA carboxylase-1 with IC50 = 23.5 nM.
IT 1031416-30-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (hetero)aroyl spiroketones as acetyl-CoA carboxylase inhibitors for treatment of obesity)
RN 1031416-30-4 CAPLUS
CN Spiro[2H-1-benzopyran-2,4'-piperidin]-4(3H)-one, 6,7-dimethyl-1'-[3-(4-pyrimidinyl)benzoyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

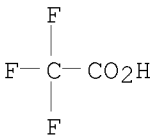
CM 1

CRN 1031416-29-1
CMF C26 H25 N3 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

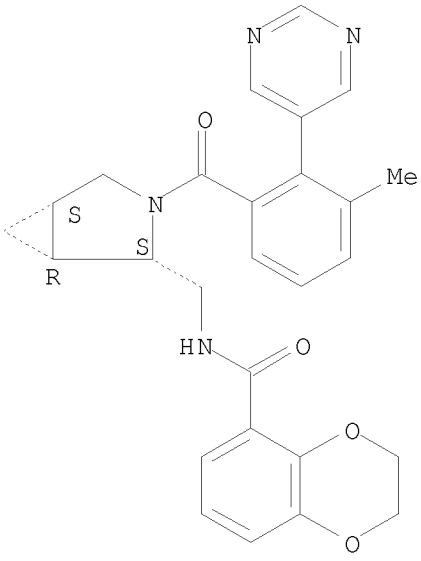
L9 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:417764 CAPLUS
DN 148:426739
TI 3-Azabicyclo[3.1.0]hexane derivatives as orexin receptor antagonists and their preparation, pharmaceutical compositions and use in the treatment of diseases
IN Aissaoui, Hamed; Boss, Christoph; Gude, Markus; Koberstein, Ralf; Lehmann, David; Sifferlen, Thierry; Trachsel, Daniel
PA Actelion Pharmaceuticals Ltd., Switz.
SO PCT Int. Appl., 209pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008038251	A2	20080403	WO 2007-IB53947	20070928
WO 2008038251	A3	20080626		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRAI WO 2006-IB53570 A 20060929
OS MARPAT 148:426739
AB The invention relates to 3-aza-bicyclo[3.1.0]hexane derivs. of formula I and salts thereof, and their use as orexin receptor antagonists. Compds. of formula I wherein X is CO and SO2; A is (un)substituted aryl and (un)substituted heterocyclyl; B is H, (un)substituted aryl and (un)substituted heteroaryl; A and B together is tricyclic group; R1 is (un)substituted aryl and (un)substituted heteroaryl; n is 0 and 1; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by sulfonylation of benzofuran-4-carboxylic acid with [(1R*,2S*,5S*)-3-azabicyclo[3.1.0]hex-2-ylmethyl]amide with biphenyl-2-sulfonyl chloride. All the invention compds. were evaluated for their orexin receptor antagonistic activity (some data given).
IT 1017272-63-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of azabicyclohexane derivs. as orexin receptor antagonists)
RN 1017272-63-7 CAPLUS
CN 1,4-Benzodioxin-5-carboxamide, 2,3-dihydro-N-[[(1R,2S,5S)-3-[3-methyl-2-(5-pyrimidinyl)benzoyl]-3-azabicyclo[3.1.0]hex-2-yl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.


N
N
O
Me
S
N
S
R
HN
O
O
O

L9 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:703875 CAPLUS
DN 147:95692
TI Sulfoximine-substituted pyrimidines as kinase inhibitors, their preparation and use as drugs
IN Luecking, Ulrich; Nguyen, Duy; Von Bonin, Arne; Von Ahsen, Oliver; Krueger, Martin; Briem, Hans; Kettschau, Hans; Prien, Olaf; Mengel, Anne; Krolikiewicz, Konrad; Boemer, Ulf; Bothe, Ulrich; Hartung, Ingo
PA Schering Aktiengesellschaft, Germany
SO PCT Int. Appl., 331pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007071455	A1	20070628	WO 2006-EP12634	20061219
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	DE 102005062742	A1	20070628	DE 2005-102005062742	20051222
	DE 102006031224	A1	20080117	DE 2006-102006031224	20060630
	CA 2632881	A1	20070628	CA 2006-2632881	20061219
	EP 1963282	A1	20080903	EP 2006-829901	20061219
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	JP 2009520740	T	20090528	JP 2008-546291	20061219
	US 20070232632	A1	20071004	US 2006-642961	20061221
PRAI	DE 2005-102005062742	A	20051222		
	DE 2006-102006031224	A	20060630		
	US 2006-757859P	P	20060111		
	US 2006-818501P	P	20060706		
	WO 2006-EP12634	W	20061219		

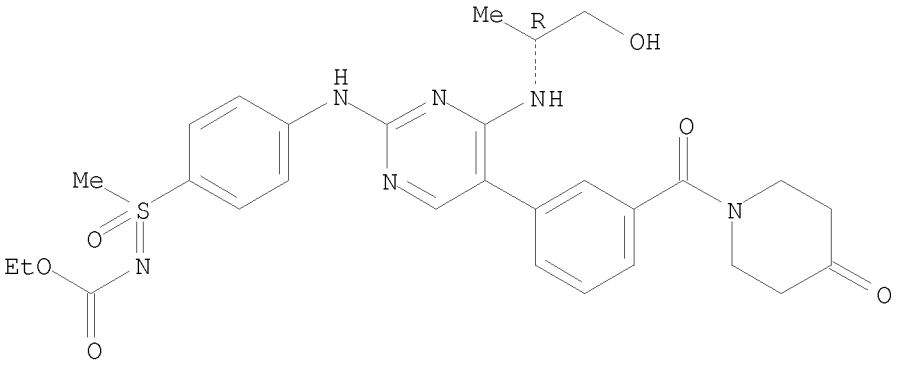
OS MARPAT 147:95692
AB The invention relates to sulfoximine-substituted pyrimidines of the general formula I processes for the preparation thereof and their use as kinase inhibitors for treating for example cancer or inflammation. Compds. of formula I wherein R1 is (un)substituted (un)saturated (mono/bi)cyclic heteroaryl and (un)substituted aryl; R2 is H, C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, C3-8 cycloalkyl, C3-8 heterocyclyl, etc.; R3 is OH, halo, NO2, CN, CONH2 and derivs., CSNH2 and derivs., CF3, OCF3, etc.; R4 is H, acyl, CONH2 and derivs., carboxylate, CSNH2 and derivs., NO2, etc.; R3R5 taken together to form a (un)substituted 5- to 7-membered ring; R4R5 taken together form 5- to 8-membered heterocyclic ring; R5 is C1-6 alkyl, C2-6 alkenyl;, C2-6 alkynyl, (un)substituted C3-7 cycloalkyl, etc.; X is O, S and NH and derivs.; XR2 taken together to form a (un)substituted 3 - 8-membered heterocyclic ring; m is 0 to 4; Q is C6-10 arylene, 5- to 10-membered heteroarylene; are claimed. Example compound II was prepared by a

general procedure (procedure given). All the invention compds. were evaluated for their kinase inhibitory activity.

IT 942409-59-8P 942409-61-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of sulfoximine-substituted pyrimidines as kinase inhibitors useful in the treatment of cancer and inflammation)

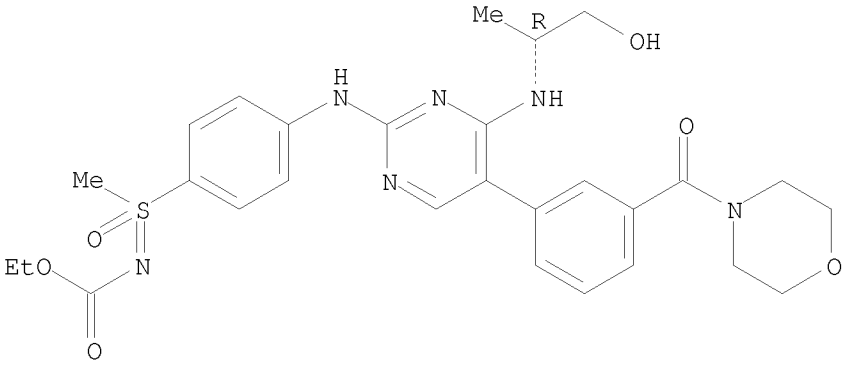
RN 942409-59-8 CAPLUS
CN Carbamic acid, N-[[4-[[4-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[3-[(4-oxo-1-piperidinyl)carbonyl]phenyl]-2-pyrimidinyl]amino]phenyl]methyloxido-λ4-sulfanylidene]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 942409-61-2 CAPLUS
CN Carbamic acid, N-[[4-[[4-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[3-(4-morpholinylcarbonyl)phenyl]-2-pyrimidinyl]amino]phenyl]methyloxido-λ4-sulfanylidene]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

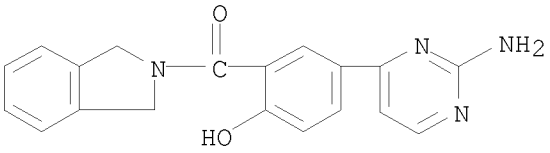


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

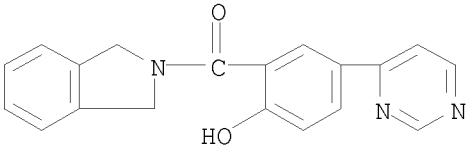
L9 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:1176199 CAPLUS
DN 145:489014
TI Preparation of hydroxyarylcarboxamide derivatives for treating cancer
IN Funk, Lee Andrew; Johnson, Mary Catherine; Kung, Pei-Pei; Meng, Jerry Jialun; Zhou, Joe Zhongxiang
PA Pfizer Inc., USA
SO PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006117669	A1	20061109	WO 2006-IB1178	20060421
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	CA 2605985	A1	20061109	CA 2006-2605985	20060421
	EP 1879863	A1	20080123	EP 2006-727584	20060421
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	JP 2008540395	T	20081120	JP 2008-509531	20060421
PRAI	US 2005-677268P	P	20050503		
	US 2006-772626P	P	20060213		
	WO 2006-IB1178	W	20060421		

OS CASREACT 145:489014; MARPAT 145:489014
AB Title compds. I [R1 = H, Me, or halo; R2-4 independently = H, OH, (un)substituted alkyl, alkenyl, etc.; or R3 together with either R2 or R4 form a fused (un)substituted aryl, heteroaryl, cycloheteroaryl, or cycloalkyl; R5 and R6 independently = (un)substituted alkyl, alkenyl, haloalkyl, etc.; or R5 and R6 together form a (un)substituted heteroaryl or cycloheteroalkyl], and their pharmaceutically acceptable salts, are prepared and disclosed as HSP-90 inhibitors for possible use in treatment of cancer. Thus, e.g., II was prepared by amidation of 2-bromo-6-hydroxybenzoic acid (preparation given) with isoindoline. In inhibition of HSP-90 assays, at 1 μM concns. maximum inhibition observed equaled 82.4%.
IT 914297-09-9P 914297-10-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxyarylcarboxamide derivs. for treating cancer)
RN 914297-09-9 CAPLUS
CN Methanone, [5-(2-amino-4-pyrimidinyl)-2-hydroxyphenyl](1,3-dihydro-2H-isoindol-2-yl)- (CA INDEX NAME)



RN 914297-10-2 CAPLUS
CN Methanone, (1,3-dihydro-2H-isoindol-2-yl)[2-hydroxy-5-(4-pyrimidinyl)phenyl]- (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:768522 CAPLUS
DN 145:188902
TI Preparation of thieno[2,3-d]pyrimidine compounds as inhibitors of ADP-mediated platelets aggregation
IN Ennis, Michael Dalton; Kortum, Steven Wade; Rahman, Hayat; Schweitzer, Barbara Ann; Tenbrink, Ruth Elizabeth
PA Pharmacia & Upjohn Company LLC, USA
SO PCT Int. Appl., 188pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

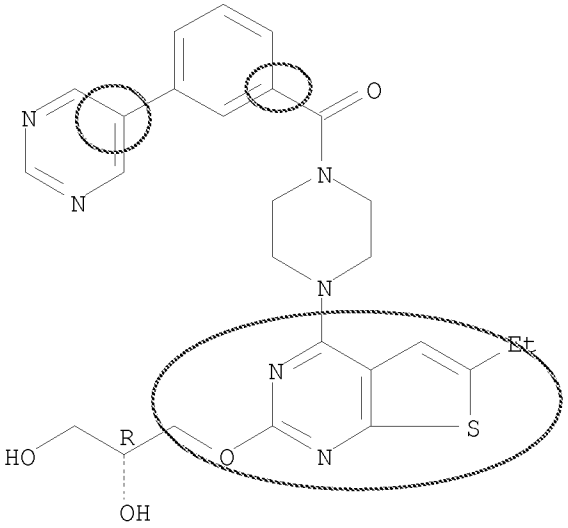
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006079916	A1	20060803	WO 2006-IB172	20060117
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	CA 2595882	A1	20060803	CA 2006-2595882	20060117
	EP 1844052	A1	20071017	EP 2006-710294	20060117
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
PRAI	US 2005-647340P	P	20050126		
	US 2005-659337P	P	20050307		
	WO 2006-IB172	W	20060117		

OS CASREACT 145:188902; MARPAT 145:188902
AB Title compds. I [A1-A8 = independently H, halo/alkyl; R2 = CO2H and derivs., CONH2 and derivs., OR and derivs., etc.; R = (un)substituted cyclo/alkyl, heterocyclyl, etc.; X4 = CO, CS, SO, SO2; R4 = CN, H, OH and derivs., NH2 and derivs., etc.; R5 = H, halo, cyclo/alkyl, aryl, etc.; X6 = a bond, CO; when X6 = CO, R6 = H, halo, CN, NO2, R6a, OR6a, OC(:O)R6a, ONR6aR6b, OC(:O)NR6aR6b, NR6aR6b, NR6aC(:O)R6b, NR6aSO2R6b, SR6a, SC(:O)R6a, SC(:O)NR6aR6b; when X6 = a bond, R6 = defined as above except for ONR6aR6b, or R6 = SO2NR6aR6b, S(O)nOR6, S(O)nOC(:O)R6a; n = 1-2; R6a, R6b = independently H, (un)substituted alk(en/yn)yl, cycloalkyl, aryl, heterocyclyl; and their pharmaceutically acceptable salts] were prepared as inhibitors of ADP-mediated platelets aggregation. E.g., a multi-step synthesis starting from Me cyanoacetate and butyraldehyde was given for thienopyrimidine II. In a competitive recombinant cell membrane binding assay, I bound to P2Y12 receptor. I are useful for treating a platelet dependent thrombosis or a platelet dependent thrombosis-related condition, thrombotic or restenotic complications or reocclusion, and for reducing the risk in a subject of experiencing vascular events.
IT 902766-36-3P, (2R)-3-[[6-Ethyl-4-[4-[3-(pyrimidin-5-yl)benzoyl]piperazin-1-yl]thieno[2,3-d]pyrimidin-2-yl]oxy]propane-1,2-diol
902766-60-3P, (2R)-3-[[6-Ethyl-4-[4-[4-(pyrimidin-5-yl)benzoyl]piperazin-1-yl]thieno[2,3-d]pyrimidin-2-yl]oxy]propane-1,2-diol

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of thieno[2,3-d]pyrimidines as inhibitors of ADP-mediated platelets aggregation)

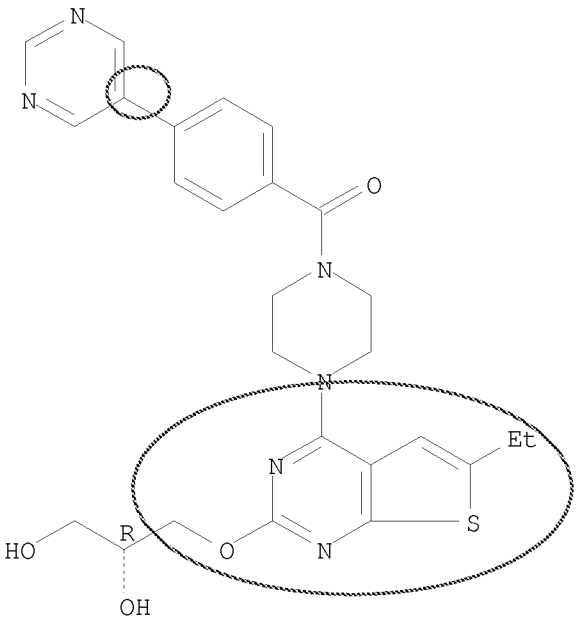
RN 902766-36-3 CAPLUS
CN Methanone, [4-[2-[(2R)-2,3-dihydroxypropoxy]-6-ethylthieno[2,3-d]pyrimidin-4-yl]-1-piperazinyl][3-(5-pyrimidinyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 902766-60-3 CAPLUS
CN Methanone, [4-[2-[(2R)-2,3-dihydroxypropoxy]-6-ethylthieno[2,3-d]pyrimidin-4-yl]-1-piperazinyl][4-(5-pyrimidinyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:494465 CAPLUS
DN 145:8019
TI Preparation of spiro lactones, particularly 1'H,3H-spiro[2-benzofuran-1,3'-pyrrolidine] derivatives, as 11-β hydroxysteroid dehydrogenase type 1 inhibitors and mineralocorticoid receptor antagonists and methods of using them
IN Yao, Wenqing; He, Chunhong; Zhuo, Jincong
PA Incyte Corporation, USA
SO PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006055752	A2	20060526	WO 2005-US41763	20051117
	WO 2006055752	A3	20070705		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	AU 2005306476	A1	20060526	AU 2005-306476	20051117
	CA 2587153	A1	20060526	CA 2005-2587153	20051117
	US 20060122210	A1	20060608	US 2005-281648	20051117
	EP 1824842	A2	20070829	EP 2005-846492	20051117
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
	CN 101103016	A	20080109	CN 2005-80046700	20051117
	JP 2008520700	T	20080619	JP 2007-543257	20051117
	MX 2007005820	A	20070718	MX 2007-5820	20070515
	NO 2007002599	A	20070815	NO 2007-2599	20070522
	IN 2007KN01889	A	20070810	IN 2007-KN1889	20070525
	KR 2007097441	A	20071004	KR 2007-713662	20070615
PRAI	US 2004-628933P	P	20041118		
	WO 2005-US41763	W	20051117		

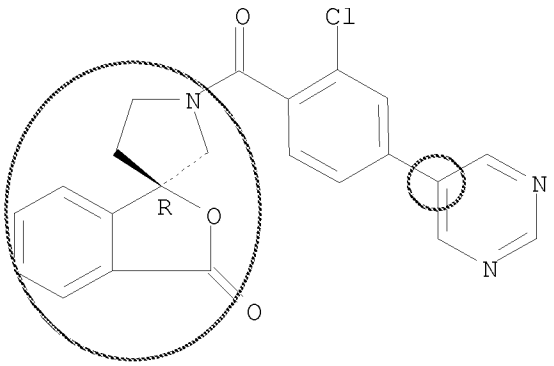
OS MARPAT 145:8019
AB The invention is related to the preparation of spiro lactones I [Cy = (un)substituted hetero/aryl, hetero/cycloalkyl; M, Q = independently O, S, NH, CO, CS, SO2, CONH, etc.; ring B = hetero/aryl, hetero/cycloalkyl group fused with the ring containing Q and M; A = CR1R2; D = CR5R6; E = (CR7R8)q; R1-R8 = independently H, -W'-X'-Y'-Z'; or R1CR2, R3CR4, R5CR6, R7CR8 = (un)substituted 3-20 membered hetero/cycloalkyl; or R1 and R5 together form an (un)substituted alkylene bridge; or R3 and R5 together form an (un)substituted alkylene bridge; W', W'', Y', Y'' = independently absent, O, S, CO, COO, SO2, (un)substituted alk(en/yn)ylenyl, etc.; X', X'' = independently absent, (un)substituted hetero/aryl, cyclo/alkyl, etc.; Z', Z'' = independently H, halo, CN, OH, halo/alkoxy, NH2, hetero/aryl, etc.;

wherein 2 -W'-X'-Y'-Z' together with the atom to which they are attached optionally form an (un)substituted 3-20 membered hetero/cycloalkyl; wherein -W'-X'-Y'-Z' is other than H; wherein -W''-X''-Y''-Z'' is other than H; n, m, q = independently 0-2; with provisos], and related compds., and their pharmaceutically acceptable salts and prodrugs, as inhibitors of 11-β hydroxysteroid dehydrogenase type 1 (no data), antagonists of the mineralocorticoid receptor (no data), and pharmaceutical compns. thereof. Lactones I can be useful in the treatment of various diseases associated with expression or activity of 11-β hydroxysteroid dehydrogenase type 1 and/or diseases associated with aldosterone excess. Thus, reacting Me 2-iodobenzoate with benzyl 3-oxopyrrolidine-1-carboxylate, Cbz-deprotection in the presence of Pd/C and (1S)-(+)-10-camphorsulfonic acid, and acylation with 4-phenoxybenzoic acid gave lactone II.

IT 887971-15-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of lactones, particularly 1'H,3H-spiro[2-benzofuran-1,3'-pyrrolidine] derivs., as 11-β HSD1 inhibitors and mineralocorticoid receptor antagonists and methods of using them)

RN 887971-15-5 CAPLUS
CN Spiro[isobenzofuran-1(3H),3'-pyrrolidin]-3-one, 1'-[2-chloro-4-(5-pyrimidinyl)benzoyl]-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



claims recite R1 and R2 together form 9-10 membered bicyclic ring

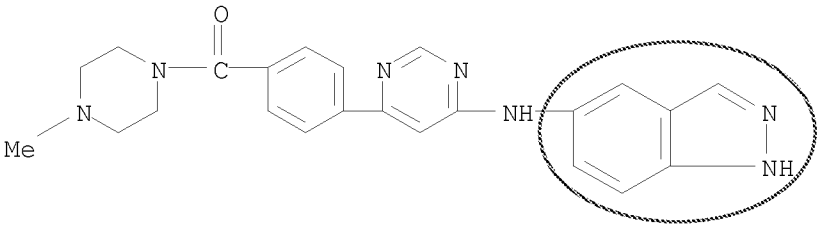
L9 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:1168931 CAPLUS
DN 143:440430
TI Pyrimidin-4-yl-1H-indazol-5-yl-amines as CHK-1 kinase inhibitors, their preparation, pharmaceutical compositions, and use in therapy
IN Birault, Veronique; Woodland, Christopher Andrew
PA Biofocus Discovery Ltd., UK
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005103036	A1	20051103	WO 2005-GB1566	20050422

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI GB 2004-9080 A 20040423
OS CASREACT 143:440430; MARPAT 143:440430
AB The invention relates to compds. of formula I, which are useful in the inhibition of protein kinases, in particular serine/threonine kinases, more particularly CHK-1 kinase. In compds. I, R1 is H, OH, halo, trifluoromethyl, trifluoromethoxy, amino, cyano, carboxy, (un)substituted alkyl, (un)substituted alkoxy, (un)substituted aryloxy, etc.; and R2 is (un)substituted aryl or (un)substituted heteroaryl; including pharmaceutically acceptable salts, hydrates, solvates, geometrical isomers, tautomers, optical isomers, or prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising compound
I and a pharmaceutically acceptable diluent or carrier, as well as to the use of the compns. in the prevention and/or treatment of a wide variety of diseases including cancer, and disease states associated with angiogenesis and/or cellular proliferation. Substitution of 4,6-dichloropyrimidine with 1H-indazol-5-ylamine gave secondary amine II, which underwent Suzuki coupling with 4-(aminomethyl)phenylboronic acid resulting in the formation of indazolyl(pyrimidinyl)amine III. Several compds. of the invention express an IC50 towards CHK-1 kinase of <10 μM and three compds., e.g., III, express <1 μM. The compds. of the invention also show selectivity for CHK-1 kinase with compound I (R1 = H; R2 = 4-(Me2NCH2)C6H4) expressing a 50-fold selectivity for CHK-1 over CDK-1 kinase.
IT 868545-71-5P, [4-[6-(1H-Indazol-5-ylamino)pyrimidin-4-yl]phenyl](4-methylpiperazin-1-yl)methanone
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrimidinylindazolylamines as CHK-1 kinase inhibitors and therapeutic agents for treatment of cancer,

angiogenesis- and cellular proliferation-associated disorders)
RN 868545-71-5 CAPLUS
CN Methanone, [4-[6-(1H-indazol-5-ylamino)-4-pyrimidinyl]phenyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:1123882 CAPLUS
DN 143:405796
TI Preparation of aroyl-substituted pyrrolidines as histamine H3 receptor ligands
IN Beavers, Lisa Selsam; Finley, Don Richard; Finn, Terry Patrick; Gadski, Robert Alan; Hipskind, Philip Arthur; Hornback, William Joseph; Jesudason, Cynthia Darshini; Pickard, Richard Todd; Takakuwa, Takako; Vaught, Grant Mathews
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

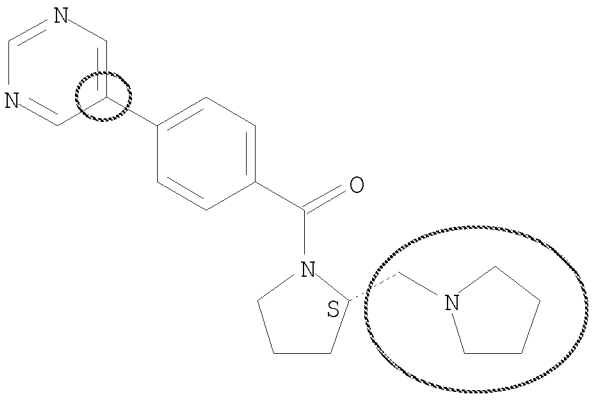
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005097740	A1	20051020	WO 2005-US10240	20050325
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2005230881	A1	20051020	AU 2005-230881	20050325
	CA 2561628	A1	20051020	CA 2005-2561628	20050325
	EP 1735278	A1	20061227	EP 2005-730691	20050325
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	CN 1960969	A	20070509	CN 2005-80017146	20050325
	BR 2005009298	A	20070918	BR 2005-9298	20050325
	JP 2007530698	T	20071101	JP 2007-506412	20050325
	MX 2006011167	A	20070125	MX 2006-11167	20060928
	US 20070208024	A1	20070906	US 2006-599488	20060929
	IN 2006KN02868	A	20070608	IN 2006-KN2868	20061005
PRAI	US 2004-558542P	P	20040401		
	US 2004-617101P	P	20041008		
	WO 2005-US10240	W	20050325		

OS CASREACT 143:405796; MARPAT 143:405796
AB Title compds. I [Q, T, X, D = C, N provided no more than two are N; R1-3 = H, halo, alkyl, CN, carboxy, etc.; R4-5 = H, OH, halo, CF2H, etc.; R6 = H, halo, CF3, etc.] are prepared For instance, II is prepared in 3 steps from 4-(trifluoromethyl)phenylboronic acid, Me 4-bromobenzoate and (S)-1-(2-pyrrolidinylmethyl)pyrrolidine. Example compds. exhibit affinity for the H3 receptor greater than 1 μM. I have histamine-H3 receptor antagonist or inverse agonist activity with affinity for the H3 receptor greater than 1 μM. I are useful for the treatment of obesity, cognitive deficiencies, narcolepsy, and other histamine H3 receptor-related diseases.
IT 867254-07-7P 867254-08-8P 867255-00-3P
867255-25-2P 867255-45-6P 867256-16-4P
867256-41-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aroyl-substituted pyrrolidines as histamine H3 receptor ligands)

RN 867254-07-7 CAPLUS
CN Methanone, [4-(5-pyrimidinyl)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

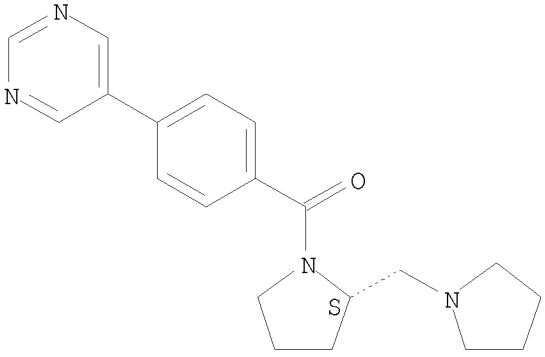
Absolute stereochemistry.



● HCl

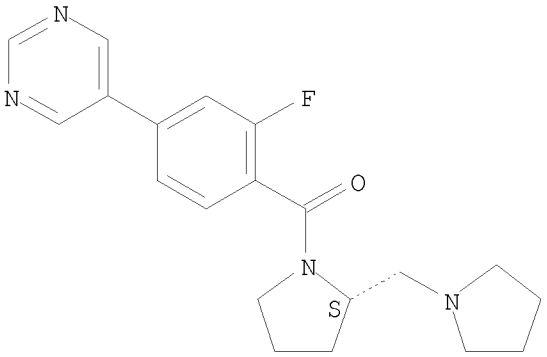
RN 867254-08-8 CAPLUS
CN Methanone, [4-(5-pyrimidinyl)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



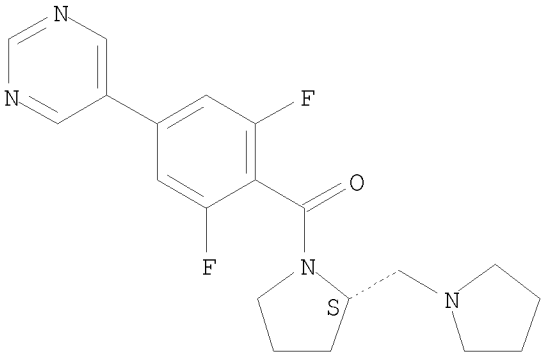
RN 867255-00-3 CAPLUS
CN Methanone, [2-fluoro-4-(5-pyrimidinyl)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

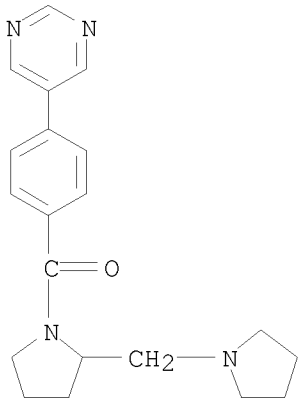


RN 867255-25-2 CAPLUS
CN Methanone, [2,6-difluoro-4-(5-pyrimidinyl)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

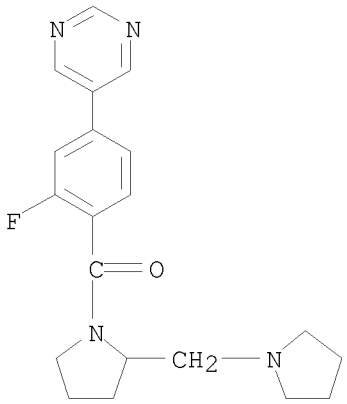


RN 867255-45-6 CAPLUS
CN Methanone, [4-(5-pyrimidinyl)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

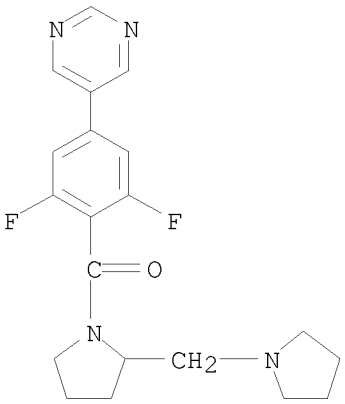


● HCl

RN 867256-16-4 CAPLUS
CN Methanone, [2-fluoro-4-(5-pyrimidinyl)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)



RN 867256-41-5 CAPLUS
CN Methanone, [2,6-difluoro-4-(5-pyrimidinyl)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:614590 CAPLUS
DN 143:133377
TI Preparation of triazole derivatives as vasopressin antagonists
IN Bryans, Justin Stephen; Johnson, Patrick Stephen; Roberts, Lee Richard; Ryckmans, Thomas
PA Pfizer Inc., USA
SO U.S. Pat. Appl. Publ., 73 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20050154024	A1	20050714	US 2004-9768	20041210
	AU 2004309164	A1	20050714	AU 2004-309164	20041209
	AU 2004309164	B2	20071115		
	CA 2551038	A1	20050714	CA 2004-2551038	20041209
	WO 2005063754	A1	20050714	WO 2004-IB4059	20041209
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1701959	A1	20060920	EP 2004-801354	20041209
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
	CN 1898244	A	20070117	CN 2004-80038492	20041209
	BR 2004017267	A	20070417	BR 2004-17267	20041209
	JP 2007515468	T	20070614	JP 2006-546356	20041209
	TW 287541	B	20071001	TW 2004-93139507	20041217
	NL 1027833	A1	20050623	NL 2004-1027833	20041221
	NL 1027833	C2	20060306		
	IN 2006DN02824	A	20070803	IN 2006-DN2824	20060518
	ZA 2006004096	A	20071128	ZA 2006-4096	20060522
	MX 2006006155	A	20060719	MX 2006-6155	20060531
	KR 854872	B1	20080828	KR 2006-712328	20060621
	NO 2006003380	A	20060922	NO 2006-3380	20060721
PRAI	GB 2003-29693	A	20031222		
	US 2004-539509P	P	20040127		
	GB 2004-8789	A	20040420		
	US 2004-570336P	P	20040512		
	WO 2004-IB4059	W	20041209		

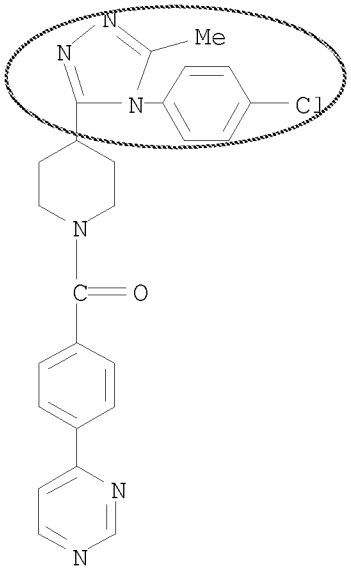
OS CASREACT 143:133377; MARPAT 143:133377
AB The title compds. I [X = (CH2)aR or (CH2)aO(CH2)bR; a = 0-6; b = 0-6; R = H, CF3 or Het; Het = (un)substituted 5- or 6-membered saturated, partially saturated or aromatic heterocyclic ring; Y = represents one or more substituents
independently selected from (O)c(CH2)dR1; c = 0-1; d = 0-6; R1 = H, halo, CF3, CN or Het1; Het1 = 5- or 6-membered unsatd. heterocyclic ring; V = a

direct link or O; Ring A = (un)substituted 5- to 7-membered saturated heterocyclic ring, or a phenylene group; Q = a direct link or NR2; R2 = H, alkyl; Z = (O)e(CH2)fR3, a Ph ring (optionally fused to a benzene ring or Het2), or Het3 (optionally fused to an benzene ring or Het4); R3 = (un)substituted alkyl, cycloalkyl, cycloalkenyl, Ph, etc.; e = 0-1; f = 0-6; Het2 = 5-6 membered saturated, partially saturated or aromatic heterocyclic ring; Het3 = 4-6 membered saturated, partially saturated or aromatic heterocyclic ring; Het4 = 6-membered aromatic heterocyclic ring], useful for treating a disorder for which a V1a antagonist is indicated, were prepared E.g., a multi-step synthesis of II, starting from tert-Bu 4-hydrazinocarbonylpiperidine-1-carboxylate, was given. Some of the compds. I were synthesized as a library. All the exemplified compds. I showed a Ki value of less than 500 nM when tested in screen 1.0 (V1A filter binding assay). For example, the compound II showed Ki of 2.98 nM.

IT 859151-56-7P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of triazole derivs. as vasopressin antagonists)

RN 859151-56-7 CAPLUS

CN Methanone, [4-[4-(4-chlorophenyl)-5-methyl-4H-1,2,4-triazol-3-yl]-1-piperidinyl][4-(4-pyrimidinyl)phenyl]- (CA INDEX NAME)



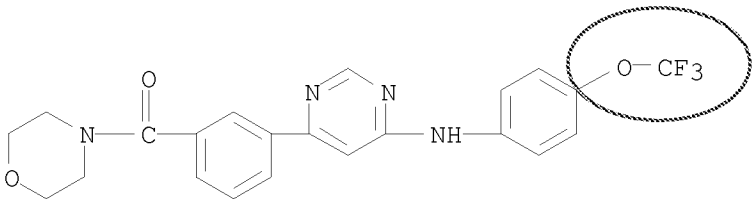
L9 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:878265 CAPLUS
DN 141:366255
TI Preparation of substituted pyrimidinamines and triazinamines as protein kinase inhibitors
IN Ding, Qiang; Sim, Tae-Bo; Zhang, Guobao; Adrian, Francisco; Gray, Nathanael S.; Schultz, Peter G.
PA IRM LLC, Bermuda
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004089286	A2	20041021	WO 2004-US10083	20040402
	WO 2004089286	A3	20050421		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 20050014753	A1	20050120	US 2004-817328	20040401
	AU 2004227943	A1	20041021	AU 2004-227943	20040402
	AU 2004227943	B2	20080904		
	CA 2521184	A1	20041021	CA 2004-2521184	20040402
	EP 1613595	A2	20060111	EP 2004-758738	20040402
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	BR 2004009173	A	20060411	BR 2004-9173	20040402
	CN 1798734	A	20060705	CN 2004-80015433	20040402
	JP 2006522143	T	20060928	JP 2006-509594	20040402
	MX 2005010711	A	20051215	MX 2005-10711	20051004
	IN 2005CN02515	A	20070831	IN 2005-CN2515	20051004
PRAI	US 2003-460838P	P	20030404		
	US 2004-817328	A	20040401		
	WO 2004-US10083	W	20040402		

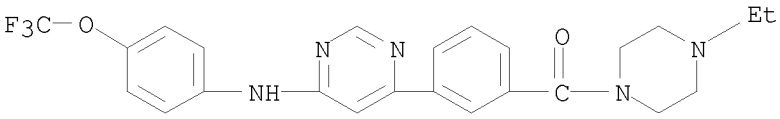
OS MARPAT 141:366255
AB The title compds. [I; X1, X2 = N, CR4 (wherein R4 = H, alkyl); L = a bond, O, NR5 (R5 = H, alkyl); R1 = X3NR6R7, X3OR7, X3R7 (X3 = a bond, alkylene; R6 = H, alkyl: R7 = aryl, heteroaryl); R2 = H, halo, NH2, etc.; R3 = (heterocycloalkyl)alkyl, heteroarylalkyl, arylalkyl, etc.], useful for treating or preventing diseases or disorders associated with abnormal or deregulated tyrosine kinase activity, particularly diseases associated with the activity of PDGF-R, c-Kit and Bcr-abl, were prepared E.g., a multi-step synthesis of II, starting from 4,6-dichloropyrimidine and p-trifluoromethoxyaniline, was given. The compds. I preferably show an IC50 in the range of 1x10-10 to 1x10-5M for Bcr-abl (specific data for one of the exemplified compds. I are given). The pharmaceutical composition comprising the compound I is claimed.
IT 778274-34-3P 778274-38-7P 778274-42-3P

778274-65-0P 778274-89-8P 778275-15-3P
778275-21-1P 778275-31-3P 778275-64-2P
778275-86-8P 778276-06-5P 778276-48-5P
778277-31-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted pyrimidinamines and triazinamines as protein kinase inhibitors for treating tumors)

RN 778274-34-3 CAPLUS
CN Methanone, 4-morpholinyl[3-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]phenyl]- (CA INDEX NAME)

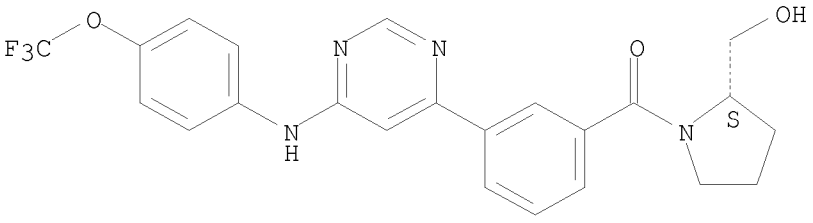


RN 778274-38-7 CAPLUS
CN Methanone, (4-ethyl-1-piperazinyl)[3-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]phenyl]- (CA INDEX NAME)

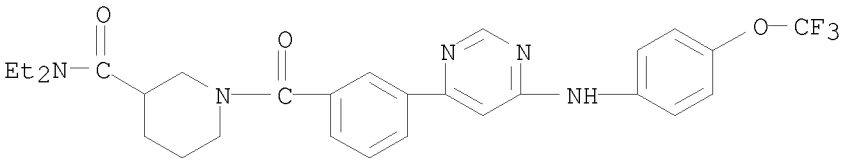


RN 778274-42-3 CAPLUS
CN Methanone, [(2S)-2-(hydroxymethyl)-1-pyrrolidinyl][3-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

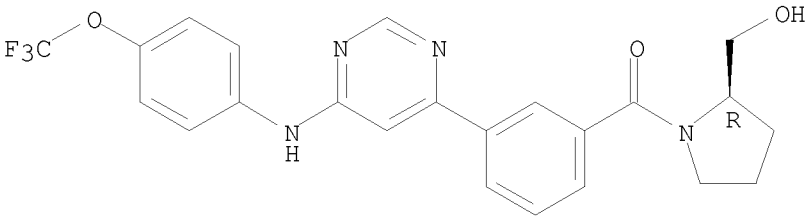


RN 778274-65-0 CAPLUS
CN 3-Piperidinecarboxamide, N,N-diethyl-1-[3-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]benzoyl]- (CA INDEX NAME)



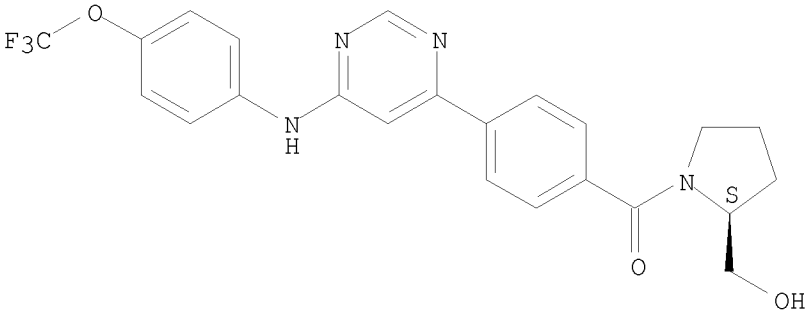
RN 778274-89-8 CAPLUS
CN Methanone, [(2R)-2-(hydroxymethyl)-1-pyrrolidinyl][3-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



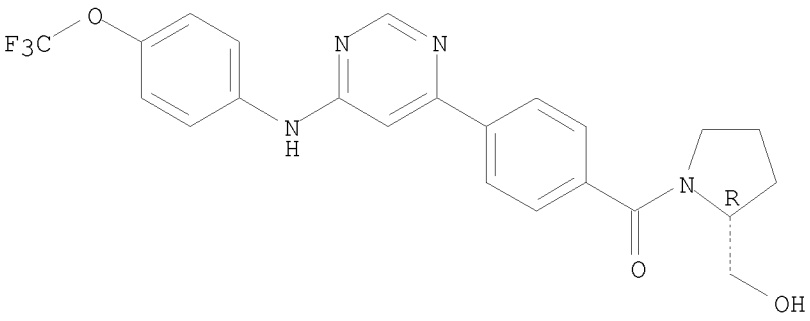
RN 778275-15-3 CAPLUS
CN Methanone, [(2S)-2-(hydroxymethyl)-1-pyrrolidinyl][4-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

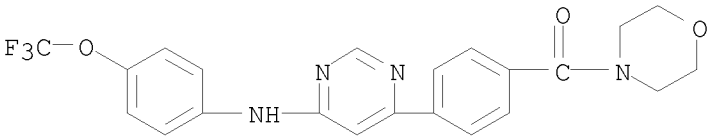


RN 778275-21-1 CAPLUS
CN Methanone, [(2R)-2-(hydroxymethyl)-1-pyrrolidinyl][4-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]phenyl]- (CA INDEX NAME)

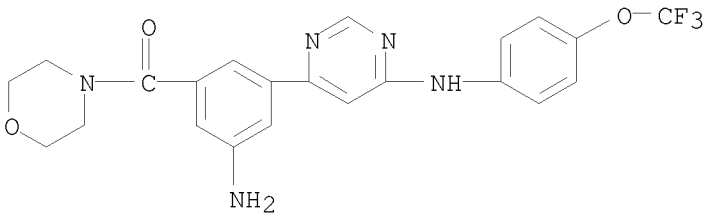
Absolute stereochemistry.



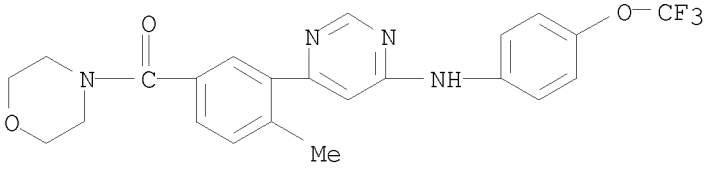
RN 778275-31-3 CAPLUS
CN Methanone, 4-morpholinyl[4-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]phenyl]- (CA INDEX NAME)



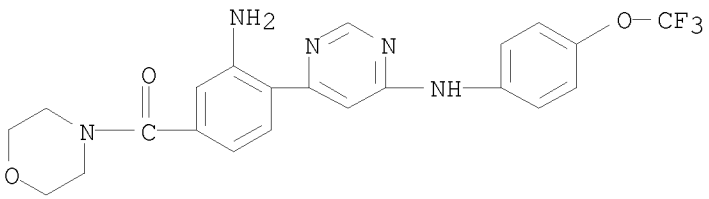
RN 778275-64-2 CAPLUS
CN Methanone, [3-amino-5-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]phenyl]-4-morpholinyl- (CA INDEX NAME)



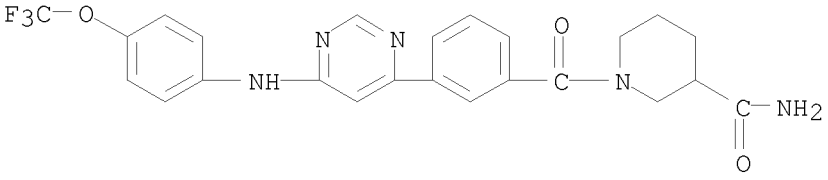
RN 778275-86-8 CAPLUS
CN Methanone, [4-methyl-3-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]phenyl]-4-morpholinyl- (CA INDEX NAME)



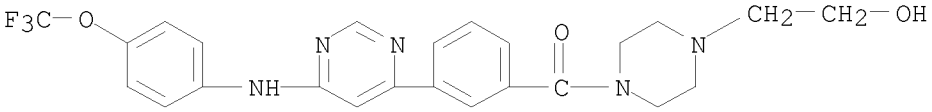
RN 778276-06-5 CAPLUS
CN Methanone, [3-amino-4-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]phenyl]-4-morpholinyl- (CA INDEX NAME)



RN 778276-48-5 CAPLUS
CN 3-Piperidinecarboxamide, 1-[3-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]benzoyl]- (CA INDEX NAME)



RN 778277-31-9 CAPLUS
CN Methanone, [4-(2-hydroxyethyl)-1-piperazinyl][3-[6-[[4-(trifluoromethoxy)phenyl]amino]-4-pyrimidinyl]phenyl]- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:412924 CAPLUS
DN 140:423690
TI Pyridine and pyrimidine derivatives and their compositions, useful as inhibitors of JAK and other protein kinases
IN Ledeboer, Mark; Ledford, Brian
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

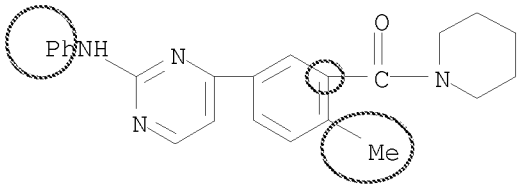
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004041789	A1	20040521	WO 2003-US34991	20031103
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2506772	A1	20040521	CA 2003-2506772	20031103
	AU 2003286876	A1	20040607	AU 2003-286876	20031103
	US 20040147507	A1	20040729	US 2003-700333	20031103
	US 7312227	B2	20071225		
	EP 1562911	A1	20050817	EP 2003-778092	20031103
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006512314	T	20060413	JP 2004-550434	20031103
PRAI	US 2002-422973P	P	20021101		
	WO 2003-US34991	W	20031103		

OS MARPAT 140:423690
AB The invention provides a compound of formula I or a pharmaceutically acceptable salt thereof. The invention also provides pharmaceutically acceptable compns. comprising I, and methods of utilizing I and their compns. in the treatment of various protein kinase-mediated disorders. In compds. I, R1 is Q-Ar1; Q is a C1-2 alkylidene chain wherein one methylene unit is optionally replaced by O, NR, NRCO, NRCONR, NRCO2, CO, CO2, CONR, OC(O)NR, SO2, SO2NR, NRSO2, NRSO2NR, C(O)C(O), or C(O)CH2C(O); R is H or (un)substituted aliphatic; Ar1 is (un)substituted, (poly)(un)saturated, 5- to 7-membered monocyclic ring having 0-3 N/O/S heteroatoms, or 8- to 12-membered bicyclic ring system having 0-5 N/O/S heteroatoms; Z1 is N or CH; Z7 is N or C(U)nRy; T, U are bond or (un)saturated C1-6 alkylidene chain, wherein up to two methylene units of the chain are optionally and independently replaced by CO, CO2, COCO, CONR, OCONR, NRNR, NRNRCO, NRCO, NRCO2, NRCONR, SO, SO2, NRSO2, SO2NR, NRSO2NR, O, S, or NR; m, n are independently 0 or 1; Rx, Ry are independently R or Ar1; Z2 is N or CR2; Z3 is N or CR3; Z4 is N or CR4; Z5 is N or CR5; and Z6 is N or CR6; wherein each occurrence of R2, R3, R4, R5, or R6 is independently Ru or (V)pRv, provided that (a) no more than 3 of Z2, Z3, Z4, Z5 or Z6 are N, and (b) at least one of Z3, Z4 or Z5 is CR3, CR4, or CR5, resp., and at least one of R3, R4, or R5 is Ru, each occurrence of Ru is NRCOR7, CONR(R7), SO2NR(R7), NRSO2R7, NRCONR(R7), NRSO2NR(R7), or CONRNR(R7),

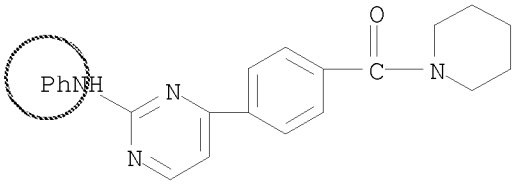
wherein R7 is (CH2)t-Y-R8; and t is 0-2. Furthermore, Y is bond, O, S, NR9, OCH2, SCH2, NR9CH2, O(CH2)2, S(CH2)2, or NR9(CH2)2; R5 is Ar2, or NR8R9 is (un)substituted 5- to 8-membered heterocyclyl or heteroaryl having 1-3 N/O/S heteroatoms; each occurrence of V is bond or (un)saturated C1-6 alkylidene chain, wherein up to two methylene units of the chain are optionally and independently replaced by CO, CO2, COCO, CONR, OCONR, NRNR, NRNRCO, NRCO, NRCO2, NRCONR, SO, SO2, NRSO2, SO2NR, NRSO2NR, 0, S, or NR; each occurrence of p is 0 or 1; each occurrence of Rv is R or Ar2; and Ar2 is an (un)substituted, (poly)(un)saturated 5- to 7-membered, monocyclic ring having 0-3 N/O/S heteroatoms, or an 8- to 12-membered, bicyclic ring system having 0-5 N/O/S heteroatoms. It is further provided that: (a) when Z1 is N, and Z7 is CH, and ring B is Ph, and at least one of R3 or R4 is NHCOR7, then R1 is not Ph which is only substituted with two or three occurrences of OR'; and also that (b) when Z1 is N, and Z7 is CH, and ring B is Ph, and at least one of R3 of R4 is NHCOR7, SO2R7, or CONRR7, then R1 is not Ph which is only substituted with one occurrence of -CON(R')2 in the para-position, where R' is H, (un)substituted aliphatic or (bi)(hetero)cyclic. Approx. 100 compds. I are claimed individually, and several compds. were prepared in examples. For instance, 3-aminoacetophenone was amidated with 2-furoyl chloride, and the resultant N-(3-acetylphenyl)amide underwent condensation with DMF di-Me acetal at the acetyl Me group, with partial N-methylation at the amide. Cyclocondensation of the resultant mixture of β-(dimethylamino)-α,β-unsatd. ketones with (3-methoxyphenyl)guanidine gave a mixture of invention compds. II [R = H, Me]. In a JAK3 inhibition assay, several invention compds. including II [R = Me] had Ki values of 1.0 μM or less. Similar potencies were obtained for some compds. against CDK2, JNK3, and (no data) ZAP-70.

IT 692733-87-2P 692734-02-4P 692734-07-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyridine and pyrimidine derivs. as inhibitors of JAK and other protein kinases)

RN 692733-87-2 CAPLUS
CN Methanone, [2-methyl-5-[2-(phenylamino)-4-pyrimidinyl]phenyl]-1-piperidinyl- (CA INDEX NAME)



RN 692734-02-4 CAPLUS
CN Methanone, [4-[2-(phenylamino)-4-pyrimidinyl]phenyl]-1-piperidinyl- (CA INDEX NAME)

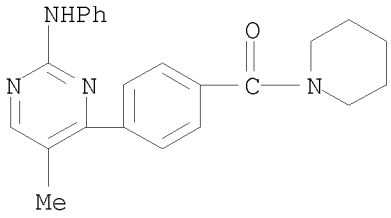


103 for claims 1 and 5

Claims require an alkoxy substituted phenyl.

Same as Ding reference

RN 692734-07-9 CAPLUS
CN Methanone, [4-[5-methyl-2-(phenylamino)-4-pyrimidinyl]phenyl]-1-piperidinyl- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2002:736228 CAPLUS
DN 137:247923
TI Preparation of pyrrolidine ester derivatives with oxytocin modulating activity
IN Schwarz, Matthias; Quattropani, Anna; Scheer, Alexander; Dorbais, Jerome; Pomel, Vincent
PA Applied Research Systems Ars Holding N.V., Neth. Antilles
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002074741	A1	20020926	WO 2002-EP3005	20020319
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2440002	A1	20020926	CA 2002-2440002	20020319
	AU 2002256685	A1	20021003	AU 2002-256685	20020319
	AU 2002256685	B2	20080124		
	EP 1390347	A1	20040225	EP 2002-726184	20020319
	EP 1390347	B1	20080507		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004525132	T	20040819	JP 2002-573750	20020319
	EP 1829861	A2	20070905	EP 2007-12082	20020319
	EP 1829861	A3	20090121		
	R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, AL, LT, LV, MK, RO, SI				
	AT 394371	T	20080515	AT 2002-726184	20020319
	ES 2303854	T3	20080901	ES 2002-726184	20020319
	US 20040147511	A1	20040729	US 2004-471290	20040223
	US 7189754	B2	20070313		
	US 20070129381	A1	20070607	US 2007-620359	20070105
PRAI	EP 2001-106888	A	20010320		
	EP 2002-726184	A3	20020319		
	WO 2002-EP3005	W	20020319		
	US 2004-471290	A3	20040223		

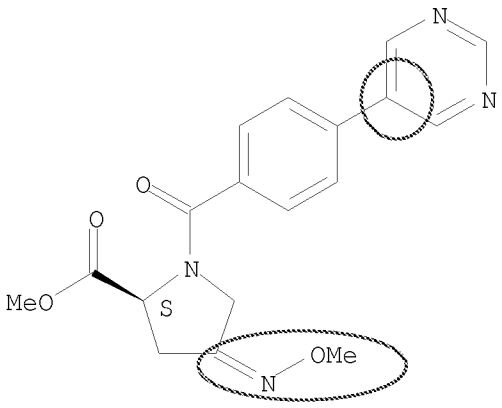
OS MARPAT 137:247923
AB Pyrrolidine esters I [X = CR6R7, NOR6, NNR6R7, where R6, R7 = H, alkyl, (thio)alkoxy, halo, cyano, (hetero)cycloalkyl, aryl, etc. or NR6R7 = heterocyclyl; R = alkyl, alkenyl, alkynyl, (hetero)cyclyl, (hetero)aryl, etc.; R1 = alkyl, (hetero)aryl, cycloalkyl, acyl, etc.; R2-R5 = H, halo, alkyl], including isomers, enantiomers, diastereomers and racemate forms and pharmaceutically-acceptable salts, were prepared for use in pharmaceutical compns. for the treatment and/or prevention of premature labor, premature birth and dysmenorrhea. In particular, the present invention is related to the use of pyrrolidine esters I to antagonize the oxytocin receptor. Thus, Me (2S,4E/4Z)-4-(methoxyimino)-1-[(2'-

methyl[1,1'-biphenyl]-4-yl)carbonyl]-2-pyrrolidinecarboxylate, prepared via coupling of Me (2S,4EZ)-4-(methoxyimino)-2-pyrrolidinecarboxylate with 2'-methyl(1,1'-biphenyl)-4-carboxylic acid, showed IC50 = 0.036 and 0.012 μM (4E/4Z isomers resp.) for binding of the human oxytocin receptor.

IT 461418-24-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidine ester derivs. with oxytocin modulating activity)

RN 461418-24-6 CAPLUS
CN L-Proline, 4-(methoxyimino)-1-[4-(5-pyrimidinyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

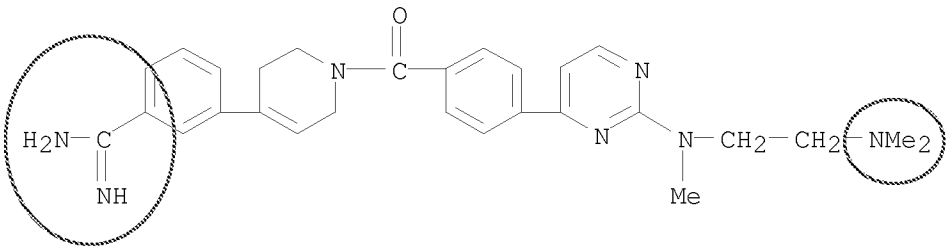
L9 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2001:798195 CAPLUS
DN 135:344381
TI Preparation of 1-aroyl-piperidinyl benzamidines as inhibitors of Factor Xa or tryptase
IN Pauls, Heinz; Gong, Yong; Levell, Julian; Astles, Peter C.; Eastwood, Paul R.
PA Aventis Pharmaceuticals Products Inc., USA
SO PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001081310	A1	20011101	WO 2001-US13810	20010427
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 20020045613	A1	20020418	US 2001-841417	20010424
	CA 2407100	A1	20011101	CA 2001-2407100	20010427
	CA 2407100	C	20070410		
	EP 1278732	A1	20030129	EP 2001-930924	20010427
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003531193	T	20031021	JP 2001-578405	20010427
	IL 152431	A	20081126	IL 2001-152431	20010427
	MX 2002010485	A	20030922	MX 2002-10485	20021024
	US 20040220171	A1	20041104	US 2003-616141	20030708
	AU 2007202110	A1	20070531	AU 2007-202110	20070511
	AU 2007202110	B2	20081016		
PRAI	US 2000-200066P	P	20000427		
	GB 2000-18306	A	20000726		
	US 2001-841417	A	20010424		
	AU 2001-257412	A3	20010427		
	WO 2001-US13810	W	20010427		

OS MARPAT 135:344381
AB The title compds. [I; Z = C, N; ring C = 4-7 membered azaheterocyclyl, 4-7 membered azaheterocyclenyl; Ar = aryl, monocyclic heteroaryl, bicyclic azaheteroaryl; R1 = H, CH2OR12, CH2SR12, etc.; R2 = H, alkyl, aralkyl, etc.; R3 = cycloalkyl, cycloalkenyl, heterocyclyl, etc.; Xa, Xb, Xc = H, (hydroxy)NH, halo, etc.; R12 = H, alkyl, acyl, etc.], useful for the treatment of patients suffering from conditions which can be ameliorated by the administration of an inhibitor of Factor Xa or tryptase, were prepared E.g., a multi-step synthesis of II.2F3CCO2H which showed Ki of 9.0 nM against Factor Xa, was given.
IT 370863-67-5P 370863-68-6P 370863-83-5P
370863-84-6P 370863-87-9P 370863-88-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-aroyl-piperidinyl benzamidines as inhibitors of Factor Xa or tryptase)

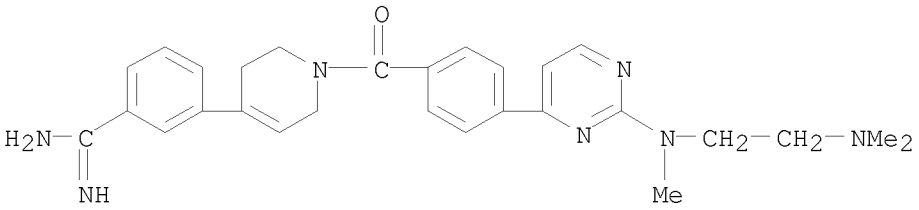
RN 370863-67-5 CAPLUS
CN Benzenecarboximidamide, 3-[1-[4-[2-[[2-(dimethylamino)ethyl]methylamino]-4-pyrimidinyl]benzoyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)



RN 370863-68-6 CAPLUS
CN Benzenecarboximidamide, 3-[1-[4-[2-[[2-(dimethylamino)ethyl]methylamino]-4-pyrimidinyl]benzoyl]-1,2,3,6-tetrahydro-4-pyridinyl]-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

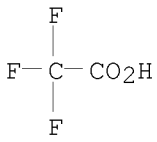
CM 1

CRN 370863-67-5
CMF C28 H33 N7 O

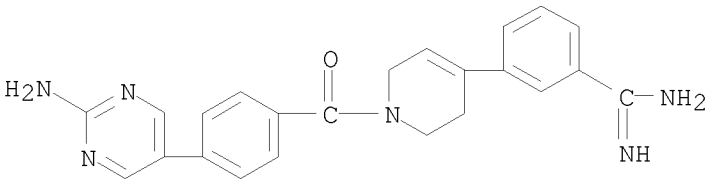


CM 2

CRN 76-05-1
CMF C2 H F3 O2



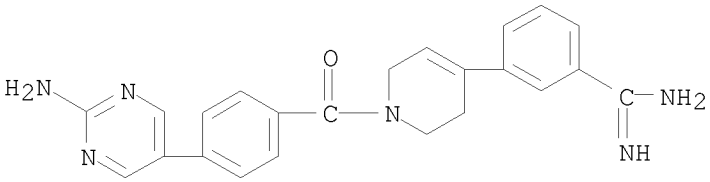
RN 370863-83-5 CAPLUS
CN Benzenecarboximidamide, 3-[1-[4-(2-amino-5-pyrimidinyl)benzoyl]-1,2,3,6-tetrahydro-4-pyridinyl]- (CA INDEX NAME)



RN 370863-84-6 CAPLUS
CN Benzenecarboximidamide, 3-[1-[4-(2-amino-5-pyrimidinyl)benzoyl]-1,2,3,6-tetrahydro-4-pyridinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

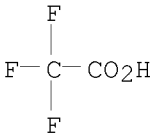
CM 1

CRN 370863-83-5
CMF C23 H22 N6 O

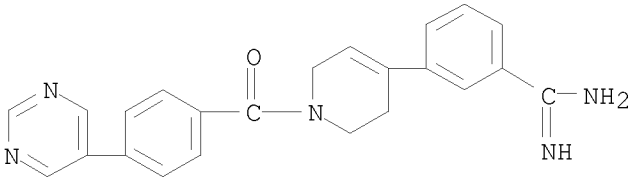


CM 2

CRN 76-05-1
CMF C2 H F3 O2



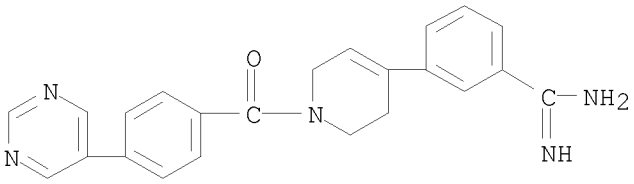
RN 370863-87-9 CAPLUS
CN Benzenecarboximidamide, 3-[1,2,3,6-tetrahydro-1-[4-(5-pyrimidinyl)benzoyl]-4-pyridinyl]- (CA INDEX NAME)



RN 370863-88-0 CAPLUS
CN Benzenecarboximidamide, 3-[1,2,3,6-tetrahydro-1-[4-(5-pyrimidinyl)benzoyl]-4-pyridinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

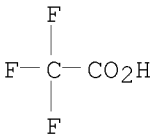
CM 1

CRN 370863-87-9
CMF C23 H21 N5 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2



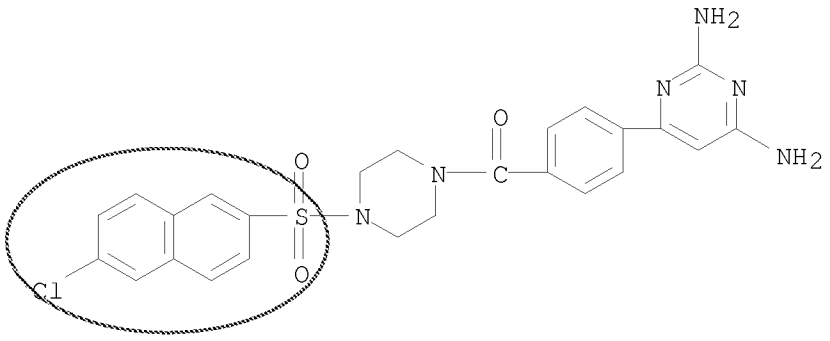
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2000:133658 CAPLUS
DN 132:194391
TI Preparation of sulfonyl moiety-containing heterocyclic compounds as factor Xa inhibitors
IN Kobayashi, Syozo; Komoriya, Satoshi; Haginoya, Noriyasu; Suzuki, Masanori; Yoshino, Toshiharu; Nagahara, Takayasu; Nagata, Tsutomu; Horino, Haruhiko; Ito, Masayuki; Mochizuki, Akiyoshi
PA Daiichi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 883 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000009480	A1	20000224	WO 1999-JP4344	19990811
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	JP 2000119253	A	20000425	JP 1999-226878	19990810
	CA 2340100	A1	20000224	CA 1999-2340100	19990811
	AU 9951963	A	20000306	AU 1999-51963	19990811
	EP 1104754	A1	20010606	EP 1999-937024	19990811
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2000143623	A	20000526	JP 1999-242814	19990830
	US 6747023	B1	20040608	US 2001-762888	20010212
	US 20040082611	A1	20040429	US 2003-681205	20031009
PRAI	JP 1998-227449	A	19980811		
	JP 1998-244175	A	19980828		
	JP 1998-251674	A	19980904		
	WO 1999-JP4344	W	19990811		
	US 2001-762888	A3	20010212		

OS MARPAT 132:194391
AB The title compds. Q1Q2T1Q3SO2QA [wherein Q1 is an optionally substituted, saturated or unsatd., five- or six-membered cyclic hydrocarbon group, a five- or six-membered heterocyclic group, or the like; Q2 is a single bond, oxygen, sulfur, C1-C6 alkylene or the like; Q3 is a heterocyclic ring (represented by several generic structures); QA is optionally substituted arylalkenyl, heteroarylalkenyl or the like; and T1 is carbonyl or the like] are prepared These compds. have potent factor Xa inhibiting effects and promptly exert satisfactory and persistent antithrombotic effects through oral administration, thus being useful as anticoagulant agents little accompanied with side effects. Several compds. of this invention in vitro showed IC50 values of 0.7 nM to 4.7 nM against factor Xa.
IT 222985-03-7P 222985-05-9P 222985-43-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonyl moiety-containing heterocyclic compds. as factor Xa inhibitors)

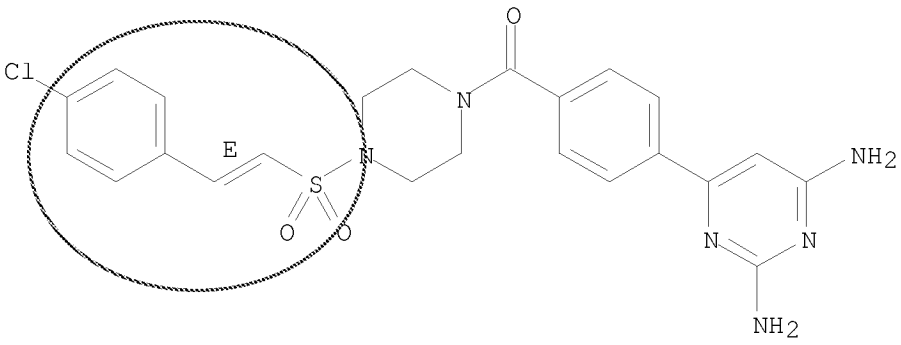
RN 222985-03-7 CAPLUS
CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][4-(2,6-diamino-4-pyrimidinyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

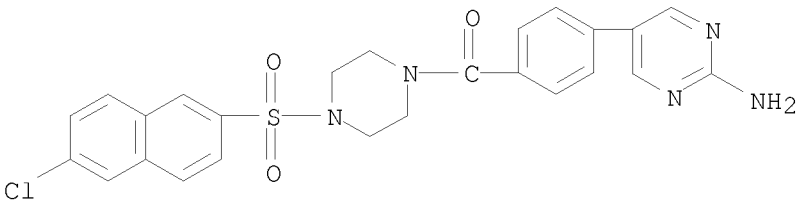
RN 222985-05-9 CAPLUS
CN Methanone, [4-[[(1E)-2-(4-chlorophenyl)ethenyl]sulfonyl]-1-piperazinyl][4-(2,6-diamino-4-pyrimidinyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

RN 222985-43-5 CAPLUS
CN Methanone, [4-(2-amino-5-pyrimidinyl)phenyl][4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)



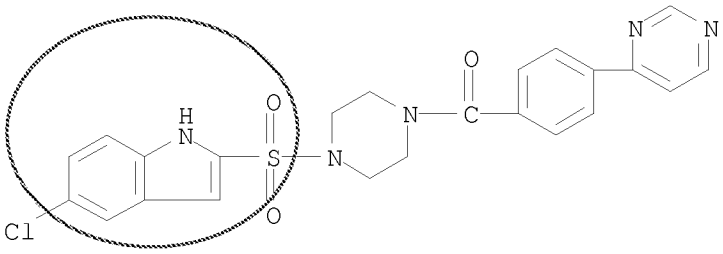
● HCl

RE.CNT 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

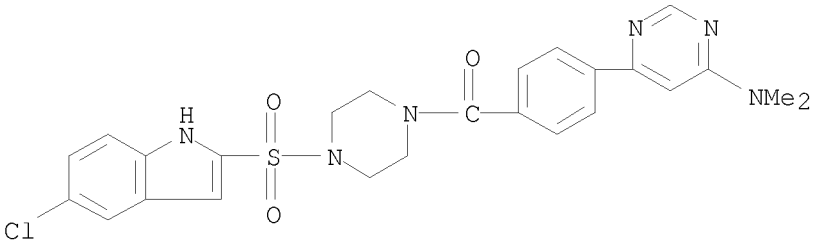
L9 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1999:723030 CAPLUS
DN 131:322629
TI Preparation of 1-heteroarylsulfonyl-4-heteroarylbenzoylpiperazines and analogs as Factor Xa inhibitors
IN Caulkett, Peter William Rodney; James, Roger; Pearson, Stuart Eric; Slater, Anthony Michael; Walker, Rolf Peter
PA Zeneca Limited, UK
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9957113	A1	19991111	WO 1999-GB1308	19990427
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2331042	A1	19991111	CA 1999-2331042	19990427
	AU 9936206	A	19991123	AU 1999-36206	19990427
	AU 754453	B2	20021114		
	BR 9910179	A	20010109	BR 1999-10179	19990427
	TR 200003200	T2	20010221	TR 2000-3200	19990427
	EP 1082321	A1	20010314	EP 1999-918178	19990427
	EP 1082321	B1	20041117		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	HU 2001001712	A2	20011128	HU 2001-1712	19990427
	HU 2001001712	A3	20030128		
	EE 200000527	A	20020215	EE 2000-527	19990427
	NZ 507835	A	20030131	NZ 1999-507835	19990427
	CN 1133634	C	20040107	CN 1999-808218	19990427
	RU 2225865	C2	20040320	RU 2000-130219	19990427
	IL 139406	A	20040725	IL 1999-139406	19990427
	AT 282610	T	20041215	AT 1999-918178	19990427
	PT 1082321	T	20050331	PT 1999-918178	19990427
	EP 1528061	A1	20050504	EP 2004-22155	19990427
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	ES 2232131	T3	20050516	ES 1999-918178	19990427
	IN 1999DE00659	A	20050701	IN 1999-DE659	19990429
	ZA 2000006031	A	20020125	ZA 2000-6031	20001025
	MX 2000010675	A	20000821	MX 2000-10675	20001030
	NO 2000005497	A	20001221	NO 2000-5497	20001101
	NO 320893	B1	20060206		
	US 6753331	B1	20040622	US 2001-674559	20010104
	HK 1034711	A1	20050513	HK 2001-105226	20010726
	US 20040266759	A1	20041230	US 2004-817960	20040406
PRAI	GB 1998-9351	A	19980502		
	GB 1999-3337	A	19990216		
	EP 1999-918178	A3	19990427		

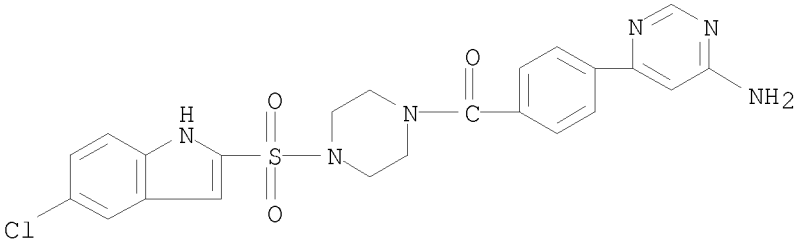
WO 1999-GB1308 W 19990427
US 2001-674559 A1 20010104
OS MARPAT 131:322629
AB RZCOZ1SO2R1 [R = (un)substituted heteroaryl; R1 = (un)substituted 2-indolyl, -2-benzimidazolyl, -2-benzo[b]furanyl, etc.; Z = (un)substituted 1,4-phenylene; Z1 = (un)substituted piperidine-4,1-diyl or -piperazine-1,4-diyl] were prepared Thus, 5-chlorobenzo[b]furan-2-sulfonyl chloride was amidated by piperazine and the product amidated by 4-(4-pyridyl)benzoic acid to give title compound I. Data for biol. activity of I were given.
IT 249292-03-3P 249292-30-6P 249292-31-7P
249292-32-8P 249292-33-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-heteroarylsulfonyl-4-heteroarylbenzoylpiperazines and analogs as Factor Xa inhibitors)
RN 249292-03-3 CAPLUS
CN Methanone, [4-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-piperazinyl][4-(4-pyrimidinyl)phenyl]- (CA INDEX NAME)



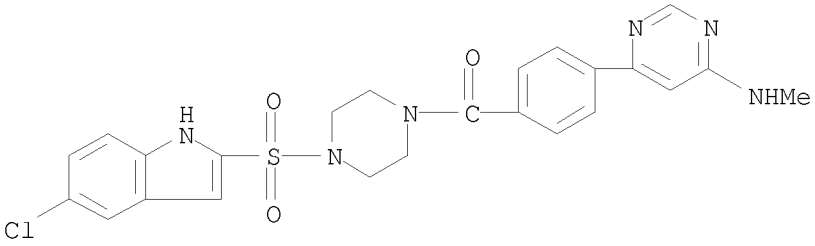
RN 249292-30-6 CAPLUS
CN Methanone, [4-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-piperazinyl][4-[6-(dimethylamino)-4-pyrimidinyl]phenyl]- (CA INDEX NAME)



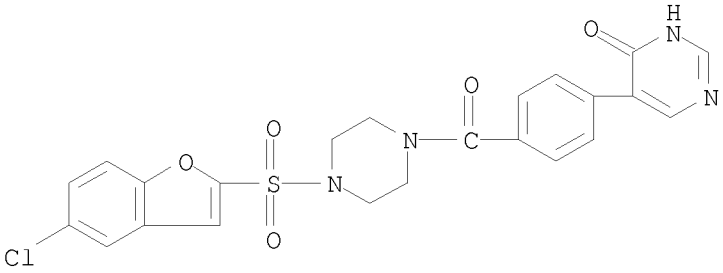
RN 249292-31-7 CAPLUS
CN Methanone, [4-(6-amino-4-pyrimidinyl)phenyl][4-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



RN 249292-32-8 CAPLUS
CN Methanone, [4-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-piperazinyl][4-[6-(methylamino)-4-pyrimidinyl]phenyl]- (CA INDEX NAME)



RN 249292-33-9 CAPLUS
CN 4(3H)-Pyrimidinone, 5-[4-[[4-[(5-chloro-2-benzofuranyl)sulfonyl]-1-piperazinyl]carbonyl]phenyl]- (CA INDEX NAME)



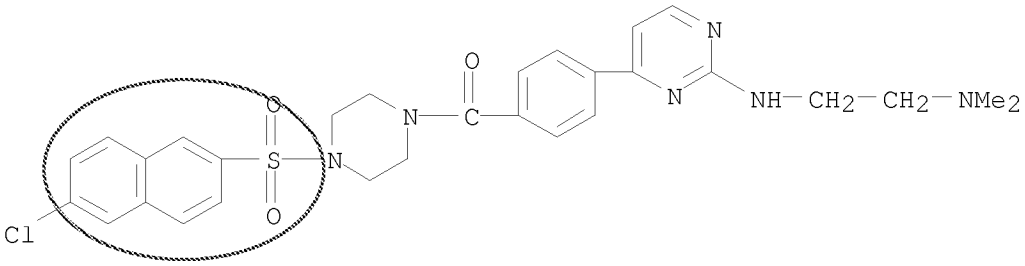
RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1999:723017 CAPLUS
DN 131:337034
TI Preparation of 1-naphthylsulfonyl-4-heteroarylbenzoylpiperazines and analogs as Factor Xa inhibitors
IN Nowak, Thorsten; Preston, John; Rayner, John Wall; Smithers, Michael James; Stocker, Andrew
PA Zeneca Limited, UK
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

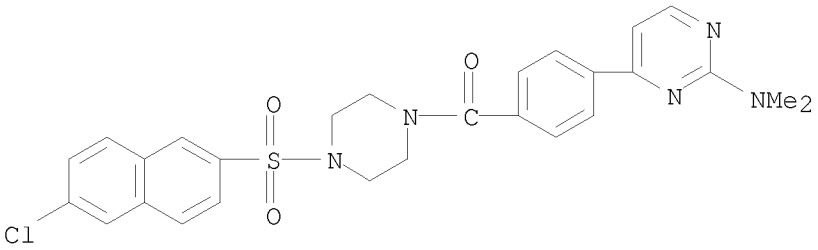
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9957099	A1	19991111	WO 1999-GB1312	19990427
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9936207	A	19991123	AU 1999-36207	19990427
	EP 1082303	A1	20010314	EP 1999-918179	19990427
	EP 1082303	B1	20050126		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	AT 287874	T	20050215	AT 1999-918179	19990427
	US 6395731	B1	20020528	US 2000-674563	20001220
PRAI	GB 1998-9349	A	19980502		
	WO 1999-GB1312	W	19990427		

OS MARPAT 131:337034
AB Title compds. (I) [where A = 5- or 6-membered monocyclic heteroaryl (un)substituted by 1-3 halo, oxo, CO2H, CF3, CN, NH2, OH, NO2, (amino)alkyl, alkoxy(carbonyl), and/or (di)alkylamino; Y = (un)substituted phenylene; Z = (un)substituted piperidine-4,1-diyl or piperazine-1,4-diyl; D and D1 = independently H, alkyl, alkenyl, alkynyl, oxo, or OH; E = F, Cl, or Br] were prepared as antithrombotics and anticoagulants. Thus, 4-(4-imidazolyl)benzoic acid HCl (2-step preparation given) was amidated with 1-(6-chloronaphth-2-ylsulfonyl)piperazine to yield the title imidazolylbenzoylpiperazine (II). The IC50 values of invention compds. ranged from 0.001 to 0.1 μM for Factor Xa inhibition and were > 40 μM for thrombin inhibition (no individual data given). Data for anticoagulant activity of I in conventional prothrombin time tests were given.
IT 249887-66-9P 249887-67-0P 249887-68-1P
249887-72-7P 249887-73-8P 249887-74-9P
249887-75-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of 1-naphthylsulfonyl-4-heteroarylbenzoylpiperazines and analogs as Factor Xa inhibitors for treatment of thrombosis mediated diseases and coagulation disorders)
RN 249887-66-9 CAPLUS

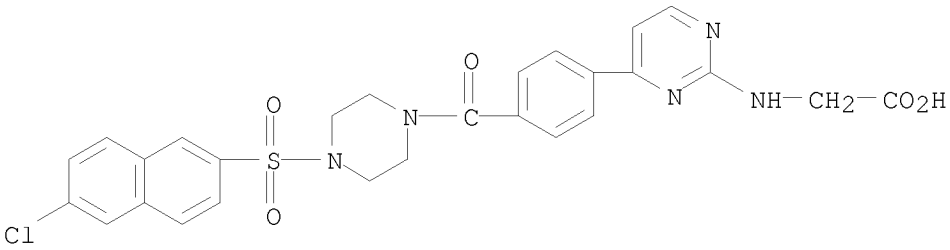
CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][4-[2-[[2-(dimethylamino)ethyl]amino]-4-pyrimidinyl]phenyl]- (CA INDEX NAME)



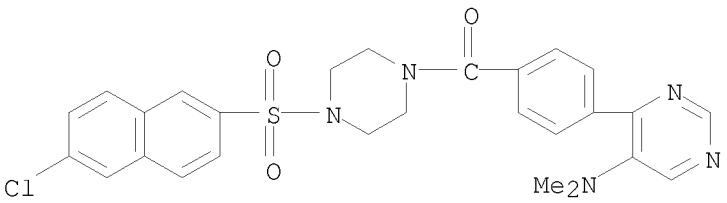
RN 249887-67-0 CAPLUS
CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][4-[2-(dimethylamino)-4-pyrimidinyl]phenyl]- (CA INDEX NAME)



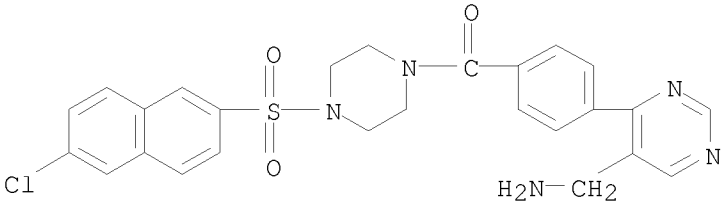
RN 249887-68-1 CAPLUS
CN Glycine, N-[4-[4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]phenyl]-2-pyrimidinyl]- (CA INDEX NAME)



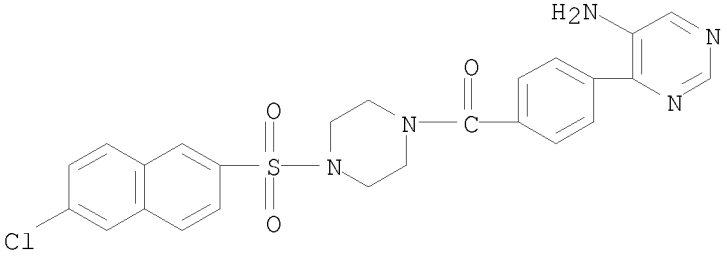
RN 249887-72-7 CAPLUS
CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][4-[5-(dimethylamino)-4-pyrimidinyl]phenyl]- (CA INDEX NAME)



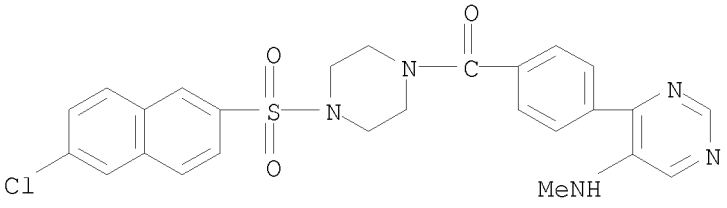
RN 249887-73-8 CAPLUS
CN Methanone, [4-[5-(aminomethyl)-4-pyrimidinyl]phenyl][4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



RN 249887-74-9 CAPLUS
CN Methanone, [4-(5-amino-4-pyrimidinyl)phenyl][4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



RN 249887-75-0 CAPLUS
CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][4-[5-(methylamino)-4-pyrimidinyl]phenyl]- (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

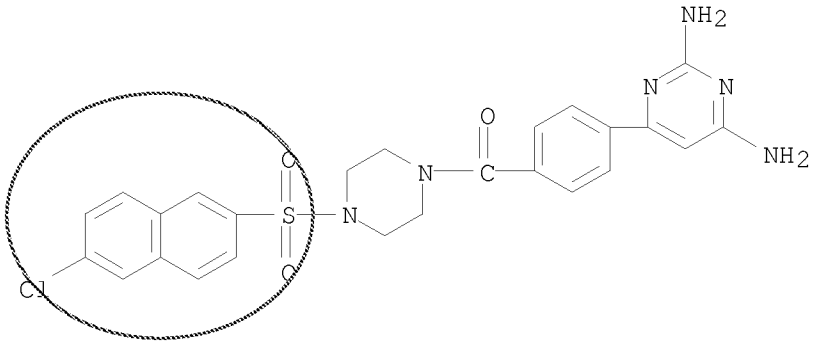
L9 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1999:233901 CAPLUS
DN 130:296694
TI Preparation of heterocyclic compounds having the sulfonyl group as antithrombotics
IN Kobayashi, Shozo; Komoriya, Satoshi; Ito, Masayuki; Nagata, Tsutomu; Mochizuki, Akiyoshi; Haginoya, Noriyasu; Nagahara, Takayasu; Horino, Haruhiko
PA Daiichi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 342 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9916747	A1	19990408	WO 1998-JP4411	19980930
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2304285	A1	19990408	CA 1998-2304285	19980930
	AU 9892806	A	19990423	AU 1998-92806	19980930
	EP 1031563	A1	20000830	EP 1998-945542	19980930
	EP 1031563	B1	20051228		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	BR 9815377	A	20010116	BR 1998-15377	19980930
	AT 314347	T	20060115	AT 1998-945542	19980930
	ES 2255733	T3	20060701	ES 1998-945542	19980930
	JP 4256065	B2	20090422	JP 2000-513833	19980930
	US 6525042	B1	20030225	US 2000-508680	20000328
	NO 2000001636	A	20000329	NO 2000-1636	20000329
	MX 2000003175	A	20010930	MX 2000-3175	20000330
	US 20030232808	A1	20031218	US 2002-323978	20021220
PRAI	JP 1997-267117	A	19970930		
	WO 1998-JP4411	W	19980930		
	US 2000-508680	A3	20000328		

OS MARPAT 130:296694
AB The title compds. I [R1 is hydrogen, hydroxyl, nitro or the like; R2 and R3 are each independently hydrogen, halogeno or the like; R4 and R5 are each independently hydrogen, halogeno or the like; Q1 is an optionally substituted saturated or unsatd. 5- or 6-membered cyclic hydrocarbon group or the like; Q2 is a single bond, oxygen or the like; Q3 is a heterocyclic moiety (represented by 4 generic structures); T1 is carbonyl or the like; and X1 and X2 are each independently methine or nitrogen] are prepared I speedily exert satisfactory and persistent antithrombotic effects through oral administration and cause few adverse effects. In an in vitro test for inhibition of activated blood coagulation factor X, 1-[(6-chloronaphthalen-2-yl)sulfonyl]-4-[(6-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridin-2-yl)carbonyl]piperazine hydrochloride showed the Ki value of 6.6 nM.
IT 222985-03-7P 222985-05-9P 222985-43-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. having the sulfonyl group as antithrombotics)

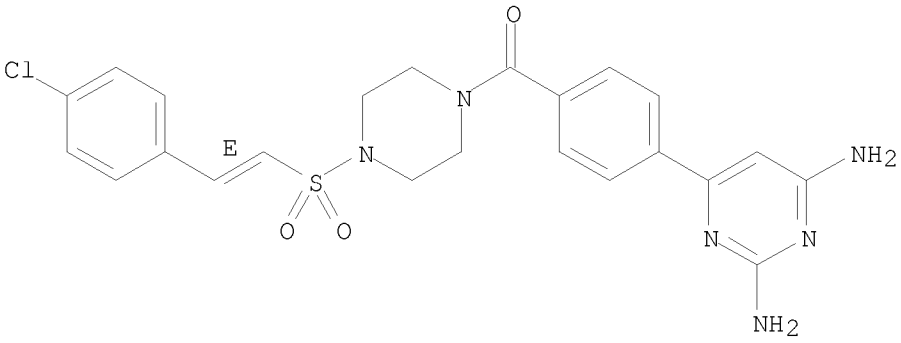
RN 222985-03-7 CAPLUS
CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][4-(2,6-diamino-4-pyrimidinyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

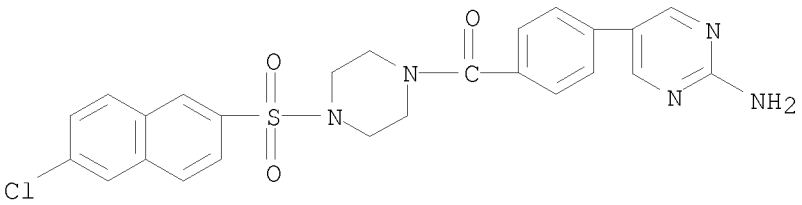
RN 222985-05-9 CAPLUS
CN Methanone, [4-[[(1E)-2-(4-chlorophenyl)ethenyl]sulfonyl]-1-piperazinyl][4-(2,6-diamino-4-pyrimidinyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

RN 222985-43-5 CAPLUS
CN Methanone, [4-(2-amino-5-pyrimidinyl)phenyl][4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)



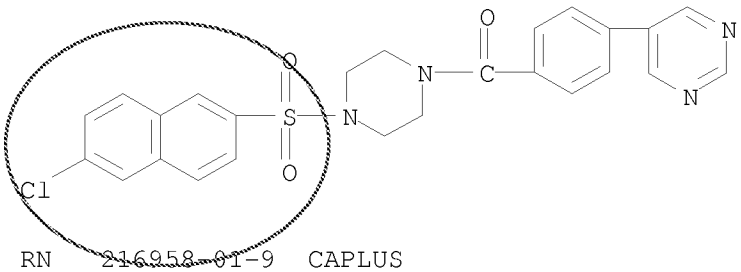
● HCl

RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

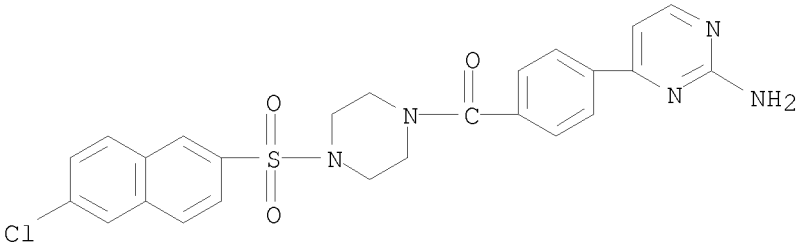
L9 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1998:794998 CAPLUS
DN 130:38404
TI Preparation of 1-benzoyl-4-naphthalenesulfonylpiperazines and related compounds as inhibitors of activated coagulation factor X.
IN Tawada, Hiroyuki; Ito, Fumio; Moriya, Norihiko; Terashita, Zenichi
PA Takeda Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 313 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9854164	A1	19981203	WO 1998-JP2346	19980528
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2287292	A1	19981203	CA 1998-2287292	19980528
	AU 9874534	A	19981230	AU 1998-74534	19980528
	EP 986551	A1	20000322	EP 1998-921852	19980528
	EP 986551	B1	20060802		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	AT 334975	T	20060815	AT 1998-921852	19980528
	JP 11236372	A	19990831	JP 1998-148677	19980529
	US 6359134	B1	20020319	US 1999-424892	19991130
PRAI	JP 1997-142250	A	19970530		
	JP 1997-351806	A	19971219		
	WO 1998-JP2346	W	19980528		

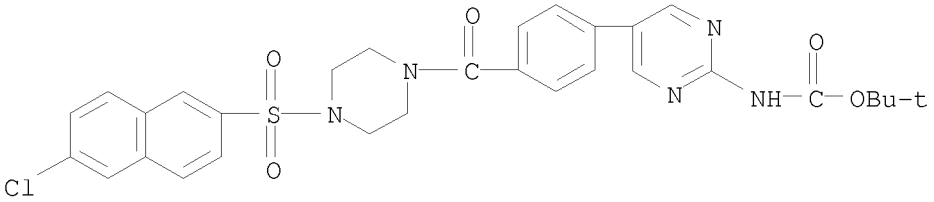
OS MARPAT 130:38404
AB R1SO2ACOYXZ [R1 = (substituted) hydrocarbyl, heterocyclyl; A = (substituted) divalent N-heterocyclyl; Y = (substituted) hydrocarbylene, heterocyclylene; X = bond, (substituted) alkylene; Z = substituted amino, imidoyl, N-heterocyclyl; provided that when X = bond and Z = (substituted) 6-membered N-heterocyclyl, then Y = (substituted) hydrocarbylene, unsatd. heterocyclylene], were prepared Thus, reaction of 1-(6-chloronaphthalene-2-sulfonyl)piperazine hydrochloride with 2-(4-pyridyl)-4-methyl-5-thiazolecarboxylic acid in the presence of Et3N and WSC hydrochloride in DMF gave 1-(6-chloronaphthalene-2-sulfonyl)-4-[2-(4-pyridyl)-4-methyl-5-thiazolecarbonyl]piperazine. The latter inhibited human activated coagulation factor X with IC50 = 0.019 μM.
IT 216957-59-4P 216958-01-9P 216958-16-6P
216958-17-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-benzoyl-4-naphthalenesulfonylpiperazines and related compds. as inhibitors of activated coagulation factor X)
RN 216957-59-4 CAPLUS
CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][4-(5-pyrimidinyl)phenyl]- (CA INDEX NAME)



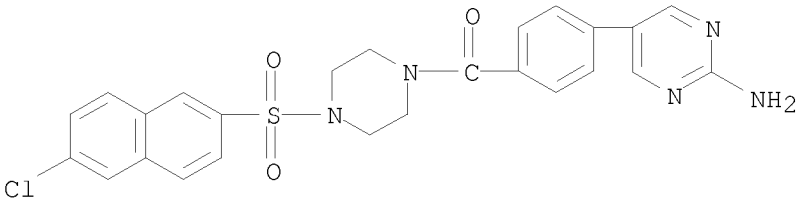
RN 216958-01-9 CAPLUS
CN Methanone, [4-(2-amino-4-pyrimidinyl)phenyl][4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)



RN 216958-16-6 CAPLUS
CN Carbamic acid, [5-[4-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]phenyl]-2-pyrimidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 216958-17-7 CAPLUS
CN Methanone, [4-(2-amino-5-pyrimidinyl)phenyl][4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]- (CA INDEX NAME)

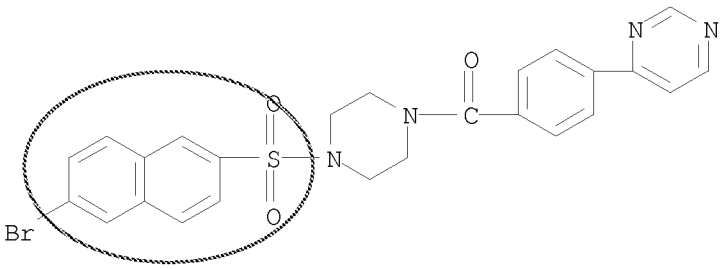


RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

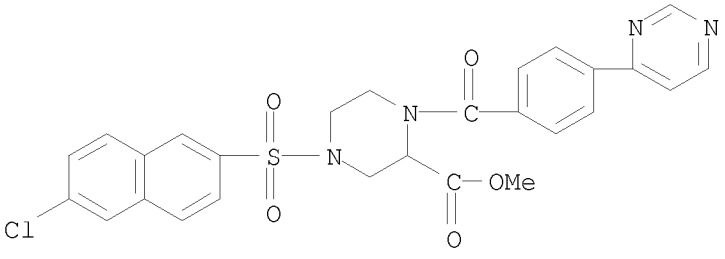
L9 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1998:341547 CAPLUS
DN 129:16141
OREF 129:3473a
TI Preparation of 1-(naphthylsulfonyl)-4-benzoylpiperazines and related compounds as inhibitors of Factor Xa.
IN Preston, John; Stocker, Andrew; Turner, Paul; Smithers, Michael James; Rayner, John Wall
PA Zeneca Ltd., UK; Preston, John; Stocker, Andrew; Turner, Paul; Smithers, Michael James; Rayner, John Wall
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9821188	A1	19980522	WO 1997-GB3033	19971104
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2266890	A1	19980522	CA 1997-2266890	19971104
AU 9748748	A	19980603	AU 1997-48748	19971104
AU 731929	B2	20010405		
EP 937048	A1	19990825	EP 1997-911333	19971104
EP 937048	B1	20040121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9712672	A	19991026	BR 1997-12672	19971104
CN 1235597	A	19991117	CN 1997-199426	19971104
CN 1220682	C	20050928		
NZ 334710	A	20001124	NZ 1997-334710	19971104
JP 2001504113	T	20010327	JP 1998-522274	19971104
HU 2000001098	A2	20010628	HU 2000-1098	19971104
HU 2000001098	A3	20020328		
RU 2213732	C2	20031010	RU 1999-112135	19971104
EP 1358909	A1	20031105	EP 2003-11815	19971104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 258167	T	20040215	AT 1997-911333	19971104
ES 2213208	T3	20040816	ES 1997-911333	19971104
SK 284665	B6	20050804	SK 1999-613	19971104
PL 189703	B1	20050930	PL 1997-333241	19971104
CZ 296342	B6	20060215	CZ 1999-1634	19971104
TW 458968	B	20011011	TW 1997-86116467	19971105
ZA 9710062	A	19980508	ZA 1997-10062	19971107
IN 1997DE03196	A	20050311	IN 1997-DE3196	19971107
KR 2000053128	A	20000825	KR 1999-704055	19990507
US 6300330	B1	20011009	US 1999-297768	19990507
NO 312894	B1	20020715	NO 1999-2230	19990507
BG 64258	B1	20040730	BG 1999-103430	19990525
US 20030195203	A1	20031016	US 2001-963686	20010927

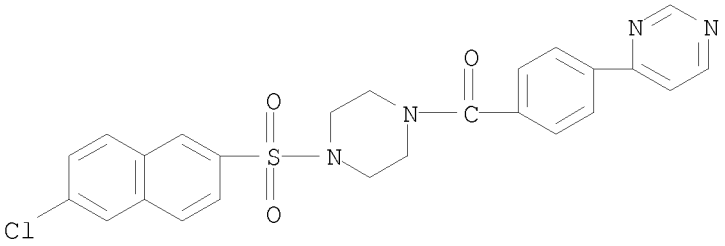
US 6936610 B2 20050830
PRAI GB 1996-23283 A 19961108
GB 1997-15893 A 19970729
EP 1997-911333 A3 19971104
WO 1997-GB3033 W 19971104
US 1999-297768 A1 19990507
OS MARPAT 129:16141
AB ABX1T1(R2)L1T2(R3)X2Q [I; A = (substituted) 5-6 membered heteroaryl; B = (substituted) phenylene; T1, T2 = CH, N; ≥1 of T1, R2 = N; X1 = SO, SO2, CO, C(R4)2, O, S; R4 = H, alkyl; L1 = alkylene, alkylenecarbonyl; R2, R3 = H, alkyl; R2R3 = alkylene, CH2CO; Q = (substituted) Ph, naphthyl, phenylalkyl, phenylalkenyl, phenylalkynyl, heterocyclyl; with provisos], were prepared Thus, Me 4-(4-pyrimidinyl)benzoate (preparation given) was converted to the acid chloride which was stirred with 1-(6-bromonaphth-2-ylsulfonyl)piperazine hydrochloride and Et3N in CH2Cl2 to give 1-(6-bromonaphth-2-ylsulfonyl)-4-[4-(4-pyrimidinyl)benzoyl]piperazine. I inhibited Factor Xa with IC50 = 0.001-25 μM.
IT 207798-65-0P 207798-66-1P 207799-00-6P
207799-09-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-(naphthylsulfonyl)-4-benzoylpiperazines and related compds. as inhibitors of factor Xa)
RN 207798-65-0 CAPLUS
CN Methanone, [4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-piperazinyl][4-(4-pyrimidinyl)phenyl]- (CA INDEX NAME)



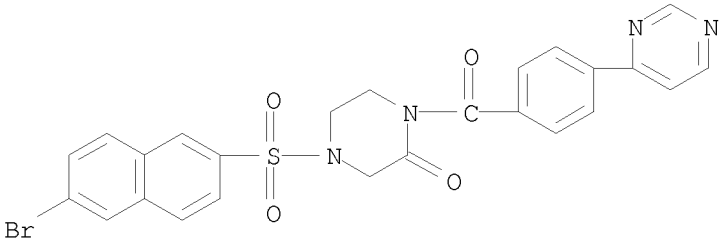
RN 207798-66-1 CAPLUS
CN 2-Piperazinecarboxylic acid, 4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(4-pyrimidinyl)benzoyl]-, methyl ester (CA INDEX NAME)



RN 207799-00-6 CAPLUS
CN Methanone, [4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl][4-(4-pyrimidinyl)phenyl]- (CA INDEX NAME)



RN 207799-09-5 CAPLUS
CN 2-Piperazinone, 4-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[4-(4-pyrimidinyl)benzoyl]- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                   118.94     307.92

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                   -17.22     -17.22

STN INTERNATIONAL LOGOFF AT 22:37:52 ON 10 JUN 2009
```